

In another embodiment, Ar₁ is a pyridyl group and n is 0; R₁ is -CF₃; Ar₂ is a benzooxazolyl group; R₈ is -CH₃; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

5 In another embodiment, Ar₁ is a pyridyl group and n is 0; R₁ is -CF₃; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -CF₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

10 In another embodiment, Ar₁ is a pyridyl group and n is 0; R₁ is -CF₃; Ar₂ is a benzooxazolyl group; R₈ is -CF₃; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

15 In another embodiment, Ar₁ is a pyridyl group and n is 0; R₁ is -CF₃; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -OCH₂CH₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

20 In another embodiment, Ar₁ is a pyridyl group and n is 0; R₁ is -CF₃; Ar₂ is a benzooxazolyl group; R₈ is -OCH₂CH₃; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

25 In another embodiment, Ar₁ is a pyridyl group and n is 0; R₁ is -F, -Cl, -Br, or -I; Ar₂ is a benzooxazolyl group; R₈ is -*tert*-butyl; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

30 In another embodiment, Ar₁ is a pyridyl group and n is 0; R₁ is -F; Ar₂ is a benzooxazolyl group; R₈ is -*tert*-butyl; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyridyl group and n is 0; R₁ is -F, -Cl, -Br, or -I; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -*tert*-butyl. In another embodiment, the

carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyridyl group and n is 0; R₁ is -F; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -*tert*-butyl. In another embodiment, the carbon atom 5 to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyridyl group and n is 0; R₁ is -CH₃; Ar₂ is a benzooxazolyl group; R₈ is -*tert*-butyl; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon 10 atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyridyl group and n is 0; R₁ is -CH₃; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -*tert*-butyl. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

15 In another embodiment, Ar₁ is a pyridyl group and n is 0; R₁ is -CH₃; Ar₂ is a benzooxazolyl group; R₈ is -CH₃; and R₉ is -CH₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, -Br, or -I; R₄ is -H; Ar₂ is a benzooxazolyl group; and R₈ and R₉ are -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F; R₄ is -H; Ar₂ is a benzooxazolyl group and R₈ and R₉ are -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, -Br, or -I; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -halo. In another embodiment, the 30 carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, -Br, or -I; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -chloro. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

5 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, -Br, or -I; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -bromo. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, -Br, or -I; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -fluoro. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, -Br, or -I; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -iodo. In another embodiment, the 15 carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -Cl; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -halo. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon 20 atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -Cl; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -chloro. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

25 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -Cl; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -bromo. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -Cl; Ar₂ is 30 a benzooxazolyl group; R₈ is -H; and R₉ is -fluoro. In another embodiment, the carbon atom

to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -Cl; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -iodo. In another embodiment, the carbon atom to 5 which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, -Br, or -I; Ar₂ is a benzooxazolyl group; R₈ is -halo; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another 10 embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, -Br, or -I; Ar₂ is a benzooxazolyl group; R₈ is -chloro; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

15 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, -Br, or -I; Ar₂ is a benzooxazolyl group; R₈ is -bromo; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, -Br, or -I; Ar₂ is a benzooxazolyl group; R₈ is -fluoro; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another 20 embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, -Br, or -I; Ar₂ is a benzooxazolyl group; R₈ is -iodo; and R₉ is -H. In another embodiment, the 25 carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F; Ar₂ is a benzooxazolyl group; R₈ is -halo; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon 30 atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -F; Ar₂ is a benzooxazolyl group; R₈ is -chloro; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

5 In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -F; Ar₂ is a benzooxazolyl group; R₈ is -bromo; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -F; Ar₂ is 10 a benzooxazolyl group; R₈ is -fluoro; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -F; Ar₂ is 15 a benzooxazolyl group; R₈ is -iodo; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -F, -Cl, Br, or -I; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -CH₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another 20 embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -F; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -CH₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

25 In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -F, -Cl, Br, or -I; Ar₂ is a benzooxazolyl group; R₈ is -CH₃; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -F; Ar₂ is 30 a benzooxazolyl group; R₈ is -CH₃; and R₉ is -H. In another embodiment, the carbon atom to

which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, Br, or -I; Ar₂ is a benzoxazolyl group; R₈ is -H; and R₉ is -CF₃. In another embodiment, the 5 carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F; Ar₂ is a benzoxazolyl group; R₈ is -H; and R₉ is -CF₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon 10 atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, Br, or -I; Ar₂ is a benzoxazolyl group; R₈ is -CF₃; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

15 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F; Ar₂ is a benzoxazolyl group; R₈ is -CF₃; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

20 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, Br, or -I; Ar₂ is a benzoxazolyl group; R₈ is -H; and R₉ is -OCH₂CH₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

25 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F; Ar₂ is a benzoxazolyl group; R₈ is -H; and R₉ is -OCH₂CH₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

30 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, Br, or -I; Ar₂ is a benzoxazolyl group; R₈ is -OCH₂CH₃; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In

another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F; Ar₂ is a benzoxazolyl group; R₈ is -OCH₂CH₃; and R₉ is -H. In another embodiment, the carbon 5 atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CH₃; Ar₂ is a benzoxazolyl group; R₈ is -H; and R₉ is -halo. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon 10 atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CH₃; Ar₂ is a benzoxazolyl group; R₈ is -H; and R₉ is -chloro. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

15 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CH₃; Ar₂ is a benzoxazolyl group; R₈ is -H; and R₉ is -bromo. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CH₃; Ar₂ 20 is a benzoxazolyl group; R₈ is -H; and R₉ is -fluoro. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CH₃; Ar₂ is a benzoxazolyl group; R₈ is -H; and R₉ is -iodo. In another embodiment, the carbon atom 25 to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CH₃; Ar₂ is a benzoxazolyl group; R₈ is -halo; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon 30 atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -CH₃; Ar₂ is a benzooxazolyl group; R₈ is -chloro; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

5 In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -CH₃; Ar₂ is a benzooxazolyl group; R₈ is -bromo; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -CH₃; Ar₂ 10 is a benzooxazolyl group; R₈ is -fluoro; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -CH₃; Ar₂ is a benzooxazolyl group; R₈ is -iodo; and R₉ is -H. In another embodiment, the carbon atom 15 to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -CH₃; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -CH₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon 20 atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -CH₃; Ar₂ is a benzooxazolyl group; R₈ is -CH₃; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

25 In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -CH₃; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -CF₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -CH₃; Ar₂ 30 is a benzooxazolyl group; R₈ is -CF₃; and R₉ is -H. In another embodiment, the carbon atom

to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -CH₃; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -OCH₂CH₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -CH₃; Ar₂ is a benzooxazolyl group; R₈ is -OCH₂CH₃; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzooxazolyl group; and R₈ and R₉ are -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

15 In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -halo. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

20 In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -chloro. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

25 In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -bromo. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

30 In another embodiment, Ar₁ is a pyriminidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzooxazolyl group; R₈ is -H; and R₉ is -fluoro. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzoxazolyl group; R₈ is -H; and R₉ is -iodo. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

5 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzoxazolyl group; R₈ is -halo; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

10 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzoxazolyl group; R₈ is -chloro; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

15 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzoxazolyl group; R₈ is -bromo; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

20 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzoxazolyl group; R₈ is -fluoro; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzoxazolyl group; R₈ is -iodo; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

25 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzoxazolyl group; R₈ is -H; and R₉ is -CH₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

30 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzoxazolyl group; R₈ is -CH₃; and R₉ is -H. In another embodiment, the carbon atom

to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzoxazolyl group; R₈ is -H; and R₉ is -CF₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzoxazolyl group; R₈ is -CF₃; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzoxazolyl group; R₈ is -H; and R₉ is -OCH₂CH₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

15 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CF₃; Ar₂ is a benzoxazolyl group; R₈ is -OCH₂CH₃; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, -Br, or -I; Ar₂ is a benzoxazolyl group; R₈ is -*tert*-butyl; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

20 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F; Ar₂ is a benzoxazolyl group; R₈ is -*tert*-butyl; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

25 In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F, -Cl, -Br, or -I; Ar₂ is a benzoxazolyl group; R₈ is -H; and R₉ is -*tert*-butyl. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In

another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -F; Ar₂ is a benzoxazolyl group; R₈ is -H; and R₉ is -*tert*-butyl. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CH₃; Ar₂ is a benzoxazolyl group; R₈ is -*tert*-butyl; and R₉ is -H. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group and p is 0; R₁ is -CH₃; Ar₂ is a benzoxazolyl group; R₈ is -H; and R₉ is -*tert*-butyl. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group, p is 0; R₁ is -CH₃; Ar₂ is a benzoxazolyl group; R₈ is -CH₃; and R₉ is -CH₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In another embodiment, Ar₁ is a pyrimidyl group, p is 0; R₁ is -CH₃; Ar₂ is a benzoxazolyl group; R₈ is -CH₃; and R₉ is -CH₃. In another embodiment, the carbon atom to which the R₃ group is attached has the R configuration. In another embodiment, the carbon atom to which the R₃ group is attached has the S configuration.

In the Benzoazolylpiperazine Compounds the R₃ group can be on any carbon of the piperazine ring. In one embodiment, the R₃ group is attached to a carbon atom adjacent to the nitrogen atom attached to the pyridyl group, pyrimidinyl group, pyrazinyl group, pyridazinyl group, or thiazanyl group. In another embodiment, the R₃ group is attached to a carbon atom adjacent to the nitrogen atom attached to the -(A)- group, when x is 1; or the R₃ group is attached to the carbon atom adjacent to the nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the benzoxazolyl group, when x is 0.

In one embodiment, wherein the Benzoazolylpiperazine Compound has an R₃ group, the carbon atom to which the R₃ group is attached has the (R) configuration. In

another embodiment, wherein the Benzoazolylpiperazine Compound has an R₃ group, the carbon atom to which the R₃ group is attached has the (S) configuration.

In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen atom attached to the 5 pyridyl group, pyrimidinyl group, pyrazinyl group, pyridazinyl group, or thiazanyl group; and the carbon to which the R₃ group is attached is in the (R) configuration. In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the pyridyl group, pyrimidinyl group, pyrazinyl group, pyridazinyl group, or thiazanyl group; the carbon to which the R₃ group is 10 attached is in the (R) configuration; and R₃ is -(C₁-C₄)alkyl unsubstituted or substituted with one or more halo groups. In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the pyridyl group, pyrimidinyl group, pyrazinyl group, pyridazinyl group, or thiazanyl group; the carbon to which the R₃ group is attached is in the (R) configuration; and R₃ is -CH₃. In 15 another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the pyridyl group, pyrimidinyl group, pyrazinyl group, pyridazinyl group, or thiazanyl group; the carbon to which the R₃ group is attached is in the (R) configuration; and R₃ is -CF₃. In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom 20 adjacent to a nitrogen attached to the pyridyl group, pyrimidinyl group, pyrazinyl group, pyridazinyl group, or thiazanyl group; the carbon to which the R₃ group is attached is in the (R) configuration; and R₃ is -CH₂CH₃.

In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen atom attached to the 25 -(A)- group, when x is 1; or the R₃ group is attached to the carbon atom adjacent to the nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the benzooxazolyl group when x is 0; and the carbon to which the R₃ group is attached is in the (R) configuration. In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the -(A)- 30 group, when x is 1; or the R₃ group is attached to the carbon atom adjacent to the nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the benzooxazolyl

group, when x is 0; the carbon to which the R₃ group is attached is in the (R) configuration; and R₃ is -(C₁-C₄)alkyl unsubstituted or substituted with one or more halo groups. In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the -(A)- group, when x is 1; or

5 the R₃ group is attached to the carbon atom adjacent to the nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the benzooxazolyl group when x is 0; the carbon to which the R₃ group is attached is in the (R) configuration; and R₃ is -CH₃. In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the -(A)- group, when x is 1; or

10 the R₃ group is attached to the carbon atom adjacent to the nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the benzooxazolyl group, when x is 0; the carbon to which the R₃ group is attached is in the (R) configuration; and R₃ is -CF₃. In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the -(A)- group, when x is 1; or

15 the R₃ group is attached to the carbon atom adjacent to the nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the benzooxazolyl group, when x is 0; the carbon to which the R₃ group is attached is in the (R) configuration; and R₃ is -CH₂CH₃.

In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen atom attached to the pyridyl group, pyrimidinyl group, pyrazinyl group, pyridazinyl group, or thiazanyl group; and the carbon to which the R₃ group is attached is in the (S) configuration. In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the pyridyl group, pyrimidinyl group, pyrazinyl group, pyridazinyl group, or thiazanyl group; the carbon to which the R₃ group is attached is in the (S) configuration; and R₃ is -(C₁-C₄)alkyl unsubstituted or substituted with one or more halo groups. In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the pyridyl group, pyrimidinyl group, pyrazinyl group, pyridazinyl group, or thiazanyl group; the carbon to which the R₃ group is attached is in the (S) configuration; and R₃ is -CH₃. In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the pyridyl group, pyrimidinyl

group, pyrazinyl group, pyridazinyl group, or thiazanyl group; the carbon to which the R₃ group is attached is in the (S) configuration; and R₃ is -CF₃. In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the pyridyl group, pyrimidinyl group, pyrazinyl group,
5 pyridazinyl group, or thiazanyl group; the carbon to which the R₃ group is attached is in the (S) configuration; and R₃ is -CH₂CH₃.

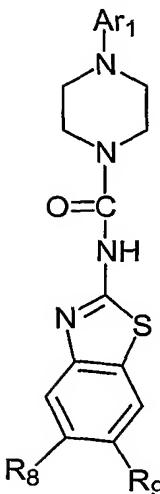
In another embodiment, the Benzoazolylpiperazine Compound has an R₃ groups; the R₃ group is attached to a carbon atom adjacent to a nitrogen atom attached to the -(A)- group, when x is 1; or the R₃ group is attached to the carbon atom adjacent to the
10 nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the benzooxazolyl group, when x is 0; and the carbon to which the R₃ group is attached is in the (S) configuration. In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the -(A)- group, when x is 1; or the R₃ group is attached to the carbon atom adjacent to the nitrogen
15 atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the benzooxazolyl group, when x is 0; the carbon to which the R₃ group is attached is in the (S) configuration; and R₃ is -(C₁-C₄)alkyl unsubstituted or substituted with one or more halo groups. In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the -(A)- group, when x is 1; or the R₃
20 group is attached to the carbon atom adjacent to the nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the benzooxazolyl group, when x is 0; the carbon to which the R₃ group is attached is in the (S) configuration; and R₃ is -CH₃. In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the -(A)- group, when x is 1; or
25 the R₃ group is attached to the carbon atom adjacent to the nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the benzooxazolyl group, when x is 0; the carbon to which the R₃ group is attached is in the (S) configuration; and R₃ is -CF₃. In another embodiment, the Benzoazolylpiperazine Compound has an R₃ group; the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the -(A)- group, when x is 1; or
30 the R₃ group is attached to the carbon atom adjacent to the nitrogen atom attached to the

benzothiazolyl group, the benzoimidazolyl group, or the benzooxazolyl group, when x is 0; the carbon to which the R₃ group is attached is in the (S) configuration; and R₃ is -CH₂CH₃.

In a preferred embodiment, the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the -(A)- group, when x is 1; or the R₃ group is attached to the 5 carbon atom adjacent to the nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the benzooxazolyl group, when x is 0; and the R₃ group is a -CH₃. In another preferred embodiment, the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the -(A)- group, when x is 1; or the R₃ group is attached to the carbon atom adjacent to the nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl 10 group, or the benzooxazolyl group, when x is 0 and the R₃ group is a -CF₃. In another preferred embodiment, the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the -(A)- group, when x is 1; or the R₃ group is attached to the carbon atom adjacent to the nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the benzooxazolyl group, when x is 0; and the R₃ group is a -CH₂CH₃. In another 15 preferred embodiment, the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the -(A)- group, when x is 1; or the R₃ group is attached to the carbon atom adjacent to the nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the benzooxazolyl group, when x is 0; and the carbon to which the R₃ group is attached is in the (R) configuration. In another preferred embodiment, the R₃ group is 20 attached to a carbon atom adjacent to a nitrogen attached to the -(A)- group, when x is 1; or the R₃ group is attached to the carbon atom adjacent to the nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the benzooxazolyl group, when x is 0; the carbon to which the R₃ group is attached is in the (R) configuration; and the R₃ group is a -CH₃. In another preferred embodiment, the R₃ group is attached to a carbon atom adjacent to the 25 nitrogen attached to the -(A)- group, when x is 1; or the R₃ group is attached to the carbon atom adjacent to the nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the benzooxazolyl group, when x is 0; the carbon to which the R₃ group is attached is in the (R) configuration; and the R₃ group is a -CF₃. In another preferred embodiment, the R₃ group is attached to a carbon atom adjacent to a nitrogen attached to the 30 -(A)- group, when x is 1; or the R₃ group is attached to the carbon atom adjacent to the nitrogen atom attached to the benzothiazolyl group, the benzoimidazolyl group, or the

benzooxazolyl group, when x is 0; the carbon to which the R₃ group is attached is in the (R) configuration; and the R₃ group is a -CH₂CH₃.

Illustrative Benzoazolylpiperazine Compounds are listed below in Tables I-XXII:

Table I

and pharmaceutically acceptable salts thereof, wherein:

	<u>Compound</u>	<u>Ar₁</u>	<u>R₈</u>	<u>R₉</u>
15	AAA	-2-(3-chloropyridyl)	-Cl	-H
	AAB	-2-(3-chloropyridyl)	-Br	-H
	AAC	-2-(3-chloropyridyl)	-F	-H
	AAD	-2-(3-chloropyridyl)	-CH ₃	-H
	AAE	-2-(3-chloropyridyl)	-CF ₃	-H
20	AAF	-2-(3-chloropyridyl)	-OCH ₃	-H
	AAG	-2-(3-chloropyridyl)	-OCH ₂ CH ₃	-H
	AAH	-2-(3-chloropyridyl)	-OCF ₃	-H
	AAI	-2-(3-chloropyridyl)	- <i>tert</i> -butyl	-H
	AAJ	-2-(3-chloropyridyl)	- <i>iso</i> -propyl	-H
25	AAK	-2-(3-chloropyridyl)	-CH ₃	-CH ₃
	AAL	-2-(3-chloropyridyl)	-H	-H
	AAM	-2-(3-chloropyridyl)	-H	-Cl
	AAN	-2-(3-chloropyridyl)	-H	-Br
	AAO	-2-(3-chloropyridyl)	-H	-F
30	AAP	-2-(3-chloropyridyl)	-H	-CH ₃

	AAQ	-2-(3-chloropyridyl)	-H	-CF ₃
	AAR	-2-(3-chloropyridyl)	-H	-OCH ₃
	AAS	-2-(3-chloropyridyl)	-H	-OCH ₂ CH ₃
	AAT	-2-(3-chloropyridyl)	-H	-OCF ₃
5	AAU	-2-(3-chloropyridyl)	-H	- <i>tert</i> -butyl
	AAV	-2-(3-chloropyridyl)	-H	- <i>iso</i> -propyl
	AAW	-2-(3-methylpyridyl)	-Cl	-H
	AAX	-2-(3-methylpyridyl)	-Br	-H
	AAY	-2-(3-methylpyridyl)	-F	-H
10	AAZ	-2-(3-methylpyridyl)	-CH ₃	-H
	ABA	-2-(3-methylpyridyl)	-CF ₃	-H
	ABB	-2-(3-methylpyridyl)	-OCH ₃	-H
	ABC	-2-(3-methylpyridyl)	-OCH ₂ CH ₃	-H
	ABD	-2-(3-methylpyridyl)	-OCF ₃	-H
15	ABE	-2-(3-methylpyridyl)	- <i>tert</i> -butyl	-H
	ABF	-2-(3-methylpyridyl)	- <i>iso</i> -propyl	-H
	ABG	-2-(3-methylpyridyl)	-CH ₃	-CH ₃
	ABH	-2-(3-methylpyridyl)	-H	-H
	ABI	-2-(3-methylpyridyl)	-H	-Cl
20	ABJ	-2-(3-methylpyridyl)	-H	-Br
	ABK	-2-(3-methylpyridyl)	-H	-F
	ABL	-2-(3-methylpyridyl)	-H	-CH ₃
	ABM	-2-(3-methylpyridyl)	-H	-CF ₃
	ABN	-2-(3-methylpyridyl)	-H	-OCH ₃
25	ABO	-2-(3-methylpyridyl)	-H	-OCH ₂ CH ₃
	ABP	-2-(3-methylpyridyl)	-H	-OCF ₃
	ABQ	-2-(3-methylpyridyl)	-H	- <i>tert</i> -butyl
	ABR	-2-(3-methylpyridyl)	-H	- <i>iso</i> -propyl
	ABS	-2-(3-CF ₃ -pyridyl)	-Cl	-H

	ABT	-2-(3-CF ₃ -pyridyl)	-Br	-H
	ABU	-2-(3-CF ₃ -pyridyl)	-F	-H
	ABV	-2-(3-CF ₃ -pyridyl)	-CH ₃	-H
	ABW	-2-(3-CF ₃ -pyridyl)	-CF ₃	-H
5	ABX	-2-(3-CF ₃ -pyridyl)	-OCH ₃	-H
	ABY	-2-(3-CF ₃ -pyridyl)	-OCH ₂ CH ₃	-H
	ABZ	-2-(3-CF ₃ -pyridyl)	-OCF ₃	-H
	ACA	-2-(3-CF ₃ -pyridyl)	- <i>tert</i> -butyl	-H
	ACB	-2-(3-CF ₃ -pyridyl)	- <i>iso</i> -propyl	-H
10	ACC	-2-(3-CF ₃ -pyridyl)	-CH ₃	-CH ₃
	ACD	-2-(3-CF ₃ -pyridyl)	-H	-H
	ACE	-2-(3-CF ₃ -pyridyl)	-H	-Cl
	ACF	-2-(3-CF ₃ -pyridyl)	-H	-Br
	ACG	-2-(3-CF ₃ -pyridyl)	-H	-F
15	ACH	-2-(3-CF ₃ -pyridyl)	-H	-CH ₃
	ACI	-2-(3-CF ₃ -pyridyl)	-H	-CF ₃
	ACJ	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₃
	ACK	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₂ CH ₃
	ACL	-2-(3-CF ₃ -pyridyl)	-H	-OCF ₃
20	ACM	-2-(3-CF ₃ -pyridyl)	-H	- <i>tert</i> -butyl
	ACN	-2-(3-CF ₃ -pyridyl)	-H	- <i>iso</i> -propyl
	ACO	-4-(5-chloropyrimidinyl)	-Cl	-H
	ACP	-4-(5-chloropyrimidinyl)	-Br	-H
	ACQ	-4-(5-chloropyrimidinyl)	-F	-H
25	ACR	-4-(5-chloropyrimidinyl)	-CH ₃	-H
	ACS	-4-(5-chloropyrimidinyl)	-CF ₃	-H
	ACT	-4-(5-chloropyrimidinyl)	-OCH ₃	-H
	ACU	-4-(5-chloropyrimidinyl)	-OCH ₂ CH ₃	-H
	ACV	-4-(5-chloropyrimidinyl)	-OCF ₃	-H

	ACW	-4-(5-chloropyrimidinyl)	- <i>tert</i> -butyl	-H
	ACX	-4-(5-chloropyrimidinyl)	- <i>iso</i> -propyl	-H
	ACY	-4-(5-chloropyrimidinyl)	-CH ₃	-CH ₃
	ACZ	-4-(5-chloropyrimidinyl)	-H	-H
5	ADA	-4-(5-chloropyrimidinyl)	-H	-Cl
	ADB	-4-(5-chloropyrimidinyl)	-H	-Br
	ADC	-4-(5-chloropyrimidinyl)	-H	-F
	ADD	-4-(5-chloropyrimidinyl)	-H	-CH ₃
	ADE	-4-(5-chloropyrimidinyl)	-H	-CF ₃
	ADF	-4-(5-chloropyrimidinyl)	-H	-OCH ₃
	ADG	-4-(5-chloropyrimidinyl)	-H	-OCH ₂ CH ₃
10	ADH	-4-(5-chloropyrimidinyl)	-H	-OCF ₃
	ADI	-4-(5-chloropyrimidinyl)	-H	- <i>tert</i> -butyl
	ADJ	-4-(5-chloropyrimidinyl)	-H	- <i>iso</i> -propyl
	ADK	-4-(5-methylpyrimidinyl)	-Cl	-H
	ADL	-4-(5-methylpyrimidinyl)	-Br	-H
	ADM	-4-(5-methylpyrimidinyl)	-F	-H
	ADN	-4-(5-methylpyrimidinyl)	-CH ₃	-H
15	ADO	-4-(5-methylpyrimidinyl)	-CF ₃	-H
	ADP	-4-(5-methylpyrimidinyl)	-OCH ₃	-H
	ADQ	-4-(5-methylpyrimidinyl)	-OCH ₂ CH ₃	-H
	ADR	-4-(5-methylpyrimidinyl)	-OCF ₃	-H
	ADS	-4-(5-methylpyrimidinyl)	- <i>tert</i> -butyl	-H
	ADT	-4-(5-methylpyrimidinyl)	- <i>iso</i> -propyl	-H
	ADU	-4-(5-methylpyrimidinyl)	-CH ₃	-CH ₃
20	ADV	-4-(5-methylpyrimidinyl)	-H	-H
	ADW	-4-(5-methylpyrimidinyl)	-H	-Cl
	ADX	-4-(5-methylpyrimidinyl)	-H	-Br
	ADY	-4-(5-methylpyrimidinyl)	-H	-F

	ADZ	-4-(5-methylpyrimidinyl)	-H	-CH ₃
	AEA	-4-(5-methylpyrimidinyl)	-H	-CF ₃
	AEB	-4-(5-methylpyrimidinyl)	-H	-OCH ₃
	AEC	-4-(5-methylpyrimidinyl)	-H	-OCH ₂ CH ₃
5	AED	-4-(5-methylpyrimidinyl)	-H	-OCF ₃
	AAE	-4-(5-methylpyrimidinyl)	-H	- <i>tert</i> -butyl
	AEF	-4-(5-methylpyrimidinyl)	-H	- <i>iso</i> -propyl
	AEG	-2-pyrazinyl	-Cl	-H
	AEH	-2-pyrazinyl	-Br	-H
10	AEI	-2-pyrazinyl	-F	-H
	AEJ	-2-pyrazinyl	-CH ₃	-H
	AEK	-2-pyrazinyl	-CF ₃	-H
	AEL	-2-pyrazinyl	-OCH ₃	-H
	AEM	-2-pyrazinyl	-OCH ₂ CH ₃	-H
15	AEN	-2-pyrazinyl	-OCF ₃	-H
	AEO	-2-pyrazinyl	- <i>tert</i> -butyl	-H
	AEP	-2-pyrazinyl	- <i>iso</i> -propyl	-H
	AEQ	-2-pyrazinyl	-CH ₃	-CH ₃
	AER	-2-pyrazinyl	-H	-H
20	AES	-2-pyrazinyl	-H	-Cl
	AET	-2-pyrazinyl	-H	-Br
	AEU	-2-pyrazinyl	-H	-F
	AEV	-2-pyrazinyl	-H	-CH ₃
	AEW	-2-pyrazinyl	-H	-CF ₃
25	AEX	-2-pyrazinyl	-H	-OCH ₃
	AEY	-2-pyrazinyl	-H	-OCH ₂ CH ₃
	AEZ	-2-pyrazinyl	-H	-OCF ₃
	AFA	-2-pyrazinyl	-H	- <i>tert</i> -butyl
	AFB	-2-pyrazinyl	-H	- <i>iso</i> -propyl

AFC	-2-(3-chloropyrazinyl)	-Cl	-H
AFD	-2-(3-chloropyrazinyl)	-Br	-H
AFE	-2-(3-chloropyrazinyl)	-F	-H
AFF	-2-(3-chloropyrazinyl)	-CH ₃	-H
5 AFG	-2-(3-chloropyrazinyl)	-CF ₃	-H
AFH	-2-(3-chloropyrazinyl)	-OCH ₃	-H
AFI	-2-(3-chloropyrazinyl)	-OCH ₂ CH ₃	-H
AFJ	-2-(3-chloropyrazinyl)	-OCF ₃	-H
AFK	-2-(3-chloropyrazinyl)	- <i>tert</i> -butyl	-H
10 AFL	-2-(3-chloropyrazinyl)	- <i>iso</i> -propyl	-H
AFM	-2-(3-chloropyrazinyl)	-CH ₃	-CH ₃
AFN	-2-(3-chloropyrazinyl)	-H	-H
AFO	-2-(3-chloropyrazinyl)	-H	-Cl
AFP	-2-(3-chloropyrazinyl)	-H	-Br
15 AFQ	-2-(3-chloropyrazinyl)	-H	-F
AFR	-2-(3-chloropyrazinyl)	-H	-CH ₃
AFS	-2-(3-chloropyrazinyl)	-H	-CF ₃
AFT	-2-(3-chloropyrazinyl)	-H	-OCH ₃
AFU	-2-(3-chloropyrazinyl)	-H	-OCH ₂ CH ₃
20 AFV	-2-(3-chloropyrazinyl)	-H	-OCF ₃
AFW	-2-(3-chloropyrazinyl)	-H	- <i>tert</i> -butyl
AFX	-2-(3-chloropyrazinyl)	-H	- <i>iso</i> -propyl
AFY	-2-(3-methylpyrazinyl)	-Cl	-H
AFZ	-2-(3-methylpyrazinyl)	-Br	-H
25 AGA	-2-(3-methylpyrazinyl)	-F	-H
AGB	-2-(3-methylpyrazinyl)	-CH ₃	-H
AGC	-2-(3-methylpyrazinyl)	-CF ₃	-H
AGD	-2-(3-methylpyrazinyl)	-OCH ₃	-H
AGE	-2-(3-methylpyrazinyl)	-OCH ₂ CH ₃	-H

	AGF	-2-(3-methylpyrazinyl)	-OCF ₃	-H
	AGG	-2-(3-methylpyrazinyl)	- <i>tert</i> -butyl	-H
	AGH	-2-(3-methylpyrazinyl)	- <i>iso</i> -propyl	-H
	AGI	-2-(3-methylpyrazinyl)	-CH ₃	-CH ₃
5	AGJ	-2-(3-methylpyrazinyl)	-H	-H
	AGK	-2-(3-methylpyrazinyl)	-H	-Cl
	AGL	-2-(3-methylpyrazinyl)	-H	-Br
	AGM	-2-(3-methylpyrazinyl)	-H	-F
	AGN	-2-(3-methylpyrazinyl)	-H	-CH ₃
10	AGO	-2-(3-methylpyrazinyl)	-H	-CF ₃
	AGP	-2-(3-methylpyrazinyl)	-H	-OCH ₃
	AGQ	-2-(3-methylpyrazinyl)	-H	-OCH ₂ CH ₃
	AGR	-2-(3-methylpyrazinyl)	-H	-OCF ₃
	AGS	-2-(3-methylpyrazinyl)	-H	- <i>tert</i> -butyl
15	AGT	-2-(3-methylpyrazinyl)	-H	- <i>iso</i> -propyl
	AGU	-2-pyridazinyl	-Cl	-H
	AGV	-2-pyridazinyl	-Br	-H
	AGW	-2-pyridazinyl	-F	-H
	AGX	-2-pyridazinyl	-CH ₃	-H
20	AGY	-2-pyridazinyl	-CF ₃	-H
	AGZ	-2-pyridazinyl	-OCH ₃	-H
	AHA	-2-pyridazinyl	-OCH ₂ CH ₃	-H
	AHB	-2-pyridazinyl	-OCF ₃	-H
	AHC	-2-pyridazinyl	- <i>tert</i> -butyl	-H
25	AHD	-2-pyridazinyl	- <i>iso</i> -propyl	-H
	AHE	-2-pyridazinyl	-CH ₃	-CH ₃
	AHF	-2-pyridazinyl	-H	-H
	AHG	-2-pyridazinyl	-H	-Cl
	AHH	-2-pyridazinyl	-H	-Br

AHI	-2-pyridazinyl	-H	-F
AHJ	-2-pyridazinyl	-H	-CH ₃
AHK	-2-pyridazinyl	-H	-CF ₃
AHL	-2-pyridazinyl	-H	-OCH ₃
5 AHM	-2-pyridazinyl	-H	-OCH ₂ CH ₃
AHN	-2-pyridazinyl	-H	-OCF ₃
AHO	-2-pyridazinyl	-H	- <i>tert</i> -butyl
AHP	-2-pyridazinyl	-H	- <i>iso</i> -propyl
AHQ	-3-(4-chloropyridazinyl)	-Cl	-H
10 AHR	-3-(4-chloropyridazinyl)	-Br	-H
AHS	-3-(4-chloropyridazinyl)	-F	-H
AHT	-3-(4-chloropyridazinyl)	-CH ₃	-H
AHU	-3-(4-chloropyridazinyl)	-CF ₃	-H
AHV	-3-(4-chloropyridazinyl)	-OCH ₃	-H
15 AHW	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
AHX	-3-(4-chloropyridazinyl)	-OCF ₃	-H
AHY	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
AHZ	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
20 AIA	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
AIB	-3-(4-chloropyridazinyl)	-H	-H
AIC	-3-(4-chloropyridazinyl)	-H	-Cl
AID	-3-(4-chloropyridazinyl)	-H	-Br
AIE	-3-(4-chloropyridazinyl)	-H	-F
AIF	-3-(4-chloropyridazinyl)	-H	-CH ₃
25 AIG	-3-(4-chloropyridazinyl)	-H	-CF ₃
AIH	-3-(4-chloropyridazinyl)	-H	-OCH ₃
AII	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
AIJ	-3-(4-chloropyridazinyl)	-H	-OCF ₃
AIK	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl

	AIL	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl
	AIM	-3-(4-methylpyridazinyl)	-Cl	-H
	AIN	-3-(4-methylpyridazinyl)	-Br	-H
	ALO	-3-(4-methylpyridazinyl)	-F	-H
5	AIP	-3-(4-methylpyridazinyl)	-CH ₃	-H
	AIQ	-3-(4-methylpyridazinyl)	-CF ₃	-H
	AIR	-3-(4-methylpyridazinyl)	-OCH ₃	-H
	AIS	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
	AIT	-3-(4-methylpyridazinyl)	-OCF ₃	-H
10	AIU	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
	AV	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
	AIW	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
	AIX	-3-(4-methylpyridazinyl)	-H	-H
	AIY	-3-(4-methylpyridazinyl)	-H	-Cl
15	AIZ	-3-(4-methylpyridazinyl)	-H	-Br
	AJA	-3-(4-methylpyridazinyl)	-H	-F
	AJB	-3-(4-methylpyridazinyl)	-H	-CH ₃
	AJC	-3-(4-methylpyridazinyl)	-H	-CF ₃
	AJD	-3-(4-methylpyridazinyl)	-H	-OCH ₃
20	AJE	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
	AJF	-3-(4-methylpyridazinyl)	-H	-OCF ₃
	AJG	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
	AJH	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
	AJI	-4-thiazanyl	-Cl	-H
25	AJJ	-4-thiazanyl	-Br	-H
	AJK	-4-thiazanyl	-F	-H
	AJL	-4-thiazanyl	-CH ₃	-H
	AJM	-4-thiazanyl	-CF ₃	-H
	AJN	-4-thiazanyl	-OCH ₃	-H

AJO	-4-thiazanyl	-OCH ₂ CH ₃	-H
AJP	-4-thiazanyl	-OCF ₃	-H
AJQ	-4-thiazanyl	- <i>tert</i> -butyl	-H
AJR	-4-thiazanyl	- <i>iso</i> -propyl	-H
5 AJS	-4-thiazanyl	-CH ₃	-CH ₃
AJT	-4-thiazanyl	-H	-H
AJU	-4-thiazanyl	-H	-Cl
AJV	-4-thiazanyl	-H	-Br
10 AJW	-4-thiazanyl	-H	-F
AJX	-4-thiazanyl	-H	-CH ₃
AJY	-4-thiazanyl	-H	-CF ₃
AJZ	-4-thiazanyl	-H	-OCH ₃
15 AKA	-4-thiazanyl	-H	-OCH ₂ CH ₃
AKB	-4-thiazanyl	-H	-OCF ₃
AKC	-4-thiazanyl	-H	- <i>tert</i> -butyl
AKD	-4-thiazanyl	-H	- <i>iso</i> -propyl
15 AKE	-5-(4-chlorothiazanyl)	-Cl	-H
AKF	-5-(4-chlorothiazanyl)	-Br	-H
AKG	-5-(4-chlorothiazanyl)	-F	-H
20 AKH	-5-(4-chlorothiazanyl)	-CH ₃	-H
AKI	-5-(4-chlorothiazanyl)	-CF ₃	-H
AKJ	-5-(4-chlorothiazanyl)	-OCH ₃	-H
AKK	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
AKL	-5-(4-chlorothiazanyl)	-OCF ₃	-H
25 AKM	-5-(4-chlorothiazanyl)	- <i>tert</i> -butyl	-H
AKN	-5-(4-chlorothiazanyl)	- <i>iso</i> -propyl	-H
AKO	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
AKP	-5-(4-chlorothiazanyl)	-H	-H
AKQ	-5-(4-chlorothiazanyl)	-H	-Cl

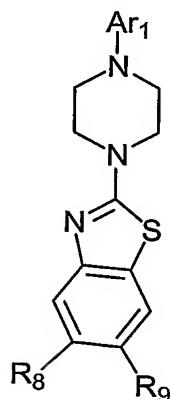
	AKR	-5-(4-chlorothiazanyl)	-H	-Br
	AKS	-5-(4-chlorothiazanyl)	-H	-F
	AKT	-5-(4-chlorothiazanyl)	-H	-CH ₃
	AKU	-5-(4-chlorothiazanyl)	-H	-CF ₃
5	AKV	-5-(4-chlorothiazanyl)	-H	-OCH ₃
	AKW	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
	AKX	-5-(4-chlorothiazanyl)	-H	-OCF ₃
	AKY	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
	AKZ	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
10	ALA	-5-(4-methylthiazanyl)	-Cl	-H
	ALB	-5-(4-methylthiazanyl)	-Br	-H
	ALC	-5-(4-methylthiazanyl)	-F	-H
	ALD	-5-(4-methylthiazanyl)	-CH ₃	-H
	ALE	-5-(4-methylthiazanyl)	-CF ₃	-H
15	ALF	-5-(4-methylthiazanyl)	-OCH ₃	-H
	ALG	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
	ALH	-5-(4-methylthiazanyl)	-OCF ₃	-H
	ALI	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
	ALJ	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
20	ALK	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
	ALL	-5-(4-methylthiazanyl)	-H	-H
	ALM	-5-(4-methylthiazanyl)	-H	-Cl
	ALN	-5-(4-methylthiazanyl)	-H	-Br
	ALO	-5-(4-methylthiazanyl)	-H	-F
25	ALP	-5-(4-methylthiazanyl)	-H	-CH ₃
	ALQ	-5-(4-methylthiazanyl)	-H	-CF ₃
	ALR	-5-(4-methylthiazanyl)	-H	-OCH ₃
	ALS	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
	ALT	-5-(4-methylthiazanyl)	-H	-OCF ₃

ALU	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl
ALV	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl

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Table II

10 and pharmaceutically acceptable salts thereof, wherein:

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<u>Compound</u>	<u>Ar₁</u>	<u>R₈</u>	<u>R₉</u>
ALW	-2-(3-chloropyridyl)	-Cl	-H
ALX	-2-(3-chloropyridyl)	-Br	-H
ALY	-2-(3-chloropyridyl)	-F	-H
ALZ	-2-(3-chloropyridyl)	-CH ₃	-H
AMA	-2-(3-chloropyridyl)	-CF ₃	-H
AMB	-2-(3-chloropyridyl)	-OCH ₃	-H
AMC	-2-(3-chloropyridyl)	-OCH ₂ CH ₃	-H
AMD	-2-(3-chloropyridyl)	-OCF ₃	-H
AME	-2-(3-chloropyridyl)	- <i>tert</i> -butyl	-H
AMF	-2-(3-chloropyridyl)	- <i>iso</i> -propyl	-H
AMG	-2-(3-chloropyridyl)	-CH ₃	-CH ₃
AMH	-2-(3-chloropyridyl)	-H	-H
AMI	-2-(3-chloropyridyl)	-H	-Cl
AMJ	-2-(3-chloropyridyl)	-H	-Br
AMK	-2-(3-chloropyridyl)	-H	-F
AML	-2-(3-chloropyridyl)	-H	-CH ₃
AMM	-2-(3-chloropyridyl)	-H	-CF ₃
AMN	-2-(3-chloropyridyl)	-H	-OCH ₃

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	AMO	-2-(3-chloropyridyl)	-H	-OCH ₂ CH ₃
	AMP	-2-(3-chloropyridyl)	-H	-OCF ₃
	AMQ	-2-(3-chloropyridyl)	-H	- <i>tert</i> -butyl
	AMR	-2-(3-chloropyridyl)	-H	- <i>iso</i> -propyl
5	AMS	-2-(3-methylpyridyl)	-Cl	-H
	AMT	-2-(3-methylpyridyl)	-Br	-H
	AMU	-2-(3-methylpyridyl)	-F	-H
	AMV	-2-(3-methylpyridyl)	-CH ₃	-H
	AMW	-2-(3-methylpyridyl)	-CF ₃	-H
	AMX	-2-(3-methylpyridyl)	-OCH ₃	-H
	AMY	-2-(3-methylpyridyl)	-OCH ₂ CH ₃	-H
10	AMZ	-2-(3-methylpyridyl)	-OCF ₃	-H
	ANA	-2-(3-methylpyridyl)	- <i>tert</i> -butyl	-H
	ANB	-2-(3-methylpyridyl)	- <i>iso</i> -propyl	-H
	ANC	-2-(3-methylpyridyl)	-CH ₃	-CH ₃
	AND	-2-(3-methylpyridyl)	-H	-H
	ANE	-2-(3-methylpyridyl)	-H	-Cl
	ANF	-2-(3-methylpyridyl)	-H	-Br
15	ANG	-2-(3-methylpyridyl)	-H	-F
	ANH	-2-(3-methylpyridyl)	-H	-CH ₃
	ANI	-2-(3-methylpyridyl)	-H	-CF ₃
	ANJ	-2-(3-methylpyridyl)	-H	-OCH ₃
	ANK	-2-(3-methylpyridyl)	-H	-OCH ₂ CH ₃
	ANL	-2-(3-methylpyridyl)	-H	-OCF ₃
	ANM	-2-(3-methylpyridyl)	-H	- <i>tert</i> -butyl
20	ANN	-2-(3-methylpyridyl)	-H	- <i>iso</i> -propyl
	ANO	-2-(3-CF ₃ -pyridyl)	-Cl	-H
	ANP	-2-(3-CF ₃ -pyridyl)	-Br	-H

	ANQ	-2-(3-CF ₃ -pyridyl)	-F	-H
	ANR	-2-(3-CF ₃ -pyridyl)	-CH ₃	-H
	ANS	-2-(3-CF ₃ -pyridyl)	-CF ₃	-H
	ANT	-2-(3-CF ₃ -pyridyl)	-OCH ₃	-H
5	ANU	-2-(3-CF ₃ -pyridyl)	-OCH ₂ CH ₃	-H
	ANV	-2-(3-CF ₃ -pyridyl)	-OCF ₃	-H
	ANW	-2-(3-CF ₃ -pyridyl)	- <i>tert</i> -butyl	-H
	ANX	-2-(3-CF ₃ -pyridyl)	- <i>iso</i> -propyl	-H
	ANY	-2-(3-CF ₃ -pyridyl)	-CH ₃	-CH ₃
	ANZ	-2-(3-CF ₃ -pyridyl)	-H	-H
10	AOA	-2-(3-CF ₃ -pyridyl)	-H	-Cl
	AOB	-2-(3-CF ₃ -pyridyl)	-H	-Br
	AOC	-2-(3-CF ₃ -pyridyl)	-H	-F
	AOD	-2-(3-CF ₃ -pyridyl)	-H	-CH ₃
	AOE	-2-(3-CF ₃ -pyridyl)	-H	-CF ₃
	AOF	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₃
15	AOG	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₂ CH ₃
	AOH	-2-(3-CF ₃ -pyridyl)	-H	-OCF ₃
	AOI	-2-(3-CF ₃ -pyridyl)	-H	- <i>tert</i> -butyl
	AOJ	-2-(3-CF ₃ -pyridyl)	-H	- <i>iso</i> -propyl
	AOK	-4-(5-chloropyrimidinyl)	-Cl	-H
	AOL	-4-(5-chloropyrimidinyl)	-Br	-H
20	AOM	-4-(5-chloropyrimidinyl)	-F	-H
	AON	-4-(5-chloropyrimidinyl)	-CH ₃	-H
	AOO	-4-(5-chloropyrimidinyl)	-CF ₃	-H
	AOP	-4-(5-chloropyrimidinyl)	-OCH ₃	-H
	AOQ	-4-(5-chloropyrimidinyl)	-OCH ₂ CH ₃	-H
	AOR	-4-(5-chloropyrimidinyl)	-OCF ₃	-H
25	AOS	-4-(5-chloropyrimidinyl)	- <i>tert</i> -butyl	-H

AOT	-4-(5-chloropyrimidinyl)	- <i>iso</i> -propyl	-H
AOU	-4-(5-chloropyrimidinyl)	-CH ₃	-CH ₃
AOV	-4-(5-chloropyrimidinyl)	-H	-H
AOW	-4-(5-chloropyrimidinyl)	-H	-Cl
5	AOX	-4-(5-chloropyrimidinyl)	-H
	AOY	-4-(5-chloropyrimidinyl)	-H
	AOZ	-4-(5-chloropyrimidinyl)	-H
	APA	-4-(5-chloropyrimidinyl)	-H
	APB	-4-(5-chloropyrimidinyl)	-H
	APC	-4-(5-chloropyrimidinyl)	-H
	APD	-4-(5-chloropyrimidinyl)	-H
10	APE	-4-(5-chloropyrimidinyl)	-H
	APF	-4-(5-chloropyrimidinyl)	-H
	APG	-4-(5-methylpyrimidinyl)	-Cl
	APH	-4-(5-methylpyrimidinyl)	-Br
	API	-4-(5-methylpyrimidinyl)	-F
	APJ	-4-(5-methylpyrimidinyl)	-CH ₃
	APK	-4-(5-methylpyrimidinyl)	-CF ₃
15	APL	-4-(5-methylpyrimidinyl)	-OCH ₃
	APM	-4-(5-methylpyrimidinyl)	-OCH ₂ CH ₃
	APN	-4-(5-methylpyrimidinyl)	-OCF ₃
	APO	-4-(5-methylpyrimidinyl)	- <i>tert</i> -butyl
	APP	-4-(5-methylpyrimidinyl)	- <i>iso</i> -propyl
	APQ	-4-(5-methylpyrimidinyl)	-CH ₃
	APR	-4-(5-methylpyrimidinyl)	-H
20	APS	-4-(5-methylpyrimidinyl)	-H
	APT	-4-(5-methylpyrimidinyl)	-H
	APU	-4-(5-methylpyrimidinyl)	-H
	APV	-4-(5-methylpyrimidinyl)	-H
			-CH ₃

	APW	-4-(5-methylpyrimidinyl)	-H	-CF ₃
	APX	-4-(5-methylpyrimidinyl)	-H	-OCH ₃
	APY	-4-(5-methylpyrimidinyl)	-H	-OCH ₂ CH ₃
	APZ	-4-(5-methylpyrimidinyl)	-H	-OCF ₃
5	AQA	-4-(5-methylpyrimidinyl)	-H	- <i>tert</i> -butyl
	AQB	-4-(5-methylpyrimidinyl)	-H	- <i>iso</i> -propyl
	AQC	-2-pyrazinyl	-Cl	-H
	AQD	-2-pyrazinyl	-Br	-H
	AQE	-2-pyrazinyl	-F	-H
10	AQF	-2-pyrazinyl	-CH ₃	-H
	AQG	-2-pyrazinyl	-CF ₃	-H
	AQH	-2-pyrazinyl	-OCH ₃	-H
	AQI	-2-pyrazinyl	-OCH ₂ CH ₃	-H
	AQJ	-2-pyrazinyl	-OCF ₃	-H
15	AQK	-2-pyrazinyl	- <i>tert</i> -butyl	-H
	AQL	-2-pyrazinyl	- <i>iso</i> -propyl	-H
	AQM	-2-pyrazinyl	-CH ₃	-CH ₃
	AQN	-2-pyrazinyl	-H	-H
	AQO	-2-pyrazinyl	-H	-Cl
20	AQP	-2-pyrazinyl	-H	-Br
	AQQ	-2-pyrazinyl	-H	-F
	AQR	-2-pyrazinyl	-H	-CH ₃
	AQS	-2-pyrazinyl	-H	-CF ₃
	AQT	-2-pyrazinyl	-H	-OCH ₃
25	AQU	-2-pyrazinyl	-H	-OCH ₂ CH ₃
	AQV	-2-pyrazinyl	-H	-OCF ₃
	AQW	-2-pyrazinyl	-H	- <i>tert</i> -butyl
	AQX	-2-pyrazinyl	-H	- <i>iso</i> -propyl
	AQY	-2-(3-chloropyrazinyl)	-Cl	-H

	AQZ	-2-(3-chloropyrazinyl)	-Br	-H
	ARA	-2-(3-chloropyrazinyl)	-F	-H
	ARB	-2-(3-chloropyrazinyl)	-CH ₃	-H
	ARC	-2-(3-chloropyrazinyl)	-CF ₃	-H
5	ARD	-2-(3-chloropyrazinyl)	-OCH ₃	-H
	ARE	-2-(3-chloropyrazinyl)	-OCH ₂ CH ₃	-H
	ARF	-2-(3-chloropyrazinyl)	-OCF ₃	-H
	ARG	-2-(3-chloropyrazinyl)	- <i>tert</i> -butyl	-H
	ARH	-2-(3-chloropyrazinyl)	- <i>iso</i> -propyl	-H
10	ARI	-2-(3-chloropyrazinyl)	-CH ₃	-CH ₃
	ARJ	-2-(3-chloropyrazinyl)	-H	-H
	ARK	-2-(3-chloropyrazinyl)	-H	-Cl
	ARL	-2-(3-chloropyrazinyl)	-H	-Br
	ARM	-2-(3-chloropyrazinyl)	-H	-F
15	ARN	-2-(3-chloropyrazinyl)	-H	-CH ₃
	ARO	-2-(3-chloropyrazinyl)	-H	-CF ₃
	ARP	-2-(3-chloropyrazinyl)	-H	-OCH ₃
	ARQ	-2-(3-chloropyrazinyl)	-H	-OCH ₂ CH ₃
	ARR	-2-(3-chloropyrazinyl)	-H	-OCF ₃
20	ARS	-2-(3-chloropyrazinyl)	-H	- <i>tert</i> -butyl
	ART	-2-(3-chloropyrazinyl)	-H	- <i>iso</i> -propyl
	ARU	-2-(3-methylpyrazinyl)	-Cl	-H
	ARV	-2-(3-methylpyrazinyl)	-Br	-H
	ARW	-2-(3-methylpyrazinyl)	-F	-H
25	ARX	-2-(3-methylpyrazinyl)	-CH ₃	-H
	ARY	-2-(3-methylpyrazinyl)	-CF ₃	-H
	ARZ	-2-(3-methylpyrazinyl)	-OCH ₃	-H
	ASA	-2-(3-methylpyrazinyl)	-OCH ₂ CH ₃	-H
	ASB	-2-(3-methylpyrazinyl)	-OCF ₃	-H

	ASC	-2-(3-methylpyrazinyl)	- <i>tert</i> -butyl	-H
	ASD	-2-(3-methylpyrazinyl)	- <i>iso</i> -propyl	-H
	ASE	-2-(3-methylpyrazinyl)	-CH ₃	-CH ₃
	ASF	-2-(3-methylpyrazinyl)	-H	-H
5	ASG	-2-(3-methylpyrazinyl)	-H	-Cl
	ASH	-2-(3-methylpyrazinyl)	-H	-Br
	ASI	-2-(3-methylpyrazinyl)	-H	-F
	ASJ	-2-(3-methylpyrazinyl)	-H	-CH ₃
	ASK	-2-(3-methylpyrazinyl)	-H	-CF ₃
10	ASL	-2-(3-methylpyrazinyl)	-H	-OCH ₃
	ASM	-2-(3-methylpyrazinyl)	-H	-OCH ₂ CH ₃
	ASN	-2-(3-methylpyrazinyl)	-H	-OCF ₃
	ASO	-2-(3-methylpyrazinyl)	-H	- <i>tert</i> -butyl
	ASP	-2-(3-methylpyrazinyl)	-H	- <i>iso</i> -propyl
15	ASQ	-2-pyridazinyl	-Cl	-H
	ASR	-2-pyridazinyl	-Br	-H
	ASS	-2-pyridazinyl	-F	-H
	AST	-2-pyridazinyl	-CH ₃	-H
	ASU	-2-pyridazinyl	-CF ₃	-H
20	ASV	-2-pyridazinyl	-OCH ₃	-H
	ASW	-2-pyridazinyl	-OCH ₂ CH ₃	-H
	ASX	-2-pyridazinyl	-OCF ₃	-H
	ASY	-2-pyridazinyl	- <i>tert</i> -butyl	-H
	ASZ	-2-pyridazinyl	- <i>iso</i> -propyl	-H
25	ATA	-2-pyridazinyl	-CH ₃	-CH ₃
	ATB	-2-pyridazinyl	-H	-H
	ATC	-2-pyridazinyl	-H	-Cl
	ATD	-2-pyridazinyl	-H	-Br
	ATE	-2-pyridazinyl	-H	-F

	ATF	-2-pyridazinyl	-H	-CH ₃
	ATG	-2-pyridazinyl	-H	-CF ₃
	ATH	-2-pyridazinyl	-H	-OCH ₃
	ATI	-2-pyridazinyl	-H	-OCH ₂ CH ₃
5	ATJ	-2-pyridazinyl	-H	-OCF ₃
	ATK	-2-pyridazinyl	-H	- <i>tert</i> -butyl
	ATL	-2-pyridazinyl	-H	- <i>iso</i> -propyl
	ATM	-3-(4-chloropyridazinyl)	-Cl	-H
	ATN	-3-(4-chloropyridazinyl)	-Br	-H
10	ATO	-3-(4-chloropyridazinyl)	-F	-H
	ATP	-3-(4-chloropyridazinyl)	-CH ₃	-H
	ATQ	-3-(4-chloropyridazinyl)	-CF ₃	-H
	ATR	-3-(4-chloropyridazinyl)	-OCH ₃	-H
	ATS	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
15	ATT	-3-(4-chloropyridazinyl)	-OCF ₃	-H
	ATU	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
	ATV	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
	ATW	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
	ATX	-3-(4-chloropyridazinyl)	-H	-H
20	ATY	-3-(4-chloropyridazinyl)	-H	-Cl
	ATZ	-3-(4-chloropyridazinyl)	-H	-Br
	AUA	-3-(4-chloropyridazinyl)	-H	-F
	AUB	-3-(4-chloropyridazinyl)	-H	-CH ₃
	AUC	-3-(4-chloropyridazinyl)	-H	-CF ₃
25	AUD	-3-(4-chloropyridazinyl)	-H	-OCH ₃
	AUE	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
	AUF	-3-(4-chloropyridazinyl)	-H	-OCF ₃
	AUG	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl
	AUH	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl

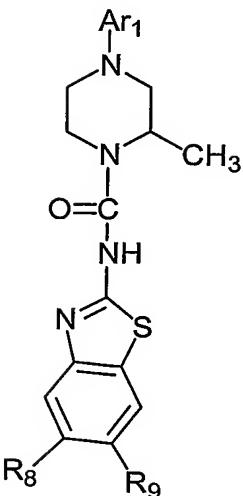
AUI	-3-(4-methylpyridazinyl)	-Cl	-H
AUJ	-3-(4-methylpyridazinyl)	-Br	-H
AUK	-3-(4-methylpyridazinyl)	-F	-H
AUL	-3-(4-methylpyridazinyl)	-CH ₃	-H
5 AUM	-3-(4-methylpyridazinyl)	-CF ₃	-H
AUN	-3-(4-methylpyridazinyl)	-OCH ₃	-H
AUO	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
AUP	-3-(4-methylpyridazinyl)	-OCF ₃	-H
AUQ	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
10 AUR	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
AUS	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
AUT	-3-(4-methylpyridazinyl)	-H	-H
AUU	-3-(4-methylpyridazinyl)	-H	-Cl
AUV	-3-(4-methylpyridazinyl)	-H	-Br
15 AUW	-3-(4-methylpyridazinyl)	-H	-F
AUX	-3-(4-methylpyridazinyl)	-H	-CH ₃
AUY	-3-(4-methylpyridazinyl)	-H	-CF ₃
AUZ	-3-(4-methylpyridazinyl)	-H	-OCH ₃
20 AVA	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
AVB	-3-(4-methylpyridazinyl)	-H	-OCF ₃
AVC	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
AVD	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
AVE	-4-thiazanyl	-Cl	-H
AVF	-4-thiazanyl	-Br	-H
25 AVG	-4-thiazanyl	-F	-H
AVH	-4-thiazanyl	-CH ₃	-H
AVI	-4-thiazanyl	-CF ₃	-H
AVJ	-4-thiazanyl	-OCH ₃	-H
AVK	-4-thiazanyl	-OCH ₂ CH ₃	-H

	AVL	-4-thiazanyl	-OCF ₃	-H
	AVM	-4-thiazanyl	- <i>tert</i> -butyl	-H
	AVN	-4-thiazanyl	- <i>iso</i> -propyl	-H
	AVO	-4-thiazanyl	-CH ₃	-CH ₃
5	AVP	-4-thiazanyl	-H	-H
	AVQ	-4-thiazanyl	-H	-Cl
	AVR	-4-thiazanyl	-H	-Br
	AVS	-4-thiazanyl	-H	-F
	AVT	-4-thiazanyl	-H	-CH ₃
10	AVU	-4-thiazanyl	-H	-CF ₃
	AVV	-4-thiazanyl	-H	-OCH ₃
	AVW	-4-thiazanyl	-H	-OCH ₂ CH ₃
	AVX	-4-thiazanyl	-H	-OCF ₃
	AVY	-4-thiazanyl	-H	- <i>tert</i> -butyl
15	AVZ	-4-thiazanyl	-H	- <i>iso</i> -propyl
	AWA	-5-(4-chlorothiazanyl)	-Cl	-H
	AWB	-5-(4-chlorothiazanyl)	-Br	-H
	AWC	-5-(4-chlorothiazanyl)	-F	-H
	AWD	-5-(4-chlorothiazanyl)	-CH ₃	-H
20	AWE	-5-(4-chlorothiazanyl)	-CF ₃	-H
	AWF	-5-(4-chlorothiazanyl)	-OCH ₃	-H
	AWG	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
	AWH	-5-(4-chlorothiazanyl)	-OCF ₃	-H
	AWI	-5-(4-chlorothiazanyl)	- <i>tert</i> -butyl	-H
25	AWJ	-5-(4-chlorothiazanyl)	- <i>iso</i> -propyl	-H
	AWK	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
	AWL	-5-(4-chlorothiazanyl)	-H	-H
	AWM	-5-(4-chlorothiazanyl)	-H	-Cl
	AWN	-5-(4-chlorothiazanyl)	-H	-Br

	AWO	-5-(4-chlorothiazanyl)	-H	-F
	AWP	-5-(4-chlorothiazanyl)	-H	-CH ₃
	AWQ	-5-(4-chlorothiazanyl)	-H	-CF ₃
	AWR	-5-(4-chlorothiazanyl)	-H	-OCH ₃
5	AWS	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
	AWT	-5-(4-chlorothiazanyl)	-H	-OCF ₃
	AWU	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
	AWV	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
	AWW	-5-(4-methylthiazanyl)	-Cl	-H
10	AWX	-5-(4-methylthiazanyl)	-Br	-H
	AWY	-5-(4-methylthiazanyl)	-F	-H
	AWZ	-5-(4-methylthiazanyl)	-CH ₃	-H
	AXA	-5-(4-methylthiazanyl)	-CF ₃	-H
	AXB	-5-(4-methylthiazanyl)	-OCH ₃	-H
15	AXC	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
	AXD	-5-(4-methylthiazanyl)	-OCF ₃	-H
	AXE	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
	AXF	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
	AXG	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
20	AXH	-5-(4-methylthiazanyl)	-H	-H
	AXI	-5-(4-methylthiazanyl)	-H	-Cl
	AXJ	-5-(4-methylthiazanyl)	-H	-Br
	AXK	-5-(4-methylthiazanyl)	-H	-F
	AXL	-5-(4-methylthiazanyl)	-H	-CH ₃
25	AXM	-5-(4-methylthiazanyl)	-H	-CF ₃
	AXN	-5-(4-methylthiazanyl)	-H	-OCH ₃
	AXO	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
	AXP	-5-(4-methylthiazanyl)	-H	-OCF ₃
	AXQ	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl

AXR	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl
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Table III



and pharmaceutically acceptable salts thereof, wherein:

<u>Compound</u>	<u>Ar₁</u>	<u>R₈</u>	<u>R₉</u>
15 AXS (a, b, and c)	-2-(3-chloropyridyl)	-Cl	-H
AXT (a, b, and c)	-2-(3-chloropyridyl)	-Br	-H
AXU (a, b, and c)	-2-(3-chloropyridyl)	-F	-H
AXV (a, b, and c)	-2-(3-chloropyridyl)	-CH ₃	-H
AXW (a, b, and c)	-2-(3-chloropyridyl)	-CF ₃	-H
20 AXX (a, b, and c)	-2-(3-chloropyridyl)	-OCH ₃	-H
AXY (a, b, and c)	-2-(3-chloropyridyl)	-OCH ₂ CH ₃	-H
AXZ (a, b, and c)	-2-(3-chloropyridyl)	-OCF ₃	-H
AYA (a, b, and c)	-2-(3-chloropyridyl)	- <i>tert</i> -butyl	-H
AYB (a, b, and c)	-2-(3-chloropyridyl)	- <i>iso</i> -propyl	-H
25 AYC (a, b, and c)	-2-(3-chloropyridyl)	-CH ₃	-CH ₃
AYD (a, b, and c)	-2-(3-chloropyridyl)	-H	-H
AYE (a, b, and c)	-2-(3-chloropyridyl)	-H	-Cl
AYF (a, b, and c)	-2-(3-chloropyridyl)	-H	-Br
AYG (a, b, and c)	-2-(3-chloropyridyl)	-H	-F
30 AYH (a, b, and c)	-2-(3-chloropyridyl)	-H	-CH ₃

	AYI (a, b, and c)	-2-(3-chloropyridyl)	-H	-CF ₃
	AYJ (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCH ₃
	AYK (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCH ₂ CH ₃
	AYL (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCF ₃
5	AYM (a, b, and c)	-2-(3-chloropyridyl)	-H	- <i>tert</i> -butyl
	AYN (a, b, and c)	-2-(3-chloropyridyl)	-H	- <i>iso</i> -propyl
	AYO (a, b, and c)	-2-(3-methylpyridyl)	-Cl	-H
	AYP (a, b, and c)	-2-(3-methylpyridyl)	-Br	-H
	AYQ (a, b, and c)	-2-(3-methylpyridyl)	-F	-H
	AYR (a, b, and c)	-2-(3-methylpyridyl)	-CH ₃	-H
10	AYS (a, b, and c)	-2-(3-methylpyridyl)	-CF ₃	-H
	AYT (a, b, and c)	-2-(3-methylpyridyl)	-OCH ₃	-H
	AYU (a, b, and c)	-2-(3-methylpyridyl)	-OCH ₂ CH ₃	-H
	AYV (a, b, and c)	-2-(3-methylpyridyl)	-OCF ₃	-H
	AYW (a, b, and c)	-2-(3-methylpyridyl)	- <i>tert</i> -butyl	-H
	AYX (a, b, and c)	-2-(3-methylpyridyl)	- <i>iso</i> -propyl	-H
15	AYY (a, b, and c)	-2-(3-methylpyridyl)	-CH ₃	-CH ₃
	AYZ (a, b, and c)	-2-(3-methylpyridyl)	-H	-H
	AZA (a, b, and c)	-2-(3-methylpyridyl)	-H	-Cl
	AZB (a, b, and c)	-2-(3-methylpyridyl)	-H	-Br
	AZC (a, b, and c)	-2-(3-methylpyridyl)	-H	-F
	AZD (a, b, and c)	-2-(3-methylpyridyl)	-H	-CH ₃
20	AZE (a, b, and c)	-2-(3-methylpyridyl)	-H	-CF ₃
	AZF (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCH ₃
	AZG (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCH ₂ CH ₃
	AZH (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCF ₃
	AZI (a, b, and c)	-2-(3-methylpyridyl)	-H	- <i>tert</i> -butyl
	AZJ (a, b, and c)	-2-(3-methylpyridyl)	-H	- <i>iso</i> -propyl
25	AZK (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-Cl	-H

AZL (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-Br	-H
AZM (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-F	-H
AZN (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CH ₃	-H
AZO (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CF ₃	-H
5 AZP (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCH ₃	-H
AZQ (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCH ₂ CH ₃	-H
AZR (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCF ₃	-H
AZS (a, b, and c)	-2-(3-CF ₃ -pyridyl)	- <i>tert</i> -butyl	-H
10 AZT (a, b, and c)	-2-(3-CF ₃ -pyridyl)	- <i>iso</i> -propyl	-H
AZU (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CH ₃	-CH ₃
AZV (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-H
AZW (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-Cl
AZX (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-Br
AZY (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-F
15 AZZ (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-CH ₃
BAA (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-CF ₃
BAB (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₃
BAC (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₂ CH ₃
BAD (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCF ₃
20 BAE (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	- <i>tert</i> -butyl
BAF (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	- <i>iso</i> -propyl
BAG (a, b, and c)	-4-(5-chloropyrimidinyl)	-Cl	-H
BAH (a, b, and c)	-4-(5-chloropyrimidinyl)	-Br	-H
BAI (a, b, and c)	-4-(5-chloropyrimidinyl)	-F	-H
25 BAJ (a, b, and c)	-4-(5-chloropyrimidinyl)	-CH ₃	-H
BAK (a, b, and c)	-4-(5-chloropyrimidinyl)	-CF ₃	-H
BAL (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCH ₃	-H
BAM (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCH ₂ CH ₃	-H
BAN (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCF ₃	-H

	BAO (a, b, and c)	-4-(5-chloropyrimidinyl)	- <i>tert</i> -butyl	-H
	BAP (a, b, and c)	-4-(5-chloropyrimidinyl)	- <i>iso</i> -propyl	-H
	BAQ (a, b, and c)	-4-(5-chloropyrimidinyl)	-CH ₃	-CH ₃
	BAR (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-H
5	BAS (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-Cl
	BAT (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-Br
	BAU (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-F
	BAV (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-CH ₃
	BAW (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-CF ₃
10	BAX (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCH ₃
	BAY (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCH ₂ CH ₃
	BAZ (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCF ₃
	BBA (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	- <i>tert</i> -butyl
	BBB (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	- <i>iso</i> -propyl
15	BBC (a, b, and c)	-4-(5-methylpyrimidinyl)	-Cl	-H
	BBD (a, b, and c)	-4-(5-methylpyrimidinyl)	-Br	-H
	BBE (a, b, and c)	-4-(5-methylpyrimidinyl)	-F	-H
	BBF (a, b, and c)	-4-(5-methylpyrimidinyl)	-CH ₃	-H
	BBG (a, b, and c)	-4-(5-methylpyrimidinyl)	-CF ₃	-H
20	BBH (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCH ₃	-H
	BBI (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCH ₂ CH ₃	-H
	BBJ (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCF ₃	-H
	BBK (a, b, and c)	-4-(5-methylpyrimidinyl)	- <i>tert</i> -butyl	-H
	BBL (a, b, and c)	-4-(5-methylpyrimidinyl)	- <i>iso</i> -propyl	-H
25	BBM (a, b, and c)	-4-(5-methylpyrimidinyl)	-CH ₃	-CH ₃
	BBN (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-H
	BBO (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-Cl
	BBP (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-Br
	BBQ (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-F

	BBR (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-CH ₃
	BBS (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-CF ₃
	BBT (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCH ₃
	BBU (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCH ₂ CH ₃
5	BBV (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCF ₃
	BBW (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	- <i>tert</i> -butyl
	BBX (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	- <i>iso</i> -propyl
	BBY (a, b, and c)	-2-pyrazinyl	-Cl	-H
	BBZ (a, b, and c)	-2-pyrazinyl	-Br	-H
10	BCA (a, b, and c)	-2-pyrazinyl	-F	-H
	BCB (a, b, and c)	-2-pyrazinyl	-CH ₃	-H
	BCC (a, b, and c)	-2-pyrazinyl	-CF ₃	-H
	BCD (a, b, and c)	-2-pyrazinyl	-OCH ₃	-H
	BCE (a, b, and c)	-2-pyrazinyl	-OCH ₂ CH ₃	-H
15	BCF (a, b, and c)	-2-pyrazinyl	-OCF ₃	-H
	BCG (a, b, and c)	-2-pyrazinyl	- <i>tert</i> -butyl	-H
	BCH (a, b, and c)	-2-pyrazinyl	- <i>iso</i> -propyl	-H
	BCI (a, b, and c)	-2-pyrazinyl	-CH ₃	-CH ₃
	BCJ (a, b, and c)	-2-pyrazinyl	-H	-H
20	BCK (a, b, and c)	-2-pyrazinyl	-H	-Cl
	BCL (a, b, and c)	-2-pyrazinyl	-H	-Br
	BCM (a, b, and c)	-2-pyrazinyl	-H	-F
	BCN (a, b, and c)	-2-pyrazinyl	-H	-CH ₃
	BCO (a, b, and c)	-2-pyrazinyl	-H	-CF ₃
25	BCP (a, b, and c)	-2-pyrazinyl	-H	-OCH ₃
	BCQ (a, b, and c)	-2-pyrazinyl	-H	-OCH ₂ CH ₃
	BCR (a, b, and c)	-2-pyrazinyl	-H	-OCF ₃
	BCS (a, b, and c)	-2-pyrazinyl	-H	- <i>tert</i> -butyl
	BCT (a, b, and c)	-2-pyrazinyl	-H	- <i>iso</i> -propyl

	BCU (a, b, and c)	-2-(3-chloropyrazinyl)	-Cl	-H
	BCV (a, b, and c)	-2-(3-chloropyrazinyl)	-Br	-H
	BCW (a, b, and c)	-2-(3-chloropyrazinyl)	-F	-H
	BCX (a, b, and c)	-2-(3-chloropyrazinyl)	-CH ₃	-H
5	BCY (a, b, and c)	-2-(3-chloropyrazinyl)	-CF ₃	-H
	BCZ (a, b, and c)	-2-(3-chloropyrazinyl)	-OCH ₃	-H
	BDA (a, b, and c)	-2-(3-chloropyrazinyl)	-OCH ₂ CH ₃	-H
	BDB (a, b, and c)	-2-(3-chloropyrazinyl)	-OCF ₃	-H
	BDC (a, b, and c)	-2-(3-chloropyrazinyl)	- <i>tert</i> -butyl	-H
10	BDD (a, b, and c)	-2-(3-chloropyrazinyl)	- <i>iso</i> -propyl	-H
	BDE (a, b, and c)	-2-(3-chloropyrazinyl)	-CH ₃	-CH ₃
	BDF (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-H
	BDG (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-Cl
	BDH (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-Br
15	BDI (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-F
	BDJ (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-CH ₃
	BDK (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-CF ₃
	BDL (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCH ₃
	BDM (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCH ₂ CH ₃
20	BDN (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCF ₃
	BDO (a, b, and c)	-2-(3-chloropyrazinyl)	-H	- <i>tert</i> -butyl
	BDP (a, b, and c)	-2-(3-chloropyrazinyl)	-H	- <i>iso</i> -propyl
	BDQ (a, b, and c)	-2-(3-methylpyrazinyl)	-Cl	-H
	BDR (a, b, and c)	-2-(3-methylpyrazinyl)	-Br	-H
25	BDS (a, b, and c)	-2-(3-methylpyrazinyl)	-F	-H
	BDT (a, b, and c)	-2-(3-methylpyrazinyl)	-CH ₃	-H
	BDU (a, b, and c)	-2-(3-methylpyrazinyl)	-CF ₃	-H
	BDV (a, b, and c)	-2-(3-methylpyrazinyl)	-OCH ₃	-H
	BDW (a, b, and c)	-2-(3-methylpyrazinyl)	-OCH ₂ CH ₃	-H

	BDX (a, b, and c)	-2-(3-methylpyrazinyl)	-OCF ₃	-H
	BDY (a, b, and c)	-2-(3-methylpyrazinyl)	- <i>tert</i> -butyl	-H
	BDZ (a, b, and c)	-2-(3-methylpyrazinyl)	- <i>iso</i> -propyl	-H
	BEA (a, b, and c)	-2-(3-methylpyrazinyl)	-CH ₃	-CH ₃
5	BEB (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-H
	BEC (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-Cl
	BED (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-Br
	BEE (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-F
	BEF (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-CH ₃
10	BEG (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-CF ₃
	BEH (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCH ₃
	BEI (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCH ₂ CH ₃
	BEJ (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCF ₃
	BEK (a, b, and c)	-2-(3-methylpyrazinyl)	-H	- <i>tert</i> -butyl
15	BEL (a, b, and c)	-2-(3-methylpyrazinyl)	-H	- <i>iso</i> -propyl
	BEM (a, b, and c)	-2-pyridazinyl	-Cl	-H
	BEN (a, b, and c)	-2-pyridazinyl	-Br	-H
	BEO (a, b, and c)	-2-pyridazinyl	-F	-H
	BEP (a, b, and c)	-2-pyridazinyl	-CH ₃	-H
20	BEQ (a, b, and c)	-2-pyridazinyl	-CF ₃	-H
	BER (a, b, and c)	-2-pyridazinyl	-OCH ₃	-H
	BES (a, b, and c)	-2-pyridazinyl	-OCH ₂ CH ₃	-H
	BET (a, b, and c)	-2-pyridazinyl	-OCF ₃	-H
	BEU (a, b, and c)	-2-pyridazinyl	- <i>tert</i> -butyl	-H
25	BEV (a, b, and c)	-2-pyridazinyl	- <i>iso</i> -propyl	-H
	BEW (a, b, and c)	-2-pyridazinyl	-CH ₃	-CH ₃
	BEX (a, b, and c)	-2-pyridazinyl	-H	-H
	BEY (a, b, and c)	-2-pyridazinyl	-H	-Cl
	BEZ (a, b, and c)	-2-pyridazinyl	-H	-Br

	BFA (a, b, and c)	-2-pyridazinyl	-H	-F
	BFB (a, b, and c)	-2-pyridazinyl	-H	-CH ₃
	BFC (a, b, and c)	-2-pyridazinyl	-H	-CF ₃
	BFD (a, b, and c)	-2-pyridazinyl	-H	-OCH ₃
5	BFE (a, b, and c)	-2-pyridazinyl	-H	-OCH ₂ CH ₃
	BFF (a, b, and c)	-2-pyridazinyl	-H	-OCF ₃
	BFG (a, b, and c)	-2-pyridazinyl	-H	- <i>tert</i> -butyl
	BFH (a, b, and c)	-2-pyridazinyl	-H	- <i>iso</i> -propyl
	BFI (a, b, and c)	-3-(4-chloropyridazinyl)	-Cl	-H
10	BFJ (a, b, and c)	-3-(4-chloropyridazinyl)	-Br	-H
	BFK (a, b, and c)	-3-(4-chloropyridazinyl)	-F	-H
	BFL (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-H
	BFM (a, b, and c)	-3-(4-chloropyridazinyl)	-CF ₃	-H
	BFN (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₃	-H
15	BFO (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
	BFP (a, b, and c)	-3-(4-chloropyridazinyl)	-OCF ₃	-H
	BFQ (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
	BFR (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
	BFS (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
20	BFT (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-H
	BFU (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Cl
	BFV (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Br
	BFW (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-F
	BFX (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CH ₃
25	BFY (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CF ₃
	BFZ (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₃
	BGA (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
	BGB (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCF ₃
	BGC (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl

	BGD (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl
	BGE (a, b, and c)	-3-(4-methylpyridazinyl)	-Cl	-H
	BGF (a, b, and c)	-3-(4-methylpyridazinyl)	-Br	-H
	BGG (a, b, and c)	-3-(4-methylpyridazinyl)	-F	-H
5	BGH (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-H
	BGI (a, b, and c)	-3-(4-methylpyridazinyl)	-CF ₃	-H
	BGJ (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₃	-H
	BGK (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
	BGL (a, b, and c)	-3-(4-methylpyridazinyl)	-OCF ₃	-H
10	BGM (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
	BGN (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
	BGO (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
	BGP (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-H
	BGQ (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Cl
15	BGR (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Br
	BGS (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-F
	BGT (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CH ₃
	BGU (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CF ₃
	BGV (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₃
20	BGW (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
	BGX (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCF ₃
	BGY (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
	BGZ (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
	BHA (a, b, and c)	-4-thiazanyl	-Cl	-H
25	BHB (a, b, and c)	-4-thiazanyl	-Br	-H
	BHC (a, b, and c)	-4-thiazanyl	-F	-H
	BHD (a, b, and c)	-4-thiazanyl	-CH ₃	-H
	BHE (a, b, and c)	-4-thiazanyl	-CF ₃	-H
	BHF (a, b, and c)	-4-thiazanyl	-OCH ₃	-H

	BHG (a, b, and c)	-4-thiazanyl	-OCH ₂ CH ₃	-H
	BHH (a, b, and c)	-4-thiazanyl	-OCF ₃	-H
	BHI (a, b, and c)	-4-thiazanyl	- <i>tert</i> -butyl	-H
	BHJ (a, b, and c)	-4-thiazanyl	- <i>iso</i> -propyl	-H
5	BHK (a, b, and c)	-4-thiazanyl	-CH ₃	-CH ₃
	BHL (a, b, and c)	-4-thiazanyl	-H	-H
	BHM (a, b, and c)	-4-thiazanyl	-H	-Cl
	BHN (a, b, and c)	-4-thiazanyl	-H	-Br
	BHO (a, b, and c)	-4-thiazanyl	-H	-F
10	BHP (a, b, and c)	-4-thiazanyl	-H	-CH ₃
	BHQ (a, b, and c)	-4-thiazanyl	-H	-CF ₃
	BHR (a, b, and c)	-4-thiazanyl	-H	-OCH ₃
	BHS (a, b, and c)	-4-thiazanyl	-H	-OCH ₂ CH ₃
	BHT (a, b, and c)	-4-thiazanyl	-H	-OCF ₃
15	BHU (a, b, and c)	-4-thiazanyl	-H	- <i>tert</i> -butyl
	BHV (a, b, and c)	-4-thiazanyl	-H	- <i>iso</i> -propyl
	BHW (a, b, and c)	-5-(4-chlorothiazanyl)	-Cl	-H
	BHX (a, b, and c)	-5-(4-chlorothiazanyl)	-Br	-H
	BHY (a, b, and c)	-5-(4-chlorothiazanyl)	-F	-H
20	BHZ (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-H
	BIA (a, b, and c)	-5-(4-chlorothiazanyl)	-CF ₃	-H
	BIB (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₃	-H
	BIC (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
	BID (a, b, and c)	-5-(4-chlorothiazanyl)	-OCF ₃	-H
25	BIE (a, b, and c)	-5-(4-chlorothiazanyl)	- <i>tert</i> -butyl	-H
	BIF (a, b, and c)	-5-(4-chlorothiazanyl)	- <i>iso</i> -propyl	-H
	BIG (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
	BIH (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-H
	BII (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Cl

	BIJ (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Br
	BIK (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-F
	BIL (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CH ₃
	BIM (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CF ₃
5	BIN (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₃
	BIO (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
	BIP (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCF ₃
	BIQ (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
	BIR (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
10	BIS (a, b, and c)	-5-(4-methylthiazanyl)	-Cl	-H
	BIT (a, b, and c)	-5-(4-methylthiazanyl)	-Br	-H
	BIU (a, b, and c)	-5-(4-methylthiazanyl)	-F	-H
	BIV (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-H
	BIW (a, b, and c)	-5-(4-methylthiazanyl)	-CF ₃	-H
15	BIX (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₃	-H
	BIY (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
	BIZ (a, b, and c)	-5-(4-methylthiazanyl)	-OCF ₃	-H
	BJA (a, b, and c)	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
	BBJ (a, b, and c)	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
20	BJC (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
	BJD (a, b, and c)	-5-(4-methylthiazanyl)	-H	-H
	BJE (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Cl
	BJF (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Br
	BJG (a, b, and c)	-5-(4-methylthiazanyl)	-H	-F
25	BJH (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CH ₃
	BJI (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CF ₃
	BJJ (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₃
	BJK (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
	BJL (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCF ₃

BJM (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl
BNJ (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl

“a” means the Benzoazolylpiperazine Compound is racemic.

“b” means the carbon atom of the piperazine ring attached to the methyl group
5 is in the R configuration.

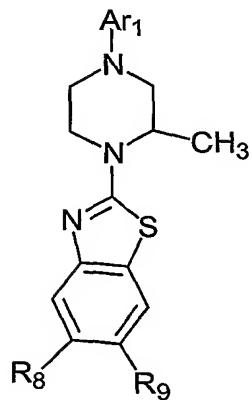
“c” means the carbon atom of the piperazine ring attached to the methyl group
is in the S configuration.

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15

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Table IV



15 and pharmaceutically acceptable salts thereof, wherein:

<u>Compound</u>	<u>Ar₁</u>	<u>R₈</u>	<u>R₉</u>
BJO (a, b, and c)	-2-(3-chloropyridyl)	-Cl	-H
BJP (a, b, and c)	-2-(3-chloropyridyl)	-Br	-H
BJQ (a, b, and c)	-2-(3-chloropyridyl)	-F	-H
20 BJR (a, b, and c)	-2-(3-chloropyridyl)	-CH ₃	-H
BJS (a, b, and c)	-2-(3-chloropyridyl)	-CF ₃	-H
BJT (a, b, and c)	-2-(3-chloropyridyl)	-OCH ₃	-H
BJU (a, b, and c)	-2-(3-chloropyridyl)	-OCH ₂ CH ₃	-H
BJV (a, b, and c)	-2-(3-chloropyridyl)	-OCF ₃	-H
25 BJW (a, b, and c)	-2-(3-chloropyridyl)	- <i>tert</i> -butyl	-H
BJX (a, b, and c)	-2-(3-chloropyridyl)	- <i>iso</i> -propyl	-H
BJY (a, b, and c)	-2-(3-chloropyridyl)	-CH ₃	-CH ₃
BJZ (a, b, and c)	-2-(3-chloropyridyl)	-H	-H
BKA (a, b, and c)	-2-(3-chloropyridyl)	-H	-Cl
30 BKB (a, b, and c)	-2-(3-chloropyridyl)	-H	-Br
BKC (a, b, and c)	-2-(3-chloropyridyl)	-H	-F
BKD (a, b, and c)	-2-(3-chloropyridyl)	-H	-CH ₃
BKE (a, b, and c)	-2-(3-chloropyridyl)	-H	-CF ₃
BKF (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCH ₃

BKG (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCH ₂ CH ₃
BKH (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCF ₃
BKI (a, b, and c)	-2-(3-chloropyridyl)	-H	- <i>tert</i> -butyl
BKJ (a, b, and c)	-2-(3-chloropyridyl)	-H	- <i>iso</i> -propyl
5 BKK (a, b, and c)	-2-(3-methylpyridyl)	-Cl	-H
BKL (a, b, and c)	-2-(3-methylpyridyl)	-Br	-H
BKM (a, b, and c)	-2-(3-methylpyridyl)	-F	-H
BKN (a, b, and c)	-2-(3-methylpyridyl)	-CH ₃	-H
BKO (a, b, and c)	-2-(3-methylpyridyl)	-CF ₃	-H
10 BKP (a, b, and c)	-2-(3-methylpyridyl)	-OCH ₃	-H
BKQ (a, b, and c)	-2-(3-methylpyridyl)	-OCH ₂ CH ₃	-H
BKR (a, b, and c)	-2-(3-methylpyridyl)	-OCF ₃	-H
BKS (a, b, and c)	-2-(3-methylpyridyl)	- <i>tert</i> -butyl	-H
BKT (a, b, and c)	-2-(3-methylpyridyl)	- <i>iso</i> -propyl	-H
15 BKU (a, b, and c)	-2-(3-methylpyridyl)	-CH ₃	-CH ₃
BKV (a, b, and c)	-2-(3-methylpyridyl)	-H	-H
BKW (a, b, and c)	-2-(3-methylpyridyl)	-H	-Cl
BKX (a, b, and c)	-2-(3-methylpyridyl)	-H	-Br
BKY (a, b, and c)	-2-(3-methylpyridyl)	-H	-F
20 BKZ (a, b, and c)	-2-(3-methylpyridyl)	-H	-CH ₃
BLA (a, b, and c)	-2-(3-methylpyridyl)	-H	-CF ₃
BLB (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCH ₃
BLC (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCH ₂ CH ₃
BLD (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCF ₃
25 BLE (a, b, and c)	-2-(3-methylpyridyl)	-H	- <i>tert</i> -butyl
BLF (a, b, and c)	-2-(3-methylpyridyl)	-H	- <i>iso</i> -propyl
BLG (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-Cl	-H
BLH (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-Br	-H
BLI (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-F	-H

	BLJ (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CH ₃	-H
	BLK (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CF ₃	-H
	BLL (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCH ₃	-H
	BLM (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCH ₂ CH ₃	-H
5	BLN (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCF ₃	-H
	BLO (a, b, and c)	-2-(3-CF ₃ -pyridyl)	- <i>tert</i> -butyl	-H
	BLP (a, b, and c)	-2-(3-CF ₃ -pyridyl)	- <i>iso</i> -propyl	-H
	BLQ (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CH ₃	-CH ₃
	BLR (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-H
	BLS (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-Cl
	BLT (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-Br
10	BLU (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-F
	BLV (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-CH ₃
	BLW (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-CF ₃
	BLX (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₃
	BLY (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₂ CH ₃
	BLZ (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCF ₃
	BMA (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	- <i>tert</i> -butyl
15	BMB (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	- <i>iso</i> -propyl
	BMC (a, b, and c)	-4-(5-chloropyrimidinyl)	-Cl	-H
	BMD (a, b, and c)	-4-(5-chloropyrimidinyl)	-Br	-H
	BME (a, b, and c)	-4-(5-chloropyrimidinyl)	-F	-H
	BMF (a, b, and c)	-4-(5-chloropyrimidinyl)	-CH ₃	-H
	BMG (a, b, and c)	-4-(5-chloropyrimidinyl)	-CF ₃	-H
	BMH (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCH ₃	-H
20	BMI (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCH ₂ CH ₃	-H
	BMJ (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCF ₃	-H
	BMK (a, b, and c)	-4-(5-chloropyrimidinyl)	- <i>tert</i> -butyl	-H
	BML (a, b, and c)	-4-(5-chloropyrimidinyl)	- <i>iso</i> -propyl	-H

BMM (a, b, and c)	-4-(5-chloropyrimidinyl)	-CH ₃	-CH ₃
BMN (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-H
BMO (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-Cl
BMP (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-Br
5 BMQ (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-F
BMR (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-CH ₃
BMS (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-CF ₃
BMT (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCH ₃
10 BMU (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCH ₂ CH ₃
BMV (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCF ₃
BMW (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	- <i>tert</i> -butyl
BMX (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	- <i>iso</i> -propyl
15 BMY (a, b, and c)	-4-(5-methylpyrimidinyl)	-Cl	-H
BMZ (a, b, and c)	-4-(5-methylpyrimidinyl)	-Br	-H
BNA (a, b, and c)	-4-(5-methylpyrimidinyl)	-F	-H
BNB (a, b, and c)	-4-(5-methylpyrimidinyl)	-CH ₃	-H
BNC (a, b, and c)	-4-(5-methylpyrimidinyl)	-CF ₃	-H
BND (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCH ₃	-H
20 BNE (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCH ₂ CH ₃	-H
BNF (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCF ₃	-H
BNG (a, b, and c)	-4-(5-methylpyrimidinyl)	- <i>tert</i> -butyl	-H
BNH (a, b, and c)	-4-(5-methylpyrimidinyl)	- <i>iso</i> -propyl	-H
25 BNI (a, b, and c)	-4-(5-methylpyrimidinyl)	-CH ₃	-CH ₃
BNJ (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-H
BNK (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-Cl
BNL (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-Br
BNM (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-F
BNN (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-CH ₃
BNO (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-CF ₃

	BNP (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCH ₃
	BNQ (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCH ₂ CH ₃
	BNR (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCF ₃
	BNS (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	- <i>tert</i> -butyl
5	BNT (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	- <i>iso</i> -propyl
	BNU (a, b, and c)	-2-pyrazinyl	-Cl	-H
	BNV (a, b, and c)	-2-pyrazinyl	-Br	-H
	BNW (a, b, and c)	-2-pyrazinyl	-F	-H
	BNX (a, b, and c)	-2-pyrazinyl	-CH ₃	-H
10	BNY (a, b, and c)	-2-pyrazinyl	-CF ₃	-H
	BNZ (a, b, and c)	-2-pyrazinyl	-OCH ₃	-H
	BOA (a, b, and c)	-2-pyrazinyl	-OCH ₂ CH ₃	-H
	BOB (a, b, and c)	-2-pyrazinyl	-OCF ₃	-H
	BOC (a, b, and c)	-2-pyrazinyl	- <i>tert</i> -butyl	-H
15	BOD (a, b, and c)	-2-pyrazinyl	- <i>iso</i> -propyl	-H
	BOE (a, b, and c)	-2-pyrazinyl	-CH ₃	-CH ₃
	BOF (a, b, and c)	-2-pyrazinyl	-H	-H
	BOG (a, b, and c)	-2-pyrazinyl	-H	-Cl
	BOH (a, b, and c)	-2-pyrazinyl	-H	-Br
20	BOI (a, b, and c)	-2-pyrazinyl	-H	-F
	BOJ (a, b, and c)	-2-pyrazinyl	-H	-CH ₃
	BOK (a, b, and c)	-2-pyrazinyl	-H	-CF ₃
	BOL (a, b, and c)	-2-pyrazinyl	-H	-OCH ₃
	BOM (a, b, and c)	-2-pyrazinyl	-H	-OCH ₂ CH ₃
25	BON (a, b, and c)	-2-pyrazinyl	-H	-OCF ₃
	BOO (a, b, and c)	-2-pyrazinyl	-H	- <i>tert</i> -butyl
	BOP (a, b, and c)	-2-pyrazinyl	-H	- <i>iso</i> -propyl
	BOQ (a, b, and c)	-2-(3-chloropyrazinyl)	-Cl	-H
	BOR (a, b, and c)	-2-(3-chloropyrazinyl)	-Br	-H

BOS (a, b, and c)	-2-(3-chloropyrazinyl)	-F	-H
BOT (a, b, and c)	-2-(3-chloropyrazinyl)	-CH ₃	-H
BOU (a, b, and c)	-2-(3-chloropyrazinyl)	-CF ₃	-H
BOV (a, b, and c)	-2-(3-chloropyrazinyl)	-OCH ₃	-H
5 BOW (a, b, and c)	-2-(3-chloropyrazinyl)	-OCH ₂ CH ₃	-H
BOX (a, b, and c)	-2-(3-chloropyrazinyl)	-OCF ₃	-H
BOY (a, b, and c)	-2-(3-chloropyrazinyl)	- <i>tert</i> -butyl	-H
BOZ (a, b, and c)	-2-(3-chloropyrazinyl)	- <i>iso</i> -propyl	-H
BPA (a, b, and c)	-2-(3-chloropyrazinyl)	-CH ₃	-CH ₃
10 BPB (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-H
BPC (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-Cl
BPD (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-Br
BPE (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-F
BPF (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-CH ₃
15 BPG (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-CF ₃
BPH (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCH ₃
BPI (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCH ₂ CH ₃
BPJ (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCF ₃
20 BPK (a, b, and c)	-2-(3-chloropyrazinyl)	-H	- <i>tert</i> -butyl
BPL (a, b, and c)	-2-(3-chloropyrazinyl)	-H	- <i>iso</i> -propyl
BPM (a, b, and c)	-2-(3-methylpyrazinyl)	-Cl	-H
BPN (a, b, and c)	-2-(3-methylpyrazinyl)	-Br	-H
BPO (a, b, and c)	-2-(3-methylpyrazinyl)	-F	-H
25 BPP (a, b, and c)	-2-(3-methylpyrazinyl)	-CH ₃	-H
BPQ (a, b, and c)	-2-(3-methylpyrazinyl)	-CF ₃	-H
BPR (a, b, and c)	-2-(3-methylpyrazinyl)	-OCH ₃	-H
BPS (a, b, and c)	-2-(3-methylpyrazinyl)	-OCH ₂ CH ₃	-H
BPT (a, b, and c)	-2-(3-methylpyrazinyl)	-OCF ₃	-H
30 BPU (a, b, and c)	-2-(3-methylpyrazinyl)	- <i>tert</i> -butyl	-H

	BPV (a, b, and c)	-2-(3-methylpyrazinyl)	- <i>iso</i> -propyl	-H
	BPW (a, b, and c)	-2-(3-methylpyrazinyl)	-CH ₃	-CH ₃
	BPX (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-H
	BPY (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-Cl
5	BPZ (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-Br
	BQA (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-F
	BQB (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-CH ₃
	BQC (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-CF ₃
	BQD (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCH ₃
10	BQE (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCH ₂ CH ₃
	BQF (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCF ₃
	BQG (a, b, and c)	-2-(3-methylpyrazinyl)	-H	- <i>tert</i> -butyl
	BQH (a, b, and c)	-2-(3-methylpyrazinyl)	-H	- <i>iso</i> -propyl
	BQI (a, b, and c)	-2-pyridazinyl	-Cl	-H
15	BQJ (a, b, and c)	-2-pyridazinyl	-Br	-H
	BQK (a, b, and c)	-2-pyridazinyl	-F	-H
	BQL (a, b, and c)	-2-pyridazinyl	-CH ₃	-H
	BQM (a, b, and c)	-2-pyridazinyl	-CF ₃	-H
	BQN (a, b, and c)	-2-pyridazinyl	-OCH ₃	-H
20	BQO (a, b, and c)	-2-pyridazinyl	-OCH ₂ CH ₃	-H
	BQP (a, b, and c)	-2-pyridazinyl	-OCF ₃	-H
	BQQ (a, b, and c)	-2-pyridazinyl	- <i>tert</i> -butyl	-H
	BQR (a, b, and c)	-2-pyridazinyl	- <i>iso</i> -propyl	-H
	BQS (a, b, and c)	-2-pyridazinyl	-CH ₃	-CH ₃
25	BQT (a, b, and c)	-2-pyridazinyl	-H	-H
	BQU (a, b, and c)	-2-pyridazinyl	-H	-Cl
	BQV (a, b, and c)	-2-pyridazinyl	-H	-Br
	BQW (a, b, and c)	-2-pyridazinyl	-H	-F
	BQX (a, b, and c)	-2-pyridazinyl	-H	-CH ₃

	BQY (a, b, and c)	-2-pyridazinyl	-H	-CF ₃
	BQZ (a, b, and c)	-2-pyridazinyl	-H	-OCH ₃
	BRA (a, b, and c)	-2-pyridazinyl	-H	-OCH ₂ CH ₃
	BRB (a, b, and c)	-2-pyridazinyl	-H	-OCF ₃
5	BR C (a, b, and c)	-2-pyridazinyl	-H	- <i>tert</i> -butyl
	BRD (a, b, and c)	-2-pyridazinyl	-H	- <i>iso</i> -propyl
	BRE (a, b, and c)	-3-(4-chloropyridazinyl)	-Cl	-H
	BRF (a, b, and c)	-3-(4-chloropyridazinyl)	-Br	-H
	BRG (a, b, and c)	-3-(4-chloropyridazinyl)	-F	-H
10	BRH (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-H
	BRI (a, b, and c)	-3-(4-chloropyridazinyl)	-CF ₃	-H
	BRJ (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₃	-H
	BRK (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
	BRL (a, b, and c)	-3-(4-chloropyridazinyl)	-OCF ₃	-H
15	BRM (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
	BRN (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
	BRO (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
	BRP (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-H
	BRQ (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Cl
20	BRR (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Br
	BRS (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-F
	BRT (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CH ₃
	BRU (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CF ₃
	BRV (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₃
25	BRW (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
	BRX (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCF ₃
	BRY (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl
	BRZ (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl
	BSA (a, b, and c)	-3-(4-methylpyridazinyl)	-Cl	-H

	BSB (a, b, and c)	-3-(4-methylpyridazinyl)	-Br	-H
	BSC (a, b, and c)	-3-(4-methylpyridazinyl)	-F	-H
	BSD (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-H
	BSE (a, b, and c)	-3-(4-methylpyridazinyl)	-CF ₃	-H
5	BSF (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₃	-H
	BSG (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
	BSH (a, b, and c)	-3-(4-methylpyridazinyl)	-OCF ₃	-H
	BSI (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
	BSJ (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
10	BSK (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
	BSL (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-H
	BSM (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Cl
	BSN (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Br
	BSO (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-F
15	BSP (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CH ₃
	BSQ (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CF ₃
	BSR (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₃
	BSS (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
	BST (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCF ₃
20	BSU (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
	BSV (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
	BSW (a, b, and c)	-4-thiazanyl	-Cl	-H
	BSX (a, b, and c)	-4-thiazanyl	-Br	-H
	BSY (a, b, and c)	-4-thiazanyl	-F	-H
25	BSZ (a, b, and c)	-4-thiazanyl	-CH ₃	-H
	BTA (a, b, and c)	-4-thiazanyl	-CF ₃	-H
	BTB (a, b, and c)	-4-thiazanyl	-OCH ₃	-H
	BTC (a, b, and c)	-4-thiazanyl	-OCH ₂ CH ₃	-H
	BTD (a, b, and c)	-4-thiazanyl	-OCF ₃	-H

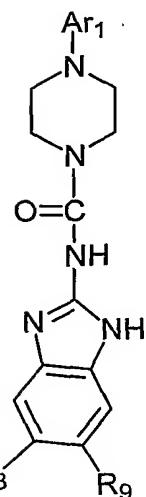
BTE (a, b, and c)	-4-thiazanyl	- <i>tert</i> -butyl	-H
BTF (a, b, and c)	-4-thiazanyl	- <i>iso</i> -propyl	-H
BTG (a, b, and c)	-4-thiazanyl	-CH ₃	-CH ₃
BTH (a, b, and c)	-4-thiazanyl	-H	-H
5 BTI (a, b, and c)	-4-thiazanyl	-H	-Cl
BTJ (a, b, and c)	-4-thiazanyl	-H	-Br
BTK (a, b, and c)	-4-thiazanyl	-H	-F
BTL (a, b, and c)	-4-thiazanyl	-H	-CH ₃
10 BTM (a, b, and c)	-4-thiazanyl	-H	-CF ₃
BTN (a, b, and c)	-4-thiazanyl	-H	-OCH ₃
BTO (a, b, and c)	-4-thiazanyl	-H	-OCH ₂ CH ₃
BTP (a, b, and c)	-4-thiazanyl	-H	-OCF ₃
15 BTQ (a, b, and c)	-4-thiazanyl	-H	- <i>tert</i> -butyl
BTR (a, b, and c)	-4-thiazanyl	-H	- <i>iso</i> -propyl
BTS (a, b, and c)	-5-(4-chlorothiazanyl)	-Cl	-H
BTT (a, b, and c)	-5-(4-chlorothiazanyl)	-Br	-H
BTU (a, b, and c)	-5-(4-chlorothiazanyl)	-F	-H
15 BTV (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-H
BTW (a, b, and c)	-5-(4-chlorothiazanyl)	-CF ₃	-H
20 BTX (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₃	-H
BTY (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
BTZ (a, b, and c)	-5-(4-chlorothiazanyl)	-OCF ₃	-H
BUA (a, b, and c)	-5-(4-chlorothiazanyl)	- <i>tert</i> -butyl	-H
BUB (a, b, and c)	-5-(4-chlorothiazanyl)	- <i>iso</i> -propyl	-H
25 BUC (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
BUD (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-H
BUE (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Cl
BUF (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Br
BUG (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-F

	BUH (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CH ₃
	BUI (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CF ₃
	BUJ (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₃
	BUK (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
5	BUL (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCF ₃
	BUM (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
	BUN (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
	BUO (a, b, and c)	-5-(4-methylthiazanyl)	-Cl	-H
	BUP (a, b, and c)	-5-(4-methylthiazanyl)	-Br	-H
10	BUQ (a, b, and c)	-5-(4-methylthiazanyl)	-F	-H
	BUR (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-H
	BUS (a, b, and c)	-5-(4-methylthiazanyl)	-CF ₃	-H
	BUT (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₃	-H
	BUU (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
15	BUV (a, b, and c)	-5-(4-methylthiazanyl)	-OCF ₃	-H
	BUW (a, b, and c)	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
	BUX (a, b, and c)	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
	BUY (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
	BUZ (a, b, and c)	-5-(4-methylthiazanyl)	-H	-H
20	BVA (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Cl
	BVB (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Br
	BVC (a, b, and c)	-5-(4-methylthiazanyl)	-H	-F
	BVD (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CH ₃
	BVE (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CF ₃
25	BVF (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₃
	BVG (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
	BVH (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCF ₃
	BVI (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl
	BVJ (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl

“a” means the Benzoazolylpiperazine Compound is racemic.

“b” means the carbon atom of the piperazine ring attached to the methyl group is in the R configuration.

“c” means the carbon atom of the piperazine ring attached to the methyl group 5 is in the S configuration.

Table V

5

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15

and pharmaceutically acceptable salts thereof, wherein:

Compound	Ar₁	R₈	R₉
BVK	-2-pyridazinyl	-Cl	-H
BVL	-2-pyridazinyl	-Br	-H
BVM	-2-pyridazinyl	-F	-H
BVN	-2-pyridazinyl	-CH ₃	-H
BVO	-2-pyridazinyl	-CF ₃	-H
BVP	-2-pyridazinyl	-OCH ₃	-H
BVQ	-2-pyridazinyl	-OCH ₂ CH ₃	-H
BVR	-2-pyridazinyl	-OCF ₃	-H
BVS	-2-pyridazinyl	- <i>tert</i> -butyl	-H
BVT	-2-pyridazinyl	- <i>iso</i> -propyl	-H
BVU	-2-pyridazinyl	-CH ₃	-CH ₃
BVV	-2-pyridazinyl	-H	-H
BVW	-2-pyridazinyl	-H	-Cl
BVX	-2-pyridazinyl	-H	-Br
BVY	-2-pyridazinyl	-H	-F
BVZ	-2-pyridazinyl	-H	-CH ₃
BWA	-2-pyridazinyl	-H	-CF ₃

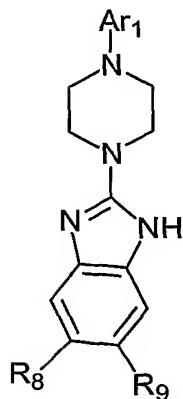
BWB	-2-pyridazinyl	-H	-OCH ₃
BWC	-2-pyridazinyl	-H	-OCH ₂ CH ₃
BWD	-2-pyridazinyl	-H	-OCF ₃
BWE	-2-pyridazinyl	-H	- <i>tert</i> -butyl
5 BWF	-2-pyridazinyl	-H	- <i>iso</i> -propyl
BWG	-3-(4-chloropyridazinyl)	-Cl	-H
BWH	-3-(4-chloropyridazinyl)	-Br	-H
BWI	-3-(4-chloropyridazinyl)	-F	-H
BWJ	-3-(4-chloropyridazinyl)	-CH ₃	-H
10 BWK	-3-(4-chloropyridazinyl)	-CF ₃	-H
BWL	-3-(4-chloropyridazinyl)	-OCH ₃	-H
BWM	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
BWN	-3-(4-chloropyridazinyl)	-OCF ₃	-H
BWO	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
15 BWP	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
BWQ	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
BWR	-3-(4-chloropyridazinyl)	-H	-H
BWS	-3-(4-chloropyridazinyl)	-H	-Cl
BWT	-3-(4-chloropyridazinyl)	-H	-Br
20 BWU	-3-(4-chloropyridazinyl)	-H	-F
BWV	-3-(4-chloropyridazinyl)	-H	-CH ₃
BWW	-3-(4-chloropyridazinyl)	-H	-CF ₃
BWX	-3-(4-chloropyridazinyl)	-H	-OCH ₃
BWY	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
25 BWZ	-3-(4-chloropyridazinyl)	-H	-OCF ₃
BXA	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl
BXB	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl
BXC	-3-(4-methylpyridazinyl)	-Cl	-H
BXD	-3-(4-methylpyridazinyl)	-Br	-H

BXE	-3-(4-methylpyridazinyl)	-F	-H
BXF	-3-(4-methylpyridazinyl)	-CH ₃	-H
BXG	-3-(4-methylpyridazinyl)	-CF ₃	-H
BXH	-3-(4-methylpyridazinyl)	-OCH ₃	-H
5 BXI	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
BXJ	-3-(4-methylpyridazinyl)	-OCF ₃	-H
BXK	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
BXL	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
BXM	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
10 BXN	-3-(4-methylpyridazinyl)	-H	-H
BXO	-3-(4-methylpyridazinyl)	-H	-Cl
BXP	-3-(4-methylpyridazinyl)	-H	-Br
BXQ	-3-(4-methylpyridazinyl)	-H	-F
BXR	-3-(4-methylpyridazinyl)	-H	-CH ₃
15 BXS	-3-(4-methylpyridazinyl)	-H	-CF ₃
BXT	-3-(4-methylpyridazinyl)	-H	-OCH ₃
BXU	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
BXV	-3-(4-methylpyridazinyl)	-H	-OCF ₃
BXW	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
20 BXX	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
BXY	-4-thiazanyl	-Cl	-H
BXZ	-4-thiazanyl	-Br	-H
BYA	-4-thiazanyl	-F	-H
BYB	-4-thiazanyl	-CH ₃	-H
25 BYC	-4-thiazanyl	-CF ₃	-H
BYD	-4-thiazanyl	-OCH ₃	-H
BYE	-4-thiazanyl	-OCH ₂ CH ₃	-H
BYF	-4-thiazanyl	-OCF ₃	-H
BYG	-4-thiazanyl	- <i>tert</i> -butyl	-H

	BYH	-4-thiazanyl	- <i>iso</i> -propyl	-H
	BYI	-4-thiazanyl	-CH ₃	-CH ₃
	BYJ	-4-thiazanyl	-H	-H
	BYK	-4-thiazanyl	-H	-Cl
5	BYL	-4-thiazanyl	-H	-Br
	BYM	-4-thiazanyl	-H	-F
	BYN	-4-thiazanyl	-H	-CH ₃
	BYO	-4-thiazanyl	-H	-CF ₃
	BYP	-4-thiazanyl	-H	-OCH ₃
10	BYQ	-4-thiazanyl	-H	-OCH ₂ CH ₃
	BYR	-4-thiazanyl	-H	-OCF ₃
	BYS	-4-thiazanyl	-H	- <i>tert</i> -butyl
	BYT	-4-thiazanyl	-H	- <i>iso</i> -propyl
	BYU	-5-(4-chlorothiazanyl)	-Cl	-H
15	BYV	-5-(4-chlorothiazanyl)	-Br	-H
	BYW	-5-(4-chlorothiazanyl)	-F	-H
	BYX	-5-(4-chlorothiazanyl)	-CH ₃	-H
	BYY	-5-(4-chlorothiazanyl)	-CF ₃	-H
	BYZ	-5-(4-chlorothiazanyl)	-OCH ₃	-H
20	BZA	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
	BZB	-5-(4-chlorothiazanyl)	-OCF ₃	-H
	BZC	-5-(4-chlorothiazanyl)	- <i>tert</i> -butyl	-H
	BZD	-5-(4-chlorothiazanyl)	- <i>iso</i> -propyl	-H
	BZE	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
25	BZF	-5-(4-chlorothiazanyl)	-H	-H
	BZG	-5-(4-chlorothiazanyl)	-H	-Cl
	BZH	-5-(4-chlorothiazanyl)	-H	-Br
	BZI	-5-(4-chlorothiazanyl)	-H	-F
	BZJ	-5-(4-chlorothiazanyl)	-H	-CH ₃

BZK	-5-(4-chlorothiazanyl)	-H	-CF ₃
BZL	-5-(4-chlorothiazanyl)	-H	-OCH ₃
BZM	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
BZN	-5-(4-chlorothiazanyl)	-H	-OCF ₃
5 BZO	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
BZP	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
BZQ	-5-(4-methylthiazanyl)	-Cl	-H
BZR	-5-(4-methylthiazanyl)	-Br	-H
BZS	-5-(4-methylthiazanyl)	-F	-H
10 BZT	-5-(4-methylthiazanyl)	-CH ₃	-H
BZU	-5-(4-methylthiazanyl)	-CF ₃	-H
BZV	-5-(4-methylthiazanyl)	-OCH ₃	-H
BZW	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
BZX	-5-(4-methylthiazanyl)	-OCF ₃	-H
15 BZY	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
BZZ	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
CAA	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
CAB	-5-(4-methylthiazanyl)	-H	-H
CAC	-5-(4-methylthiazanyl)	-H	-Cl
20 CAD	-5-(4-methylthiazanyl)	-H	-Br
CAE	-5-(4-methylthiazanyl)	-H	-F
CAF	-5-(4-methylthiazanyl)	-H	-CH ₃
CAG	-5-(4-methylthiazanyl)	-H	-CF ₃
CAH	-5-(4-methylthiazanyl)	-H	-OCH ₃
25 CAI	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
CAJ	-5-(4-methylthiazanyl)	-H	-OCF ₃
CAK	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl
CAL	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl

Table VI



15 and pharmaceutically acceptable salts thereof, wherein:

Compound	Ar₁	R₈	R₉
CAM	-2-(3-chloropyridyl)	-Cl	-H
CAN	-2-(3-chloropyridyl)	-Br	-H
CAO	-2-(3-chloropyridyl)	-F	-H
20 CAP	-2-(3-chloropyridyl)	-CH ₃	-H
CAQ	-2-(3-chloropyridyl)	-CF ₃	-H
CAR	-2-(3-chloropyridyl)	-OCH ₃	-H
CAS	-2-(3-chloropyridyl)	-OCH ₂ CH ₃	-H
CAT	-2-(3-chloropyridyl)	-OCF ₃	-H
25 CAU	-2-(3-chloropyridyl)	- <i>tert</i> -butyl	-H
CAV	-2-(3-chloropyridyl)	- <i>iso</i> -propyl	-H
CAW	-2-(3-chloropyridyl)	-CH ₃	-CH ₃
CAX	-2-(3-chloropyridyl)	-H	-H
CAY	-2-(3-chloropyridyl)	-H	-Cl
30 CAZ	-2-(3-chloropyridyl)	-H	-Br
CBA	-2-(3-chloropyridyl)	-H	-F
CBB	-2-(3-chloropyridyl)	-H	-CH ₃
CBC	-2-(3-chloropyridyl)	-H	-CF ₃
CBD	-2-(3-chloropyridyl)	-H	-OCH ₃

	CBE	-2-(3-chloropyridyl)	-H	-OCH ₂ CH ₃
	CBF	-2-(3-chloropyridyl)	-H	-OCF ₃
	CBG	-2-(3-chloropyridyl)	-H	- <i>tert</i> -butyl
	CBH	-2-(3-chloropyridyl)	-H	- <i>iso</i> -propyl
5	CBI	-2-(3-methylpyridyl)	-Cl	-H
	CBJ	-2-(3-methylpyridyl)	-Br	-H
	CBK	-2-(3-methylpyridyl)	-F	-H
	CBL	-2-(3-methylpyridyl)	-CH ₃	-H
	CBM	-2-(3-methylpyridyl)	-CF ₃	-H
	CBN	-2-(3-methylpyridyl)	-OCH ₃	-H
	CBO	-2-(3-methylpyridyl)	-OCH ₂ CH ₃	-H
10	CBP	-2-(3-methylpyridyl)	-OCF ₃	-H
	CBQ	-2-(3-methylpyridyl)	- <i>tert</i> -butyl	-H
	CBR	-2-(3-methylpyridyl)	- <i>iso</i> -propyl	-H
	CBS	-2-(3-methylpyridyl)	-CH ₃	-CH ₃
	CBT	-2-(3-methylpyridyl)	-H	-H
	CBU	-2-(3-methylpyridyl)	-H	-Cl
	CBV	-2-(3-methylpyridyl)	-H	-Br
15	CBW	-2-(3-methylpyridyl)	-H	-F
	CBX	-2-(3-methylpyridyl)	-H	-CH ₃
	CBY	-2-(3-methylpyridyl)	-H	-CF ₃
	CBZ	-2-(3-methylpyridyl)	-H	-OCH ₃
	CCA	-2-(3-methylpyridyl)	-H	-OCH ₂ CH ₃
	CCB	-2-(3-methylpyridyl)	-H	-OCF ₃
	CCC	-2-(3-methylpyridyl)	-H	- <i>tert</i> -butyl
20	CCD	-2-(3-methylpyridyl)	-H	- <i>iso</i> -propyl
	CCE	-2-(3-CF ₃ -pyridyl)	-Cl	-H
	CCF	-2-(3-CF ₃ -pyridyl)	-Br	-H

	CCG	-2-(3-CF ₃ -pyridyl)	-F	-H
	CCH	-2-(3-CF ₃ -pyridyl)	-CH ₃	-H
	CCI	-2-(3-CF ₃ -pyridyl)	-CF ₃	-H
	CCJ	-2-(3-CF ₃ -pyridyl)	-OCH ₃	-H
5	CCK	-2-(3-CF ₃ -pyridyl)	-OCH ₂ CH ₃	-H
	CCL	-2-(3-CF ₃ -pyridyl)	-OCF ₃	-H
	CCM	-2-(3-CF ₃ -pyridyl)	- <i>tert</i> -butyl	-H
	CCN	-2-(3-CF ₃ -pyridyl)	- <i>iso</i> -propyl	-H
	CCO	-2-(3-CF ₃ -pyridyl)	-CH ₃	-CH ₃
	CCP	-2-(3-CF ₃ -pyridyl)	-H	-H
	CCQ	-2-(3-CF ₃ -pyridyl)	-H	-Cl
	CCR	-2-(3-CF ₃ -pyridyl)	-H	-Br
	CCS	-2-(3-CF ₃ -pyridyl)	-H	-F
	CCT	-2-(3-CF ₃ -pyridyl)	-H	-CH ₃
15	CCU	-2-(3-CF ₃ -pyridyl)	-H	-CF ₃
	CCV	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₃
	CCW	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₂ CH ₃
	CCX	-2-(3-CF ₃ -pyridyl)	-H	-OCF ₃
	CCY	-2-(3-CF ₃ -pyridyl)	-H	- <i>tert</i> -butyl
	CCZ	-2-(3-CF ₃ -pyridyl)	-H	- <i>iso</i> -propyl
	CDA	-4-(5-chloropyrimidinyl)	-Cl	-H
	CDB	-4-(5-chloropyrimidinyl)	-Br	-H
	CDC	-4-(5-chloropyrimidinyl)	-F	-H
	CDD	-4-(5-chloropyrimidinyl)	-CH ₃	-H
25	CDE	-4-(5-chloropyrimidinyl)	-CF ₃	-H
	CDF	-4-(5-chloropyrimidinyl)	-OCH ₃	-H
	CDG	-4-(5-chloropyrimidinyl)	-OCH ₂ CH ₃	-H
	CDH	-4-(5-chloropyrimidinyl)	-OCF ₃	-H
	CDI	-4-(5-chloropyrimidinyl)	- <i>tert</i> -butyl	-H

	CDJ	-4-(5-chloropyrimidinyl)	- <i>iso</i> -propyl	-H
	CDK	-4-(5-chloropyrimidinyl)	-CH ₃	-CH ₃
	CDL	-4-(5-chloropyrimidinyl)	-H	-H
	CDM	-4-(5-chloropyrimidinyl)	-H	-Cl
5	CDN	-4-(5-chloropyrimidinyl)	-H	-Br
	CDO	-4-(5-chloropyrimidinyl)	-H	-F
	CDP	-4-(5-chloropyrimidinyl)	-H	-CH ₃
	CDQ	-4-(5-chloropyrimidinyl)	-H	-CF ₃
	CDR	-4-(5-chloropyrimidinyl)	-H	-OCH ₃
10	CDS	-4-(5-chloropyrimidinyl)	-H	-OCH ₂ CH ₃
	CDT	-4-(5-chloropyrimidinyl)	-H	-OCF ₃
	CDU	-4-(5-chloropyrimidinyl)	-H	- <i>tert</i> -butyl
	CDV	-4-(5-chloropyrimidinyl)	-H	- <i>iso</i> -propyl
	CDW	-4-(5-methylpyrimidinyl)	-Cl	-H
15	CDX	-4-(5-methylpyrimidinyl)	-Br	-H
	CDY	-4-(5-methylpyrimidinyl)	-F	-H
	CDZ	-4-(5-methylpyrimidinyl)	-CH ₃	-H
	CEA	-4-(5-methylpyrimidinyl)	-CF ₃	-H
	CEB	-4-(5-methylpyrimidinyl)	-OCH ₃	-H
20	CEC	-4-(5-methylpyrimidinyl)	-OCH ₂ CH ₃	-H
	CED	-4-(5-methylpyrimidinyl)	-OCF ₃	-H
	CEE	-4-(5-methylpyrimidinyl)	- <i>tert</i> -butyl	-H
	CEF	-4-(5-methylpyrimidinyl)	- <i>iso</i> -propyl	-H
	CEG	-4-(5-methylpyrimidinyl)	-CH ₃	-CH ₃
25	CEH	-4-(5-methylpyrimidinyl)	-H	-H
	CEI	-4-(5-methylpyrimidinyl)	-H	-Cl
	CEJ	-4-(5-methylpyrimidinyl)	-H	-Br
	CEK	-4-(5-methylpyrimidinyl)	-H	-F
	CEL	-4-(5-methylpyrimidinyl)	-H	-CH ₃

	CEM	-4-(5-methylpyrimidinyl)	-H	-CF ₃
	CEN	-4-(5-methylpyrimidinyl)	-H	-OCH ₃
	CEO	-4-(5-methylpyrimidinyl)	-H	-OCH ₂ CH ₃
	CEP	-4-(5-methylpyrimidinyl)	-H	-OCF ₃
5	CEQ	-4-(5-methylpyrimidinyl)	-H	- <i>tert</i> -butyl
	CER	-4-(5-methylpyrimidinyl)	-H	- <i>iso</i> -propyl
	CES	-2-pyrazinyl	-Cl	-H
	CET	-2-pyrazinyl	-Br	-H
	CEU	-2-pyrazinyl	-F	-H
10	CEV	-2-pyrazinyl	-CH ₃	-H
	CEW	-2-pyrazinyl	-CF ₃	-H
	CEX	-2-pyrazinyl	-OCH ₃	-H
	CEY	-2-pyrazinyl	-OCH ₂ CH ₃	-H
	CEZ	-2-pyrazinyl	-OCF ₃	-H
15	CFA	-2-pyrazinyl	- <i>tert</i> -butyl	-H
	CFB	-2-pyrazinyl	- <i>iso</i> -propyl	-H
	CFC	-2-pyrazinyl	-CH ₃	-CH ₃
	CFD	-2-pyrazinyl	-H	-H
	CFE	-2-pyrazinyl	-H	-Cl
20	CFF	-2-pyrazinyl	-H	-Br
	CFG	-2-pyrazinyl	-H	-F
	CFH	-2-pyrazinyl	-H	-CH ₃
	CFI	-2-pyrazinyl	-H	-CF ₃
	CFJ	-2-pyrazinyl	-H	-OCH ₃
25	CFK	-2-pyrazinyl	-H	-OCH ₂ CH ₃
	CFL	-2-pyrazinyl	-H	-OCF ₃
	CFM	-2-pyrazinyl	-H	- <i>tert</i> -butyl
	CFN	-2-pyrazinyl	-H	- <i>iso</i> -propyl
	CFO	-2-(3-chloropyrazinyl)	-Cl	-H

	CFP	-2-(3-chloropyrazinyl)	-Br	-H
	CFQ	-2-(3-chloropyrazinyl)	-F	-H
	CFR	-2-(3-chloropyrazinyl)	-CH ₃	-H
	CFS	-2-(3-chloropyrazinyl)	-CF ₃	-H
5	CFT	-2-(3-chloropyrazinyl)	-OCH ₃	-H
	CFU	-2-(3-chloropyrazinyl)	-OCH ₂ CH ₃	-H
	CFV	-2-(3-chloropyrazinyl)	-OCF ₃	-H
	CFW	-2-(3-chloropyrazinyl)	- <i>tert</i> -butyl	-H
	CFX	-2-(3-chloropyrazinyl)	- <i>iso</i> -propyl	-H
10	CFY	-2-(3-chloropyrazinyl)	-CH ₃	-CH ₃
	CFZ	-2-(3-chloropyrazinyl)	-H	-H
	CGA	-2-(3-chloropyrazinyl)	-H	-Cl
	CGB	-2-(3-chloropyrazinyl)	-H	-Br
	CGC	-2-(3-chloropyrazinyl)	-H	-F
15	CGD	-2-(3-chloropyrazinyl)	-H	-CH ₃
	CGE	-2-(3-chloropyrazinyl)	-H	-CF ₃
	CGF	-2-(3-chloropyrazinyl)	-H	-OCH ₃
	CGG	-2-(3-chloropyrazinyl)	-H	-OCH ₂ CH ₃
	CGH	-2-(3-chloropyrazinyl)	-H	-OCF ₃
20	CGI	-2-(3-chloropyrazinyl)	-H	- <i>tert</i> -butyl
	CGJ	-2-(3-chloropyrazinyl)	-H	- <i>iso</i> -propyl
	CGK	-2-(3-methylpyrazinyl)	-Cl	-H
	CGL	-2-(3-methylpyrazinyl)	-Br	-H
	CGM	-2-(3-methylpyrazinyl)	-F	-H
25	CGN	-2-(3-methylpyrazinyl)	-CH ₃	-H
	CGO	-2-(3-methylpyrazinyl)	-CF ₃	-H
	CGP	-2-(3-methylpyrazinyl)	-OCH ₃	-H
	CGQ	-2-(3-methylpyrazinyl)	-OCH ₂ CH ₃	-H
	CGR	-2-(3-methylpyrazinyl)	-OCF ₃	-H

	CGS	-2-(3-methylpyrazinyl)	- <i>tert</i> -butyl	-H
	CGT	-2-(3-methylpyrazinyl)	- <i>iso</i> -propyl	-H
	CGU	-2-(3-methylpyrazinyl)	-CH ₃	-CH ₃
	CGV	-2-(3-methylpyrazinyl)	-H	-H
5	CGW	-2-(3-methylpyrazinyl)	-H	-Cl
	CGX	-2-(3-methylpyrazinyl)	-H	-Br
	CGY	-2-(3-methylpyrazinyl)	-H	-F
	CGZ	-2-(3-methylpyrazinyl)	-H	-CH ₃
	CHA	-2-(3-methylpyrazinyl)	-H	-CF ₃
10	CHB	-2-(3-methylpyrazinyl)	-H	-OCH ₃
	CHC	-2-(3-methylpyrazinyl)	-H	-OCH ₂ CH ₃
	CHD	-2-(3-methylpyrazinyl)	-H	-OCF ₃
	CHE	-2-(3-methylpyrazinyl)	-H	- <i>tert</i> -butyl
	CHF	-2-(3-methylpyrazinyl)	-H	- <i>iso</i> -propyl
15	CHG	-2-pyridazinyl	-Cl	-H
	CHH	-2-pyridazinyl	-Br	-H
	CHI	-2-pyridazinyl	-F	-H
	CHJ	-2-pyridazinyl	-CH ₃	-H
	CHK	-2-pyridazinyl	-CF ₃	-H
20	CHL	-2-pyridazinyl	-OCH ₃	-H
	CHM	-2-pyridazinyl	-OCH ₂ CH ₃	-H
	CHN	-2-pyridazinyl	-OCF ₃	-H
	CHO	-2-pyridazinyl	- <i>tert</i> -butyl	-H
	CHP	-2-pyridazinyl	- <i>iso</i> -propyl	-H
25	CHQ	-2-pyridazinyl	-CH ₃	-CH ₃
	CHR	-2-pyridazinyl	-H	-H
	CHS	-2-pyridazinyl	-H	-Cl
	CHT	-2-pyridazinyl	-H	-Br
	CHU	-2-pyridazinyl	-H	-F

	CHV	-2-pyridazinyl	-H	-CH ₃
	CHW	-2-pyridazinyl	-H	-CF ₃
	CHX	-2-pyridazinyl	-H	-OCH ₃
	CHY	-2-pyridazinyl	-H	-OCH ₂ CH ₃
5	CHZ	-2-pyridazinyl	-H	-OCF ₃
	CIA	-2-pyridazinyl	-H	- <i>tert</i> -butyl
	CIB	-2-pyridazinyl	-H	- <i>iso</i> -propyl
	CIC	-3-(4-chloropyridazinyl)	-Cl	-H
	CID	-3-(4-chloropyridazinyl)	-Br	-H
10	CIE	-3-(4-chloropyridazinyl)	-F	-H
	CIF	-3-(4-chloropyridazinyl)	-CH ₃	-H
	CIG	-3-(4-chloropyridazinyl)	-CF ₃	-H
	CIH	-3-(4-chloropyridazinyl)	-OCH ₃	-H
	CII	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
15	CIJ	-3-(4-chloropyridazinyl)	-OCF ₃	-H
	CIK	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
	CIL	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
	CIM	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
	CIN	-3-(4-chloropyridazinyl)	-H	-H
20	CIO	-3-(4-chloropyridazinyl)	-H	-Cl
	CIP	-3-(4-chloropyridazinyl)	-H	-Br
	CIQ	-3-(4-chloropyridazinyl)	-H	-F
	CIR	-3-(4-chloropyridazinyl)	-H	-CH ₃
	CIS	-3-(4-chloropyridazinyl)	-H	-CF ₃
25	CIT	-3-(4-chloropyridazinyl)	-H	-OCH ₃
	CIU	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
	CIV	-3-(4-chloropyridazinyl)	-H	-OCF ₃
	CIW	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl
	CIX	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl

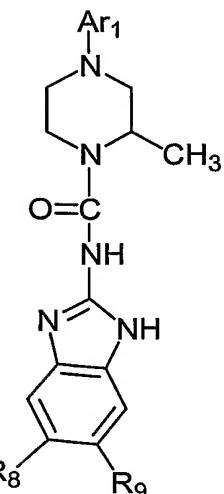
	CIY	-3-(4-methylpyridazinyl)	-Cl	-H
	CIZ	-3-(4-methylpyridazinyl)	-Br	-H
	CJA	-3-(4-methylpyridazinyl)	-F	-H
	CJB	-3-(4-methylpyridazinyl)	-CH ₃	-H
5	CJC	-3-(4-methylpyridazinyl)	-CF ₃	-H
	CJD	-3-(4-methylpyridazinyl)	-OCH ₃	-H
	CJE	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
	CJF	-3-(4-methylpyridazinyl)	-OCF ₃	-H
	CJG	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
10	CJH	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
	CJI	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
	CJJ	-3-(4-methylpyridazinyl)	-H	-H
	CJK	-3-(4-methylpyridazinyl)	-H	-Cl
	CJL	-3-(4-methylpyridazinyl)	-H	-Br
15	CJM	-3-(4-methylpyridazinyl)	-H	-F
	CJN	-3-(4-methylpyridazinyl)	-H	-CH ₃
	CJO	-3-(4-methylpyridazinyl)	-H	-CF ₃
	CJP	-3-(4-methylpyridazinyl)	-H	-OCH ₃
	CJQ	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
20	CJR	-3-(4-methylpyridazinyl)	-H	-OCF ₃
	CJS	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
	CJT	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
	CJU	-4-thiazanyl	-Cl	-H
	CJV	-4-thiazanyl	-Br	-H
25	CJW	-4-thiazanyl	-F	-H
	CJX	-4-thiazanyl	-CH ₃	-H
	CJY	-4-thiazanyl	-CF ₃	-H
	CJZ	-4-thiazanyl	-OCH ₃	-H
	CKA	-4-thiazanyl	-OCH ₂ CH ₃	-H

	CKB	-4-thiazanyl	-OCF ₃	-H
	CKC	-4-thiazanyl	- <i>tert</i> -butyl	-H
	CKD	-4-thiazanyl	- <i>iso</i> -propyl	-H
	CKE	-4-thiazanyl	-CH ₃	-CH ₃
5	CKF	-4-thiazanyl	-H	-H
	CKG	-4-thiazanyl	-H	-Cl
	CKH	-4-thiazanyl	-H	-Br
	CKI	-4-thiazanyl	-H	-F
	CKJ	-4-thiazanyl	-H	-CH ₃
10	CKK	-4-thiazanyl	-H	-CF ₃
	CKL	-4-thiazanyl	-H	-OCH ₃
	CKM	-4-thiazanyl	-H	-OCH ₂ CH ₃
	CKN	-4-thiazanyl	-H	-OCF ₃
	CKO	-4-thiazanyl	-H	- <i>tert</i> -butyl
15	CKP	-4-thiazanyl	-H	- <i>iso</i> -propyl
	CKQ	-5-(4-chlorothiazanyl)	-Cl	-H
	CKR	-5-(4-chlorothiazanyl)	-Br	-H
	CKS	-5-(4-chlorothiazanyl)	-F	-H
	CKT	-5-(4-chlorothiazanyl)	-CH ₃	-H
20	CKU	-5-(4-chlorothiazanyl)	-CF ₃	-H
	CKV	-5-(4-chlorothiazanyl)	-OCH ₃	-H
	CKW	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
	CKX	-5-(4-chlorothiazanyl)	-OCF ₃	-H
	CKY	-5-(4-chlorothiazanyl)	- <i>tert</i> -butyl	-H
25	CKZ	-5-(4-chlorothiazanyl)	- <i>iso</i> -propyl	-H
	CLA	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
	CLB	-5-(4-chlorothiazanyl)	-H	-H
	CLC	-5-(4-chlorothiazanyl)	-H	-Cl
	CLD	-5-(4-chlorothiazanyl)	-H	-Br

CLE	-5-(4-chlorothiazanyl)	-H	-F
CLF	-5-(4-chlorothiazanyl)	-H	-CH ₃
CLG	-5-(4-chlorothiazanyl)	-H	-CF ₃
CLH	-5-(4-chlorothiazanyl)	-H	-OCH ₃
5 CLI	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
CLJ	-5-(4-chlorothiazanyl)	-H	-OCF ₃
CLK	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
CLL	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
CLM	-5-(4-methylthiazanyl)	-Cl	-H
10 CLN	-5-(4-methylthiazanyl)	-Br	-H
CLO	-5-(4-methylthiazanyl)	-F	-H
CLP	-5-(4-methylthiazanyl)	-CH ₃	-H
CLQ	-5-(4-methylthiazanyl)	-CF ₃	-H
CLR	-5-(4-methylthiazanyl)	-OCH ₃	-H
15 CLS	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
CLT	-5-(4-methylthiazanyl)	-OCF ₃	-H
CLU	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
CLV	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
CLW	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
20 CLX	-5-(4-methylthiazanyl)	-H	-H
CLY	-5-(4-methylthiazanyl)	-H	-Cl
CLZ	-5-(4-methylthiazanyl)	-H	-Br
CMA	-5-(4-methylthiazanyl)	-H	-F
CMB	-5-(4-methylthiazanyl)	-H	-CH ₃
25 CMC	-5-(4-methylthiazanyl)	-H	-CF ₃
CMD	-5-(4-methylthiazanyl)	-H	-OCH ₃
CME	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
CMF	-5-(4-methylthiazanyl)	-H	-OCF ₃
CMG	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl

CMH	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl
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Table VII



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and pharmaceutically acceptable salts thereof, wherein:

<u>Compound</u>	<u>Ar₁</u>	<u>R₈</u>	<u>R₉</u>
CMI (a, b, and c)	-2-pyridazinyl	-Cl	-H
CMJ (a, b, and c)	-2-pyridazinyl	-Br	-H
CMK (a, b, and c)	-2-pyridazinyl	-F	-H
CML (a, b, and c)	-2-pyridazinyl	-CH ₃	-H
CMM (a, b, and c)	-2-pyridazinyl	-CF ₃	-H
CMN (a, b, and c)	-2-pyridazinyl	-OCH ₃	-H
CMO (a, b, and c)	-2-pyridazinyl	-OCH ₂ CH ₃	-H
CMP (a, b, and c)	-2-pyridazinyl	-OCF ₃	-H
CMQ (a, b, and c)	-2-pyridazinyl	- <i>tert</i> -butyl	-H
CMR (a, b, and c)	-2-pyridazinyl	- <i>iso</i> -propyl	-H
CMS (a, b, and c)	-2-pyridazinyl	-CH ₃	-CH ₃
CMT (a, b, and c)	-2-pyridazinyl	-H	-H
CMU (a, b, and c)	-2-pyridazinyl	-H	-Cl
CMV (a, b, and c)	-2-pyridazinyl	-H	-Br
CMW (a, b, and c)	-2-pyridazinyl	-H	-F
CMX (a, b, and c)	-2-pyridazinyl	-H	-CH ₃
CMY (a, b, and c)	-2-pyridazinyl	-H	-CF ₃

	CMZ (a, b, and c)	-2-pyridazinyl	-H	-OCH ₃
	CNA (a, b, and c)	-2-pyridazinyl	-H	-OCH ₂ CH ₃
	CNB (a, b, and c)	-2-pyridazinyl	-H	-OCF ₃
	CNC (a, b, and c)	-2-pyridazinyl	-H	- <i>tert</i> -butyl
5	CND (a, b, and c)	-2-pyridazinyl	-H	- <i>iso</i> -propyl
	CNE (a, b, and c)	-3-(4-chloropyridazinyl)	-Cl	-H
	CNF (a, b, and c)	-3-(4-chloropyridazinyl)	-Br	-H
	CNG (a, b, and c)	-3-(4-chloropyridazinyl)	-F	-H
	CNH (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-H
10	CNI (a, b, and c)	-3-(4-chloropyridazinyl)	-CF ₃	-H
	CNJ (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₃	-H
	CNK (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
	CNL (a, b, and c)	-3-(4-chloropyridazinyl)	-OCF ₃	-H
	CNM (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
15	CNN (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
	CNO (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
	CNP (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-H
	CNQ (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Cl
	CNR (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Br
20	CNS (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-F
	CNT (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CH ₃
	CNU (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CF ₃
	CNV (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₃
	CNW (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
25	CNX (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCF ₃
	CNY (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl
	CNZ (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl
	COA (a, b, and c)	-3-(4-methylpyridazinyl)	-Cl	-H
	COB (a, b, and c)	-3-(4-methylpyridazinyl)	-Br	-H

	COC (a, b, and c)	-3-(4-methylpyridazinyl)	-F	-H
	COD (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-H
	COE (a, b, and c)	-3-(4-methylpyridazinyl)	-CF ₃	-H
	COF (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₃	-H
5	COG (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
	COH (a, b, and c)	-3-(4-methylpyridazinyl)	-OCF ₃	-H
	COI (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
	COJ (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
	COK (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
10	COL (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-H
	COM (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Cl
	CON (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Br
	COO (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-F
	COP (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CH ₃
15	COQ (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CF ₃
	COR (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₃
	COS (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
	COT (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCF ₃
	COU (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
20	COV (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
	COW (a, b, and c)	-4-thiazanyl	-Cl	-H
	COX (a, b, and c)	-4-thiazanyl	-Br	-H
	COY (a, b, and c)	-4-thiazanyl	-F	-H
	COZ (a, b, and c)	-4-thiazanyl	-CH ₃	-H
25	CPA (a, b, and c)	-4-thiazanyl	-CF ₃	-H
	CPB (a, b, and c)	-4-thiazanyl	-OCH ₃	-H
	CPC (a, b, and c)	-4-thiazanyl	-OCH ₂ CH ₃	-H
	CPD (a, b, and c)	-4-thiazanyl	-OCF ₃	-H
	CPE (a, b, and c)	-4-thiazanyl	- <i>tert</i> -butyl	-H

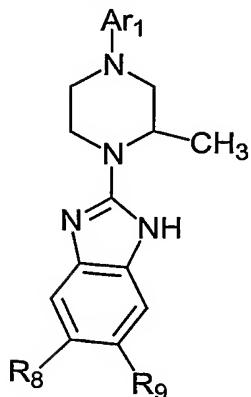
CPF (a, b, and c)	-4-thiazanyl	- <i>iso</i> -propyl	-H
CPG (a, b, and c)	-4-thiazanyl	-CH ₃	-CH ₃
CPH (a, b, and c)	-4-thiazanyl	-H	-H
CPI (a, b, and c)	-4-thiazanyl	-H	-Cl
5 CPJ (a, b, and c)	-4-thiazanyl	-H	-Br
CPK (a, b, and c)	-4-thiazanyl	-H	-F
CPL (a, b, and c)	-4-thiazanyl	-H	-CH ₃
CPM (a, b, and c)	-4-thiazanyl	-H	-CF ₃
CPN (a, b, and c)	-4-thiazanyl	-H	-OCH ₃
10 CPO (a, b, and c)	-4-thiazanyl	-H	-OCH ₂ CH ₃
CPP (a, b, and c)	-4-thiazanyl	-H	-OCF ₃
CPQ (a, b, and c)	-4-thiazanyl	-H	- <i>tert</i> -butyl
CPR (a, b, and c)	-4-thiazanyl	-H	- <i>iso</i> -propyl
CPS (a, b, and c)	-5-(4-chlorothiazanyl)	-Cl	-H
15 CPT (a, b, and c)	-5-(4-chlorothiazanyl)	-Br	-H
CPU (a, b, and c)	-5-(4-chlorothiazanyl)	-F	-H
CPV (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-H
CPW (a, b, and c)	-5-(4-chlorothiazanyl)	-CF ₃	-H
CPX (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₃	-H
20 CPY (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
CPZ (a, b, and c)	-5-(4-chlorothiazanyl)	-OCF ₃	-H
CQA (a, b, and c)	-5-(4-chlorothiazanyl)	- <i>tert</i> -butyl	-H
CQB (a, b, and c)	-5-(4-chlorothiazanyl)	- <i>iso</i> -propyl	-H
CQC (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
25 CQD (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-H
CQE (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Cl
CQF (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Br
CQG (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-F
CQH (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CH ₃

	CQI (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CF ₃
	CQJ (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₃
	CQK (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
	CQL (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCF ₃
5	CQM (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
	CQN (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
	CQO (a, b, and c)	-5-(4-methylthiazanyl)	-Cl	-H
	CQP (a, b, and c)	-5-(4-methylthiazanyl)	-Br	-H
	CQQ (a, b, and c)	-5-(4-methylthiazanyl)	-F	-H
10	CQR (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-H
	CQS (a, b, and c)	-5-(4-methylthiazanyl)	-CF ₃	-H
	CQT (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₃	-H
	CQU (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
	CQV (a, b, and c)	-5-(4-methylthiazanyl)	-OCF ₃	-H
15	CQW (a, b, and c)	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
	CQX (a, b, and c)	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
	CQY (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
	CQZ (a, b, and c)	-5-(4-methylthiazanyl)	-H	-H
	CRA (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Cl
20	CRB (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Br
	CRC (a, b, and c)	-5-(4-methylthiazanyl)	-H	-F
	CRD (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CH ₃
	CRE (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CF ₃
	CRF (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₃
25	CRG (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
	CRH (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCF ₃
	CRI (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl
	CRJ (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl

“a” means the Benzoazolylpiperazine Compound is racemic.

“b” means the carbon atom of the piperazine ring attached to the methyl group is in the R configuration.

“c” means the carbon atom of the piperazine ring attached to the methyl group 5 is in the S configuration.

Table VIII

and pharmaceutically acceptable salts thereof, wherein:

	Compound	Ar₁	R₈	R₉
15	CRK (a, b, and c)	-2-(3-chloropyridyl)	-Cl	-H
	CRL (a, b, and c)	-2-(3-chloropyridyl)	-Br	-H
	CRM (a, b, and c)	-2-(3-chloropyridyl)	-F	-H
	CRN (a, b, and c)	-2-(3-chloropyridyl)	-CH ₃	-H
20	CRO (a, b, and c)	-2-(3-chloropyridyl)	-CF ₃	-H
	CRP (a, b, and c)	-2-(3-chloropyridyl)	-OCH ₃	-H
	CRQ (a, b, and c)	-2-(3-chloropyridyl)	-OCH ₂ CH ₃	-H
	CRR (a, b, and c)	-2-(3-chloropyridyl)	-OCF ₃	-H
	CRS (a, b, and c)	-2-(3-chloropyridyl)	- <i>tert</i> -butyl	-H
25	CRT (a, b, and c)	-2-(3-chloropyridyl)	- <i>iso</i> -propyl	-H
	CRU (a, b, and c)	-2-(3-chloropyridyl)	-CH ₃	-CH ₃
	CRV (a, b, and c)	-2-(3-chloropyridyl)	-H	-H
	CRW (a, b, and c)	-2-(3-chloropyridyl)	-H	-Cl
	CRX (a, b, and c)	-2-(3-chloropyridyl)	-H	-Br
30	CRY (a, b, and c)	-2-(3-chloropyridyl)	-H	-F
	CRZ (a, b, and c)	-2-(3-chloropyridyl)	-H	-CH ₃
	CSA (a, b, and c)	-2-(3-chloropyridyl)	-H	-CF ₃
	CSB (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCH ₃
	CSC (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCH ₂ CH ₃

	CSD (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCF ₃
	CSE (a, b, and c)	-2-(3-chloropyridyl)	-H	- <i>tert</i> -butyl
	CSF (a, b, and c)	-2-(3-chloropyridyl)	-H	- <i>iso</i> -propyl
	CSG (a, b, and c)	-2-(3-methylpyridyl)	-Cl	-H
5	CSH (a, b, and c)	-2-(3-methylpyridyl)	-Br	-H
	CSI (a, b, and c)	-2-(3-methylpyridyl)	-F	-H
	CSJ (a, b, and c)	-2-(3-methylpyridyl)	-CH ₃	-H
	CSK (a, b, and c)	-2-(3-methylpyridyl)	-CF ₃	-H
	CSL (a, b, and c)	-2-(3-methylpyridyl)	-OCH ₃	-H
	CSM (a, b, and c)	-2-(3-methylpyridyl)	-OCH ₂ CH ₃	-H
10	CSN (a, b, and c)	-2-(3-methylpyridyl)	-OCF ₃	-H
	CSO (a, b, and c)	-2-(3-methylpyridyl)	- <i>tert</i> -butyl	-H
	CSP (a, b, and c)	-2-(3-methylpyridyl)	- <i>iso</i> -propyl	-H
	CSQ (a, b, and c)	-2-(3-methylpyridyl)	-CH ₃	-CH ₃
	CSR (a, b, and c)	-2-(3-methylpyridyl)	-H	-H
	CSS (a, b, and c)	-2-(3-methylpyridyl)	-H	-Cl
15	CST (a, b, and c)	-2-(3-methylpyridyl)	-H	-Br
	CSU (a, b, and c)	-2-(3-methylpyridyl)	-H	-F
	CSV (a, b, and c)	-2-(3-methylpyridyl)	-H	-CH ₃
	CSW (a, b, and c)	-2-(3-methylpyridyl)	-H	-CF ₃
	CSX (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCH ₃
	CSY (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCH ₂ CH ₃
20	CSZ (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCF ₃
	CTA (a, b, and c)	-2-(3-methylpyridyl)	-H	- <i>tert</i> -butyl
	CTB (a, b, and c)	-2-(3-methylpyridyl)	-H	- <i>iso</i> -propyl
	CTC (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-Cl	-H
	CTD (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-Br	-H
	CTE (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-F	-H

	CTF (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CH ₃	-H
	CTG (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CF ₃	-H
	CTH (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCH ₃	-H
	CTI (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCH ₂ CH ₃	-H
5	CTJ (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCF ₃	-H
	CTK (a, b, and c)	-2-(3-CF ₃ -pyridyl)	- <i>tert</i> -butyl	-H
	CTL (a, b, and c)	-2-(3-CF ₃ -pyridyl)	- <i>iso</i> -propyl	-H
	CTM (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CH ₃	-CH ₃
	CTN (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-H
10	CTO (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-Cl
	CTP (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-Br
	CTQ (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-F
	CTR (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-CH ₃
	CTS (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-CF ₃
15	CTT (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₃
	CTU (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₂ CH ₃
	CTV (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCF ₃
	CTW (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	- <i>tert</i> -butyl
	CTX (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	- <i>iso</i> -propyl
20	CTY (a, b, and c)	-4-(5-chloropyrimidinyl)	-Cl	-H
	CTZ (a, b, and c)	-4-(5-chloropyrimidinyl)	-Br	-H
	CUA (a, b, and c)	-4-(5-chloropyrimidinyl)	-F	-H
	CUB (a, b, and c)	-4-(5-chloropyrimidinyl)	-CH ₃	-H
	CUC (a, b, and c)	-4-(5-chloropyrimidinyl)	-CF ₃	-H
25	CUD (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCH ₃	-H
	CUE (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCH ₂ CH ₃	-H
	CUF (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCF ₃	-H
	CUG (a, b, and c)	-4-(5-chloropyrimidinyl)	- <i>tert</i> -butyl	-H
	CUH (a, b, and c)	-4-(5-chloropyrimidinyl)	- <i>iso</i> -propyl	-H

	CUI (a, b, and c)	-4-(5-chloropyrimidinyl)	-CH ₃	-CH ₃
	CUJ (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-H
	CUK (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-Cl
	CUL (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-Br
5	CUM (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-F
	CUN (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-CH ₃
	CUO (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-CF ₃
	CUP (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCH ₃
	CUQ (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCH ₂ CH ₃
10	CUR (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCF ₃
	CUS (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	- <i>tert</i> -butyl
	CUT (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	- <i>iso</i> -propyl
	CUU (a, b, and c)	-4-(5-methylpyrimidinyl)	-Cl	-H
	CUV (a, b, and c)	-4-(5-methylpyrimidinyl)	-Br	-H
15	CUW (a, b, and c)	-4-(5-methylpyrimidinyl)	-F	-H
	CUX (a, b, and c)	-4-(5-methylpyrimidinyl)	-CH ₃	-H
	CUY (a, b, and c)	-4-(5-methylpyrimidinyl)	-CF ₃	-H
	CUZ (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCH ₃	-H
	CVA (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCH ₂ CH ₃	-H
20	CVB (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCF ₃	-H
	CVC (a, b, and c)	-4-(5-methylpyrimidinyl)	- <i>tert</i> -butyl	-H
	CVD (a, b, and c)	-4-(5-methylpyrimidinyl)	- <i>iso</i> -propyl	-H
	CVE (a, b, and c)	-4-(5-methylpyrimidinyl)	-CH ₃	-CH ₃
	CVF (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-H
25	CVG (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-Cl
	CVH (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-Br
	CVI (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-F
	CVJ (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-CH ₃
	CVK (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-CF ₃

	CVL (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCH ₃
	CVM (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCH ₂ CH ₃
	CVN (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCF ₃
	CVO (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	- <i>tert</i> -butyl
5	CVP (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	- <i>iso</i> -propyl
	CVQ (a, b, and c)	-2-pyrazinyl	-Cl	-H
	CVR (a, b, and c)	-2-pyrazinyl	-Br	-H
	CVS (a, b, and c)	-2-pyrazinyl	-F	-H
	CVT (a, b, and c)	-2-pyrazinyl	-CH ₃	-H
10	CVU (a, b, and c)	-2-pyrazinyl	-CF ₃	-H
	CVV (a, b, and c)	-2-pyrazinyl	-OCH ₃	-H
	CVW (a, b, and c)	-2-pyrazinyl	-OCH ₂ CH ₃	-H
	CVX (a, b, and c)	-2-pyrazinyl	-OCF ₃	-H
	CVY (a, b, and c)	-2-pyrazinyl	- <i>tert</i> -butyl	-H
15	CVZ (a, b, and c)	-2-pyrazinyl	- <i>iso</i> -propyl	-H
	CWA (a, b, and c)	-2-pyrazinyl	-CH ₃	-CH ₃
	CWB (a, b, and c)	-2-pyrazinyl	-H	-H
	CWC (a, b, and c)	-2-pyrazinyl	-H	-Cl
	CWD (a, b, and c)	-2-pyrazinyl	-H	-Br
20	CWE (a, b, and c)	-2-pyrazinyl	-H	-F
	CWF (a, b, and c)	-2-pyrazinyl	-H	-CH ₃
	CWG (a, b, and c)	-2-pyrazinyl	-H	-CF ₃
	CWH (a, b, and c)	-2-pyrazinyl	-H	-OCH ₃
	CWI (a, b, and c)	-2-pyrazinyl	-H	-OCH ₂ CH ₃
25	CWJ (a, b, and c)	-2-pyrazinyl	-H	-OCF ₃
	CWK (a, b, and c)	-2-pyrazinyl	-H	- <i>tert</i> -butyl
	CWL (a, b, and c)	-2-pyrazinyl	-H	- <i>iso</i> -propyl
	CWM (a, b, and c)	-2-(3-chloropyrazinyl)	-Cl	-H
	CWN (a, b, and c)	-2-(3-chloropyrazinyl)	-Br	-H

	CWO (a, b, and c)	-2-(3-chloropyrazinyl)	-F	-H
	CWP (a, b, and c)	-2-(3-chloropyrazinyl)	-CH ₃	-H
	CWQ (a, b, and c)	-2-(3-chloropyrazinyl)	-CF ₃	-H
	CWR (a, b, and c)	-2-(3-chloropyrazinyl)	-OCH ₃	-H
5	CWS (a, b, and c)	-2-(3-chloropyrazinyl)	-OCH ₂ CH ₃	-H
	CWT (a, b, and c)	-2-(3-chloropyrazinyl)	-OCF ₃	-H
	CWU (a, b, and c)	-2-(3-chloropyrazinyl)	- <i>tert</i> -butyl	-H
	CWV (a, b, and c)	-2-(3-chloropyrazinyl)	- <i>iso</i> -propyl	-H
	CWW (a, b, and c)	-2-(3-chloropyrazinyl)	-CH ₃	-CH ₃
10	CWX (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-H
	CWY (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-Cl
	CWZ (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-Br
	CXA (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-F
	CXB (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-CH ₃
15	CXC (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-CF ₃
	CXD (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCH ₃
	CXE (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCH ₂ CH ₃
	CXF (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCF ₃
	CXG (a, b, and c)	-2-(3-chloropyrazinyl)	-H	- <i>tert</i> -butyl
20	CXH (a, b, and c)	-2-(3-chloropyrazinyl)	-H	- <i>iso</i> -propyl
	CXI (a, b, and c)	-2-(3-methylpyrazinyl)	-Cl	-H
	CXJ (a, b, and c)	-2-(3-methylpyrazinyl)	-Br	-H
	CXK (a, b, and c)	-2-(3-methylpyrazinyl)	-F	-H
	CXL (a, b, and c)	-2-(3-methylpyrazinyl)	-CH ₃	-H
25	CXM (a, b, and c)	-2-(3-methylpyrazinyl)	-CF ₃	-H
	CXN (a, b, and c)	-2-(3-methylpyrazinyl)	-OCH ₃	-H
	CXO (a, b, and c)	-2-(3-methylpyrazinyl)	-OCH ₂ CH ₃	-H
	CXP (a, b, and c)	-2-(3-methylpyrazinyl)	-OCF ₃	-H
	CXQ (a, b, and c)	-2-(3-methylpyrazinyl)	- <i>tert</i> -butyl	-H

	CXR (a, b, and c)	-2-(3-methylpyrazinyl)	<i>-iso</i> -propyl	-H
	CXS (a, b, and c)	-2-(3-methylpyrazinyl)	-CH ₃	-CH ₃
	CXT (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-H
	CXU (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-Cl
5	CXV (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-Br
	CXW (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-F
	CXX (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-CH ₃
	CXY (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-CF ₃
	CXZ (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCH ₃
10	CYA (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCH ₂ CH ₃
	CYB (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCF ₃
	CYC (a, b, and c)	-2-(3-methylpyrazinyl)	-H	- <i>tert</i> -butyl
	CYD (a, b, and c)	-2-(3-methylpyrazinyl)	-H	<i>-iso</i> -propyl
	CYE (a, b, and c)	-2-pyridazinyl	-Cl	-H
15	CYF (a, b, and c)	-2-pyridazinyl	-Br	-H
	CYG (a, b, and c)	-2-pyridazinyl	-F	-H
	CYH (a, b, and c)	-2-pyridazinyl	-CH ₃	-H
	CYI (a, b, and c)	-2-pyridazinyl	-CF ₃	-H
	CYJ (a, b, and c)	-2-pyridazinyl	-OCH ₃	-H
20	CYK (a, b, and c)	-2-pyridazinyl	-OCH ₂ CH ₃	-H
	CYL (a, b, and c)	-2-pyridazinyl	-OCF ₃	-H
	CYM (a, b, and c)	-2-pyridazinyl	- <i>tert</i> -butyl	-H
	CYN (a, b, and c)	-2-pyridazinyl	<i>-iso</i> -propyl	-H
	CYO (a, b, and c)	-2-pyridazinyl	-CH ₃	-CH ₃
25	CYP (a, b, and c)	-2-pyridazinyl	-H	-H
	CYQ (a, b, and c)	-2-pyridazinyl	-H	-Cl
	CYR (a, b, and c)	-2-pyridazinyl	-H	-Br
	CYS (a, b, and c)	-2-pyridazinyl	-H	-F
	CYT (a, b, and c)	-2-pyridazinyl	-H	-CH ₃

	CYU (a, b, and c)	-2-pyridazinyl	-H	-CF ₃
	CYV (a, b, and c)	-2-pyridazinyl	-H	-OCH ₃
	CYW (a, b, and c)	-2-pyridazinyl	-H	-OCH ₂ CH ₃
	CYX (a, b, and c)	-2-pyridazinyl	-H	-OCF ₃
5	CYY (a, b, and c)	-2-pyridazinyl	-H	- <i>tert</i> -butyl
	CYZ (a, b, and c)	-2-pyridazinyl	-H	- <i>iso</i> -propyl
	CZA (a, b, and c)	-3-(4-chloropyridazinyl)	-Cl	-H
	CZB (a, b, and c)	-3-(4-chloropyridazinyl)	-Br	-H
	CZC (a, b, and c)	-3-(4-chloropyridazinyl)	-F	-H
10	CZD (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-H
	CZE (a, b, and c)	-3-(4-chloropyridazinyl)	-CF ₃	-H
	CZF (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₃	-H
	CZG (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
	CZH (a, b, and c)	-3-(4-chloropyridazinyl)	-OCF ₃	-H
15	CZI (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
	CZJ (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
	CZK (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
	CZL (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-H
	CZM (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Cl
20	CZN (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Br
	CZO (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-F
	CZP (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CH ₃
	CZQ (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CF ₃
	CZR (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₃
25	CZS (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
	CZT (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCF ₃
	CZU (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl
	CZV (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl
	CZW (a, b, and c)	-3-(4-methylpyridazinyl)	-Cl	-H

	CZX (a, b, and c)	-3-(4-methylpyridazinyl)	-Br	-H
	CZY (a, b, and c)	-3-(4-methylpyridazinyl)	-F	-H
	CZZ (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-H
	DAA (a, b, and c)	-3-(4-methylpyridazinyl)	-CF ₃	-H
5	DAB (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₃	-H
	DAC (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
	DAD (a, b, and c)	-3-(4-methylpyridazinyl)	-OCF ₃	-H
	DAE (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
	DAF (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
10	DAG (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
	DAH (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-H
	DAI (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Cl
	DAJ (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Br
	DAK (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-F
15	DAL (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CH ₃
	DAM (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CF ₃
	DAN (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₃
	DAO (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
	DAP (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCF ₃
20	DAQ (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
	DAR (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
	DAS (a, b, and c)	-4-thiazanyl	-Cl	-H
	DAT (a, b, and c)	-4-thiazanyl	-Br	-H
	DAU (a, b, and c)	-4-thiazanyl	-F	-H
25	DAV (a, b, and c)	-4-thiazanyl	-CH ₃	-H
	DAW (a, b, and c)	-4-thiazanyl	-CF ₃	-H
	DAX (a, b, and c)	-4-thiazanyl	-OCH ₃	-H
	DAY (a, b, and c)	-4-thiazanyl	-OCH ₂ CH ₃	-H
	DAZ (a, b, and c)	-4-thiazanyl	-OCF ₃	-H

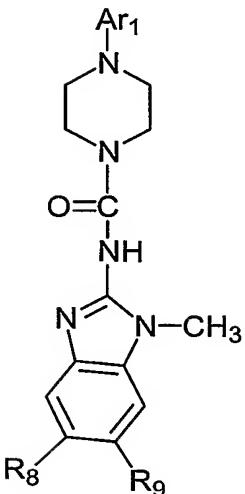
	DBA (a, b, and c)	-4-thiazanyl	- <i>tert</i> -butyl	-H
	DBB (a, b, and c)	-4-thiazanyl	- <i>iso</i> -propyl	-H
	DBC (a, b, and c)	-4-thiazanyl	-CH ₃	-CH ₃
	DBD (a, b, and c)	-4-thiazanyl	-H	-H
5	DBE (a, b, and c)	-4-thiazanyl	-H	-Cl
	DBF (a, b, and c)	-4-thiazanyl	-H	-Br
	DBG (a, b, and c)	-4-thiazanyl	-H	-F
	DBH (a, b, and c)	-4-thiazanyl	-H	-CH ₃
	DBI (a, b, and c)	-4-thiazanyl	-H	-CF ₃
10	DBJ (a, b, and c)	-4-thiazanyl	-H	-OCH ₃
	DBK (a, b, and c)	-4-thiazanyl	-H	-OCH ₂ CH ₃
	DBL (a, b, and c)	-4-thiazanyl	-H	-OCF ₃
	DBM (a, b, and c)	-4-thiazanyl	-H	- <i>tert</i> -butyl
	DBN (a, b, and c)	-4-thiazanyl	-H	- <i>iso</i> -propyl
15	DBO (a, b, and c)	-5-(4-chlorothiazanyl)	-Cl	-H
	DBP (a, b, and c)	-5-(4-chlorothiazanyl)	-Br	-H
	DBQ (a, b, and c)	-5-(4-chlorothiazanyl)	-F	-H
	DBR (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-H
	DBS (a, b, and c)	-5-(4-chlorothiazanyl)	-CF ₃	-H
20	DBT (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₃	-H
	DBU (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
	DBV (a, b, and c)	-5-(4-chlorothiazanyl)	-OCF ₃	-H
	DBW (a, b, and c)	-5-(4-chlorothiazanyl)	- <i>tert</i> -butyl	-H
	DBX (a, b, and c)	-5-(4-chlorothiazanyl)	- <i>iso</i> -propyl	-H
25	DBY (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
	DBZ (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-H
	DCA (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Cl
	DCB (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Br
	DCC (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-F

	DCD (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CH ₃
	DCE (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CF ₃
	DCF (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₃
	DCG (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
5	DCH (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCF ₃
	DCI (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
	DCJ (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
	DCK (a, b, and c)	-5-(4-methylthiazanyl)	-Cl	-H
	DCL (a, b, and c)	-5-(4-methylthiazanyl)	-Br	-H
10	DCM (a, b, and c)	-5-(4-methylthiazanyl)	-F	-H
	DCN (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-H
	DCO (a, b, and c)	-5-(4-methylthiazanyl)	-CF ₃	-H
	DCP (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₃	-H
	DCQ (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
15	DCR (a, b, and c)	-5-(4-methylthiazanyl)	-OCF ₃	-H
	DCS (a, b, and c)	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
	DCT (a, b, and c)	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
	DCU (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
	DCV (a, b, and c)	-5-(4-methylthiazanyl)	-H	-H
20	DCW (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Cl
	DCX (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Br
	DCY (a, b, and c)	-5-(4-methylthiazanyl)	-H	-F
	DCZ (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CH ₃
	DDA (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CF ₃
25	DDB (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₃
	DDC (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
	DDD (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCF ₃
	DDE (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl
	DDF (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl

“a” means the Benzoazolylpiperazine Compound is racemic.

“b” means the carbon atom of the piperazine ring attached to the methyl group is in the R configuration.

“c” means the carbon atom of the piperazine ring attached to the methyl group 5 is in the S configuration.

Table IX

and pharmaceutically acceptable salts thereof, wherein:

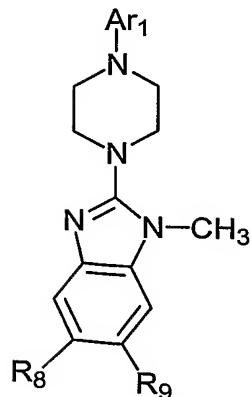
Compound	Ar₁	R₈	R₉
DDG	-2-pyridazinyl	-Cl	-H
DDH	-2-pyridazinyl	-Br	-H
DDI	-2-pyridazinyl	-F	-H
DDJ	-2-pyridazinyl	-CH ₃	-H
DDK	-2-pyridazinyl	-CF ₃	-H
DDL	-2-pyridazinyl	-OCH ₃	-H
DDM	-2-pyridazinyl	-OCH ₂ CH ₃	-H
DDN	-2-pyridazinyl	-OCF ₃	-H
DDO	-2-pyridazinyl	- <i>tert</i> -butyl	-H
DDP	-2-pyridazinyl	- <i>iso</i> -propyl	-H
DDQ	-2-pyridazinyl	-CH ₃	-CH ₃
DDR	-2-pyridazinyl	-H	-H
DDS	-2-pyridazinyl	-H	-Cl
DDT	-2-pyridazinyl	-H	-Br
DDU	-2-pyridazinyl	-H	-F
DDV	-2-pyridazinyl	-H	-CH ₃
DDW	-2-pyridazinyl	-H	-CF ₃

DDX	-2-pyridazinyl	-H	-OCH ₃
DDY	-2-pyridazinyl	-H	-OCH ₂ CH ₃
DDZ	-2-pyridazinyl	-H	-OCF ₃
DEA	-2-pyridazinyl	-H	- <i>tert</i> -butyl
5 DEB	-2-pyridazinyl	-H	- <i>iso</i> -propyl
DEC	-3-(4-chloropyridazinyl)	-Cl	-H
DED	-3-(4-chloropyridazinyl)	-Br	-H
DEE	-3-(4-chloropyridazinyl)	-F	-H
DEF	-3-(4-chloropyridazinyl)	-CH ₃	-H
10 DEG	-3-(4-chloropyridazinyl)	-CF ₃	-H
DEH	-3-(4-chloropyridazinyl)	-OCH ₃	-H
DEI	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
DEJ	-3-(4-chloropyridazinyl)	-OCF ₃	-H
DEK	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
15 DEL	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
DEM	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
DEN	-3-(4-chloropyridazinyl)	-H	-H
DEO	-3-(4-chloropyridazinyl)	-H	-Cl
20 DEP	-3-(4-chloropyridazinyl)	-H	-Br
DEQ	-3-(4-chloropyridazinyl)	-H	-F
DER	-3-(4-chloropyridazinyl)	-H	-CH ₃
DES	-3-(4-chloropyridazinyl)	-H	-CF ₃
DET	-3-(4-chloropyridazinyl)	-H	-OCH ₃
DEU	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
25 DEV	-3-(4-chloropyridazinyl)	-H	-OCF ₃
DEW	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl
DEX	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl
DEY	-3-(4-methylpyridazinyl)	-Cl	-H
DEZ	-3-(4-methylpyridazinyl)	-Br	-H

DFA	-3-(4-methylpyridazinyl)	-F	-H
DFB	-3-(4-methylpyridazinyl)	-CH ₃	-H
DFC	-3-(4-methylpyridazinyl)	-CF ₃	-H
DFD	-3-(4-methylpyridazinyl)	-OCH ₃	-H
5 DFE	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
DFF	-3-(4-methylpyridazinyl)	-OCF ₃	-H
DFG	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
DFH	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
10 DFI	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
DFJ	-3-(4-methylpyridazinyl)	-H	-H
DFK	-3-(4-methylpyridazinyl)	-H	-Cl
DFL	-3-(4-methylpyridazinyl)	-H	-Br
DFM	-3-(4-methylpyridazinyl)	-H	-F
DFN	-3-(4-methylpyridazinyl)	-H	-CH ₃
15 DFO	-3-(4-methylpyridazinyl)	-H	-CF ₃
DFP	-3-(4-methylpyridazinyl)	-H	-OCH ₃
DFQ	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
DFR	-3-(4-methylpyridazinyl)	-H	-OCF ₃
20 DFS	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
DFT	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
DFU	-4-thiazanyl	-Cl	-H
DFV	-4-thiazanyl	-Br	-H
DFW	-4-thiazanyl	-F	-H
25 DFX	-4-thiazanyl	-CH ₃	-H
DFY	-4-thiazanyl	-CF ₃	-H
DFZ	-4-thiazanyl	-OCH ₃	-H
DGA	-4-thiazanyl	-OCH ₂ CH ₃	-H
DGB	-4-thiazanyl	-OCF ₃	-H
DGC	-4-thiazanyl	- <i>tert</i> -butyl	-H

DGD	-4-thiazanyl	- <i>iso</i> -propyl	-H
DGE	-4-thiazanyl	-CH ₃	-CH ₃
DGF	-4-thiazanyl	-H	-H
DGG	-4-thiazanyl	-H	-Cl
5 DGH	-4-thiazanyl	-H	-Br
DGI	-4-thiazanyl	-H	-F
DGJ	-4-thiazanyl	-H	-CH ₃
DGK	-4-thiazanyl	-H	-CF ₃
DGL	-4-thiazanyl	-H	-OCH ₃
10 DGM	-4-thiazanyl	-H	-OCH ₂ CH ₃
DGN	-4-thiazanyl	-H	-OCF ₃
DGO	-4-thiazanyl	-H	- <i>tert</i> -butyl
DGP	-4-thiazanyl	-H	- <i>iso</i> -propyl
DGQ	-5-(4-chlorothiazanyl)	-Cl	-H
15 DGR	-5-(4-chlorothiazanyl)	-Br	-H
DGS	-5-(4-chlorothiazanyl)	-F	-H
DGT	-5-(4-chlorothiazanyl)	-CH ₃	-H
DGU	-5-(4-chlorothiazanyl)	-CF ₃	-H
20 DGV	-5-(4-chlorothiazanyl)	-OCH ₃	-H
DGW	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
DGX	-5-(4-chlorothiazanyl)	-OCF ₃	-H
DGY	-5-(4-chlorothiazanyl)	- <i>tert</i> -butyl	-H
DGZ	-5-(4-chlorothiazanyl)	- <i>iso</i> -propyl	-H
DHA	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
25 DHB	-5-(4-chlorothiazanyl)	-H	-H
DHC	-5-(4-chlorothiazanyl)	-H	-Cl
DHD	-5-(4-chlorothiazanyl)	-H	-Br
DHE	-5-(4-chlorothiazanyl)	-H	-F
DHF	-5-(4-chlorothiazanyl)	-H	-CH ₃

	DHG	-5-(4-chlorothiazanyl)	-H	-CF ₃
	DHH	-5-(4-chlorothiazanyl)	-H	-OCH ₃
	DHI	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
	DHJ	-5-(4-chlorothiazanyl)	-H	-OCF ₃
5	DHK	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
	DHL	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
	DHM	-5-(4-methylthiazanyl)	-Cl	-H
	DHN	-5-(4-methylthiazanyl)	-Br	-H
	DHO	-5-(4-methylthiazanyl)	-F	-H
	DHP	-5-(4-methylthiazanyl)	-CH ₃	-H
10	DHQ	-5-(4-methylthiazanyl)	-CF ₃	-H
	DHR	-5-(4-methylthiazanyl)	-OCH ₃	-H
	DHS	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
	DHT	-5-(4-methylthiazanyl)	-OCF ₃	-H
	DHU	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
	DHV	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
15	DHW	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
	DHX	-5-(4-methylthiazanyl)	-H	-H
	DHY	-5-(4-methylthiazanyl)	-H	-Cl
	DHZ	-5-(4-methylthiazanyl)	-H	-Br
	DIA	-5-(4-methylthiazanyl)	-H	-F
	DIB	-5-(4-methylthiazanyl)	-H	-CH ₃
20	DIC	-5-(4-methylthiazanyl)	-H	-CF ₃
	DID	-5-(4-methylthiazanyl)	-H	-OCH ₃
	DIE	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
	DIF	-5-(4-methylthiazanyl)	-H	-OCF ₃
	DIG	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl
	DIH	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl

Table X

and pharmaceutically acceptable salts thereof, wherein:

<u>Compound</u>	<u>Ar₁</u>	<u>R₈</u>	<u>R₉</u>
DII	-2-(3-chloropyridyl)	-Cl	-H
DIJ	-2-(3-chloropyridyl)	-Br	-H
DIK	-2-(3-chloropyridyl)	-F	-H
DIL	-2-(3-chloropyridyl)	-CH ₃	-H
DIM	-2-(3-chloropyridyl)	-CF ₃	-H
DIN	-2-(3-chloropyridyl)	-OCH ₃	-H
DIO	-2-(3-chloropyridyl)	-OCH ₂ CH ₃	-H
25 DIP	-2-(3-chloropyridyl)	-OCF ₃	-H
DIQ	-2-(3-chloropyridyl)	- <i>tert</i> -butyl	-H
DIR	-2-(3-chloropyridyl)	- <i>iso</i> -propyl	-H
DIS	-2-(3-chloropyridyl)	-CH ₃	-CH ₃
DIT	-2-(3-chloropyridyl)	-H	-H
30 DIU	-2-(3-chloropyridyl)	-H	-Cl
DIV	-2-(3-chloropyridyl)	-H	-Br
DIW	-2-(3-chloropyridyl)	-H	-F
DIX	-2-(3-chloropyridyl)	-H	-CH ₃
DIY	-2-(3-chloropyridyl)	-H	-CF ₃
35 DIZ	-2-(3-chloropyridyl)	-H	-OCH ₃

DJA	-2-(3-chloropyridyl)	-H	-OCH ₂ CH ₃
DJB	-2-(3-chloropyridyl)	-H	-OCF ₃
DJC	-2-(3-chloropyridyl)	-H	- <i>tert</i> -butyl
DJD	-2-(3-chloropyridyl)	-H	- <i>iso</i> -propyl
5 DJE	-2-(3-methylpyridyl)	-Cl	-H
DJF	-2-(3-methylpyridyl)	-Br	-H
DJG	-2-(3-methylpyridyl)	-F	-H
DJH	-2-(3-methylpyridyl)	-CH ₃	-H
DJI	-2-(3-methylpyridyl)	-CF ₃	-H
10 DJJ	-2-(3-methylpyridyl)	-OCH ₃	-H
DJK	-2-(3-methylpyridyl)	-OCH ₂ CH ₃	-H
DJL	-2-(3-methylpyridyl)	-OCF ₃	-H
DJM	-2-(3-methylpyridyl)	- <i>tert</i> -butyl	-H
DJN	-2-(3-methylpyridyl)	- <i>iso</i> -propyl	-H
15 DOJ	-2-(3-methylpyridyl)	-CH ₃	-CH ₃
DJP	-2-(3-methylpyridyl)	-H	-H
DJQ	-2-(3-methylpyridyl)	-H	-Cl
DJR	-2-(3-methylpyridyl)	-H	-Br
20 DJS	-2-(3-methylpyridyl)	-H	-F
DJT	-2-(3-methylpyridyl)	-H	-CH ₃
DJU	-2-(3-methylpyridyl)	-H	-CF ₃
DJV	-2-(3-methylpyridyl)	-H	-OCH ₃
DJW	-2-(3-methylpyridyl)	-H	-OCH ₂ CH ₃
DJX	-2-(3-methylpyridyl)	-H	-OCF ₃
25 DJY	-2-(3-methylpyridyl)	-H	- <i>tert</i> -butyl
DJZ	-2-(3-methylpyridyl)	-H	- <i>iso</i> -propyl
DKA	-2-(3-CF ₃ -pyridyl)	-Cl	-H
DKB	-2-(3-CF ₃ -pyridyl)	-Br	-H

	DKC	-2-(3-CF ₃ -pyridyl)	-F	-H
	DKD	-2-(3-CF ₃ -pyridyl)	-CH ₃	-H
	DKE	-2-(3-CF ₃ -pyridyl)	-CF ₃	-H
	DKF	-2-(3-CF ₃ -pyridyl)	-OCH ₃	-H
5	DKG	-2-(3-CF ₃ -pyridyl)	-OCH ₂ CH ₃	-H
	DKH	-2-(3-CF ₃ -pyridyl)	-OCF ₃	-H
	DKI	-2-(3-CF ₃ -pyridyl)	- <i>tert</i> -butyl	-H
	DKJ	-2-(3-CF ₃ -pyridyl)	- <i>iso</i> -propyl	-H
	DKK	-2-(3-CF ₃ -pyridyl)	-CH ₃	-CH ₃
	DKL	-2-(3-CF ₃ -pyridyl)	-H	-H
	DKM	-2-(3-CF ₃ -pyridyl)	-H	-Cl
	DKN	-2-(3-CF ₃ -pyridyl)	-H	-Br
	DKO	-2-(3-CF ₃ -pyridyl)	-H	-F
	DKP	-2-(3-CF ₃ -pyridyl)	-H	-CH ₃
15	DKQ	-2-(3-CF ₃ -pyridyl)	-H	-CF ₃
	DKR	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₃
	DKS	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₂ CH ₃
	DKT	-2-(3-CF ₃ -pyridyl)	-H	-OCF ₃
	DKU	-2-(3-CF ₃ -pyridyl)	-H	- <i>tert</i> -butyl
20	DKV	-2-(3-CF ₃ -pyridyl)	-H	- <i>iso</i> -propyl
	DKW	-4-(5-chloropyrimidinyl)	-Cl	-H
	DKX	-4-(5-chloropyrimidinyl)	-Br	-H
	DKY	-4-(5-chloropyrimidinyl)	-F	-H
	DKZ	-4-(5-chloropyrimidinyl)	-CH ₃	-H
	DLA	-4-(5-chloropyrimidinyl)	-CF ₃	-H
	DLB	-4-(5-chloropyrimidinyl)	-OCH ₃	-H
	DLC	-4-(5-chloropyrimidinyl)	-OCH ₂ CH ₃	-H
	DLD	-4-(5-chloropyrimidinyl)	-OCF ₃	-H
	DLE	-4-(5-chloropyrimidinyl)	- <i>tert</i> -butyl	-H

	DLF	-4-(5-chloropyrimidinyl)	<i>-iso</i> -propyl	-H
	DLG	-4-(5-chloropyrimidinyl)	-CH ₃	-CH ₃
	DLH	-4-(5-chloropyrimidinyl)	-H	-H
	DLI	-4-(5-chloropyrimidinyl)	-H	-Cl
5	DLJ	-4-(5-chloropyrimidinyl)	-H	-Br
	DLK	-4-(5-chloropyrimidinyl)	-H	-F
	DLL	-4-(5-chloropyrimidinyl)	-H	-CH ₃
	DLM	-4-(5-chloropyrimidinyl)	-H	-CF ₃
	DLN	-4-(5-chloropyrimidinyl)	-H	-OCH ₃
10	DLO	-4-(5-chloropyrimidinyl)	-H	-OCH ₂ CH ₃
	DLP	-4-(5-chloropyrimidinyl)	-H	-OCF ₃
	DLQ	-4-(5-chloropyrimidinyl)	-H	- <i>tert</i> -butyl
	DLR	-4-(5-chloropyrimidinyl)	-H	<i>-iso</i> -propyl
	DLS	-4-(5-methylpyrimidinyl)	-Cl	-H
15	DLT	-4-(5-methylpyrimidinyl)	-Br	-H
	DLU	-4-(5-methylpyrimidinyl)	-F	-H
	DLV	-4-(5-methylpyrimidinyl)	-CH ₃	-H
	DLW	-4-(5-methylpyrimidinyl)	-CF ₃	-H
	DLX	-4-(5-methylpyrimidinyl)	-OCH ₃	-H
20	DLY	-4-(5-methylpyrimidinyl)	-OCH ₂ CH ₃	-H
	DLZ	-4-(5-methylpyrimidinyl)	-OCF ₃	-H
	DMA	-4-(5-methylpyrimidinyl)	- <i>tert</i> -butyl	-H
	DMB	-4-(5-methylpyrimidinyl)	<i>-iso</i> -propyl	-H
	DMC	-4-(5-methylpyrimidinyl)	-CH ₃	-CH ₃
25	DMD	-4-(5-methylpyrimidinyl)	-H	-H
	DME	-4-(5-methylpyrimidinyl)	-H	-Cl
	DMF	-4-(5-methylpyrimidinyl)	-H	-Br
	DMG	-4-(5-methylpyrimidinyl)	-H	-F
	DMH	-4-(5-methylpyrimidinyl)	-H	-CH ₃

	DMI	-4-(5-methylpyrimidinyl)	-H	-CF ₃
	DMJ	-4-(5-methylpyrimidinyl)	-H	-OCH ₃
	DMK	-4-(5-methylpyrimidinyl)	-H	-OCH ₂ CH ₃
	DML	-4-(5-methylpyrimidinyl)	-H	-OCF ₃
5	DMM	-4-(5-methylpyrimidinyl)	-H	- <i>tert</i> -butyl
	DMN	-4-(5-methylpyrimidinyl)	-H	- <i>iso</i> -propyl
	DMO	-2-pyrazinyl	-Cl	-H
	DMP	-2-pyrazinyl	-Br	-H
	DMQ	-2-pyrazinyl	-F	-H
10	DMR	-2-pyrazinyl	-CH ₃	-H
	DMS	-2-pyrazinyl	-CF ₃	-H
	DMT	-2-pyrazinyl	-OCH ₃	-H
	DMU	-2-pyrazinyl	-OCH ₂ CH ₃	-H
	DMV	-2-pyrazinyl	-OCF ₃	-H
15	DMW	-2-pyrazinyl	- <i>tert</i> -butyl	-H
	DMX	-2-pyrazinyl	- <i>iso</i> -propyl	-H
	DMY	-2-pyrazinyl	-CH ₃	-CH ₃
	DMZ	-2-pyrazinyl	-H	-H
	DNA	-2-pyrazinyl	-H	-Cl
20	DNB	-2-pyrazinyl	-H	-Br
	DNC	-2-pyrazinyl	-H	-F
	DND	-2-pyrazinyl	-H	-CH ₃
	DNE	-2-pyrazinyl	-H	-CF ₃
	DNF	-2-pyrazinyl	-H	-OCH ₃
25	DNG	-2-pyrazinyl	-H	-OCH ₂ CH ₃
	DNH	-2-pyrazinyl	-H	-OCF ₃
	DNI	-2-pyrazinyl	-H	- <i>tert</i> -butyl
	DNJ	-2-pyrazinyl	-H	- <i>iso</i> -propyl
	DNK	-2-(3-chloropyrazinyl)	-Cl	-H

	DNL	-2-(3-chloropyrazinyl)	-Br	-H
	DNM	-2-(3-chloropyrazinyl)	-F	-H
	DNN	-2-(3-chloropyrazinyl)	-CH ₃	-H
	DNO	-2-(3-chloropyrazinyl)	-CF ₃	-H
5	DNP	-2-(3-chloropyrazinyl)	-OCH ₃	-H
	DNQ	-2-(3-chloropyrazinyl)	-OCH ₂ CH ₃	-H
	DNR	-2-(3-chloropyrazinyl)	-OCF ₃	-H
	DNS	-2-(3-chloropyrazinyl)	- <i>tert</i> -butyl	-H
	DNT	-2-(3-chloropyrazinyl)	- <i>iso</i> -propyl	-H
10	DNU	-2-(3-chloropyrazinyl)	-CH ₃	-CH ₃
	DNV	-2-(3-chloropyrazinyl)	-H	-H
	DNW	-2-(3-chloropyrazinyl)	-H	-Cl
	DNX	-2-(3-chloropyrazinyl)	-H	-Br
	DNY	-2-(3-chloropyrazinyl)	-H	-F
15	DNZ	-2-(3-chloropyrazinyl)	-H	-CH ₃
	DOA	-2-(3-chloropyrazinyl)	-H	-CF ₃
	DOB	-2-(3-chloropyrazinyl)	-H	-OCH ₃
	DOC	-2-(3-chloropyrazinyl)	-H	-OCH ₂ CH ₃
	DOD	-2-(3-chloropyrazinyl)	-H	-OCF ₃
20	DOE	-2-(3-chloropyrazinyl)	-H	- <i>tert</i> -butyl
	DOF	-2-(3-chloropyrazinyl)	-H	- <i>iso</i> -propyl
	DOG	-2-(3-methylpyrazinyl)	-Cl	-H
	DOH	-2-(3-methylpyrazinyl)	-Br	-H
	DOI	-2-(3-methylpyrazinyl)	-F	-H
25	DOJ	-2-(3-methylpyrazinyl)	-CH ₃	-H
	DOK	-2-(3-methylpyrazinyl)	-CF ₃	-H
	DOL	-2-(3-methylpyrazinyl)	-OCH ₃	-H
	DOM	-2-(3-methylpyrazinyl)	-OCH ₂ CH ₃	-H
	DON	-2-(3-methylpyrazinyl)	-OCF ₃	-H

	DOO	-2-(3-methylpyrazinyl)	- <i>tert</i> -butyl	-H
	DOP	-2-(3-methylpyrazinyl)	- <i>iso</i> -propyl	-H
	DOQ	-2-(3-methylpyrazinyl)	-CH ₃	-CH ₃
	DOR	-2-(3-methylpyrazinyl)	-H	-H
5	DOS	-2-(3-methylpyrazinyl)	-H	-Cl
	DOT	-2-(3-methylpyrazinyl)	-H	-Br
	DOU	-2-(3-methylpyrazinyl)	-H	-F
	DOV	-2-(3-methylpyrazinyl)	-H	-CH ₃
	DOW	-2-(3-methylpyrazinyl)	-H	-CF ₃
10	DOX	-2-(3-methylpyrazinyl)	-H	-OCH ₃
	DOY	-2-(3-methylpyrazinyl)	-H	-OCH ₂ CH ₃
	DOZ	-2-(3-methylpyrazinyl)	-H	-OCF ₃
	DPA	-2-(3-methylpyrazinyl)	-H	- <i>tert</i> -butyl
	DPB	-2-(3-methylpyrazinyl)	-H	- <i>iso</i> -propyl
15	DPC	-2-pyridazinyl	-Cl	-H
	DPD	-2-pyridazinyl	-Br	-H
	DPE	-2-pyridazinyl	-F	-H
	DPF	-2-pyridazinyl	-CH ₃	-H
	DPG	-2-pyridazinyl	-CF ₃	-H
20	DPH	-2-pyridazinyl	-OCH ₃	-H
	DPI	-2-pyridazinyl	-OCH ₂ CH ₃	-H
	DPJ	-2-pyridazinyl	-OCF ₃	-H
	DPK	-2-pyridazinyl	- <i>tert</i> -butyl	-H
	DPL	-2-pyridazinyl	- <i>iso</i> -propyl	-H
25	DPM	-2-pyridazinyl	-CH ₃	-CH ₃
	DPN	-2-pyridazinyl	-H	-H
	DPO	-2-pyridazinyl	-H	-Cl
	DPP	-2-pyridazinyl	-H	-Br
	DPQ	-2-pyridazinyl	-H	-F

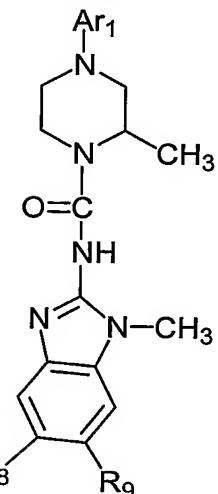
DPR	-2-pyridazinyl	-H	-CH ₃
DPS	-2-pyridazinyl	-H	-CF ₃
DPT	-2-pyridazinyl	-H	-OCH ₃
DPU	-2-pyridazinyl	-H	-OCH ₂ CH ₃
5 DPV	-2-pyridazinyl	-H	-OCF ₃
DPW	-2-pyridazinyl	-H	- <i>tert</i> -butyl
DPX	-2-pyridazinyl	-H	- <i>iso</i> -propyl
DPY	-3-(4-chloropyridazinyl)	-Cl	-H
DPZ	-3-(4-chloropyridazinyl)	-Br	-H
10 DQA	-3-(4-chloropyridazinyl)	-F	-H
DQB	-3-(4-chloropyridazinyl)	-CH ₃	-H
DQC	-3-(4-chloropyridazinyl)	-CF ₃	-H
DQD	-3-(4-chloropyridazinyl)	-OCH ₃	-H
DQE	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
15 DQF	-3-(4-chloropyridazinyl)	-OCF ₃	-H
DQG	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
DQH	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
DQI	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
DQJ	-3-(4-chloropyridazinyl)	-H	-H
20 DQK	-3-(4-chloropyridazinyl)	-H	-Cl
DQL	-3-(4-chloropyridazinyl)	-H	-Br
DQM	-3-(4-chloropyridazinyl)	-H	-F
DQN	-3-(4-chloropyridazinyl)	-H	-CH ₃
DQO	-3-(4-chloropyridazinyl)	-H	-CF ₃
25 DQP	-3-(4-chloropyridazinyl)	-H	-OCH ₃
DQQ	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
DQR	-3-(4-chloropyridazinyl)	-H	-OCF ₃
DQS	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl
DQT	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl

	DQU	-3-(4-methylpyridazinyl)	-Cl	-H
	DQV	-3-(4-methylpyridazinyl)	-Br	-H
	DQW	-3-(4-methylpyridazinyl)	-F	-H
	DQX	-3-(4-methylpyridazinyl)	-CH ₃	-H
5	DQY	-3-(4-methylpyridazinyl)	-CF ₃	-H
	DQZ	-3-(4-methylpyridazinyl)	-OCH ₃	-H
	DRA	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
	DRB	-3-(4-methylpyridazinyl)	-OCF ₃	-H
	DRC	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
10	DRD	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
	DRE	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
	DRF	-3-(4-methylpyridazinyl)	-H	-H
	DRG	-3-(4-methylpyridazinyl)	-H	-Cl
	DRH	-3-(4-methylpyridazinyl)	-H	-Br
15	DRI	-3-(4-methylpyridazinyl)	-H	-F
	DRJ	-3-(4-methylpyridazinyl)	-H	-CH ₃
	DRK	-3-(4-methylpyridazinyl)	-H	-CF ₃
	DRL	-3-(4-methylpyridazinyl)	-H	-OCH ₃
	DRM	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
20	DRN	-3-(4-methylpyridazinyl)	-H	-OCF ₃
	DRO	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
	DRP	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
	DRQ	-4-thiazanyl	-Cl	-H
	DRR	-4-thiazanyl	-Br	-H
25	DRS	-4-thiazanyl	-F	-H
	DRT	-4-thiazanyl	-CH ₃	-H
	DRU	-4-thiazanyl	-CF ₃	-H
	DRV	-4-thiazanyl	-OCH ₃	-H
	DRW	-4-thiazanyl	-OCH ₂ CH ₃	-H

DRX	-4-thiazanyl	-OCF ₃	-H
DRY	-4-thiazanyl	- <i>tert</i> -butyl	-H
DRZ	-4-thiazanyl	- <i>iso</i> -propyl	-H
DSA	-4-thiazanyl	-CH ₃	-CH ₃
5 DSB	-4-thiazanyl	-H	-H
DSC	-4-thiazanyl	-H	-Cl
DSD	-4-thiazanyl	-H	-Br
DSE	-4-thiazanyl	-H	-F
10 DSF	-4-thiazanyl	-H	-CH ₃
DSG	-4-thiazanyl	-H	-CF ₃
DSH	-4-thiazanyl	-H	-OCH ₃
DSI	-4-thiazanyl	-H	-OCH ₂ CH ₃
DSJ	-4-thiazanyl	-H	-OCF ₃
15 DSK	-4-thiazanyl	-H	- <i>tert</i> -butyl
DSL	-4-thiazanyl	-H	- <i>iso</i> -propyl
DSM	-5-(4-chlorothiazanyl)	-Cl	-H
DSN	-5-(4-chlorothiazanyl)	-Br	-H
DSO	-5-(4-chlorothiazanyl)	-F	-H
20 DSP	-5-(4-chlorothiazanyl)	-CH ₃	-H
DSQ	-5-(4-chlorothiazanyl)	-CF ₃	-H
DSR	-5-(4-chlorothiazanyl)	-OCH ₃	-H
DSS	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
DST	-5-(4-chlorothiazanyl)	-OCF ₃	-H
25 DSU	-5-(4-chlorothiazanyl)	- <i>tert</i> -butyl	-H
DSV	-5-(4-chlorothiazanyl)	- <i>iso</i> -propyl	-H
DSW	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
DSX	-5-(4-chlorothiazanyl)	-H	-H
DSY	-5-(4-chlorothiazanyl)	-H	-Cl
DSZ	-5-(4-chlorothiazanyl)	-H	-Br

	DTA	-5-(4-chlorothiazanyl)	-H	-F
	DTB	-5-(4-chlorothiazanyl)	-H	-CH ₃
	DTC	-5-(4-chlorothiazanyl)	-H	-CF ₃
	DTD	-5-(4-chlorothiazanyl)	-H	-OCH ₃
5	DTE	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
	DTF	-5-(4-chlorothiazanyl)	-H	-OCF ₃
	DTG	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
	DTH	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
	DTI	-5-(4-methylthiazanyl)	-Cl	-H
10	DTJ	-5-(4-methylthiazanyl)	-Br	-H
	DTK	-5-(4-methylthiazanyl)	-F	-H
	DTL	-5-(4-methylthiazanyl)	-CH ₃	-H
	DTM	-5-(4-methylthiazanyl)	-CF ₃	-H
	DTN	-5-(4-methylthiazanyl)	-OCH ₃	-H
15	DTO	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
	DTP	-5-(4-methylthiazanyl)	-OCF ₃	-H
	DTQ	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
	DTR	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
	DTS	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
20	DTT	-5-(4-methylthiazanyl)	-H	-H
	DTU	-5-(4-methylthiazanyl)	-H	-Cl
	DTV	-5-(4-methylthiazanyl)	-H	-Br
	DTW	-5-(4-methylthiazanyl)	-H	-F
	DTX	-5-(4-methylthiazanyl)	-H	-CH ₃
25	DTY	-5-(4-methylthiazanyl)	-H	-CF ₃
	DTZ	-5-(4-methylthiazanyl)	-H	-OCH ₃
	DUA	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
	DUB	-5-(4-methylthiazanyl)	-H	-OCF ₃
	DUC	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl

DUD	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl
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Table XI

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and pharmaceutically acceptable salts thereof, wherein:

<u>Compound</u>	<u>Ar₁</u>	<u>R₈</u>	<u>R₉</u>
DUE (a, b, and c)	-2-pyridazinyl	-Cl	-H
DUF (a, b, and c)	-2-pyridazinyl	-Br	-H
DUG (a, b, and c)	-2-pyridazinyl	-F	-H
DUH (a, b, and c)	-2-pyridazinyl	-CH ₃	-H
DUI (a, b, and c)	-2-pyridazinyl	-CF ₃	-H
DUJ (a, b, and c)	-2-pyridazinyl	-OCH ₃	-H
DUK (a, b, and c)	-2-pyridazinyl	-OCH ₂ CH ₃	-H
DUL (a, b, and c)	-2-pyridazinyl	-OCF ₃	-H
DUM (a, b, and c)	-2-pyridazinyl	- <i>tert</i> -butyl	-H
DUN (a, b, and c)	-2-pyridazinyl	- <i>iso</i> -propyl	-H
DUO (a, b, and c)	-2-pyridazinyl	-CH ₃	-CH ₃
DUP (a, b, and c)	-2-pyridazinyl	-H	-H
DUQ (a, b, and c)	-2-pyridazinyl	-H	-Cl
DUR (a, b, and c)	-2-pyridazinyl	-H	-Br
DUS (a, b, and c)	-2-pyridazinyl	-H	-F
DUT (a, b, and c)	-2-pyridazinyl	-H	-CH ₃
DUU (a, b, and c)	-2-pyridazinyl	-H	-CF ₃

	DUV (a, b, and c)	-2-pyridazinyl	-H	-OCH ₃
	DUW (a, b, and c)	-2-pyridazinyl	-H	-OCH ₂ CH ₃
	DUX (a, b, and c)	-2-pyridazinyl	-H	-OCF ₃
	DUY (a, b, and c)	-2-pyridazinyl	-H	- <i>tert</i> -butyl
5	DUZ (a, b, and c)	-2-pyridazinyl	-H	- <i>iso</i> -propyl
	DVA (a, b, and c)	-3-(4-chloropyridazinyl)	-Cl	-H
	DVB (a, b, and c)	-3-(4-chloropyridazinyl)	-Br	-H
	DVC (a, b, and c)	-3-(4-chloropyridazinyl)	-F	-H
	DVD (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-H
10	DVE (a, b, and c)	-3-(4-chloropyridazinyl)	-CF ₃	-H
	DVF (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₃	-H
	DVG (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
	DVH (a, b, and c)	-3-(4-chloropyridazinyl)	-OCF ₃	-H
	DVI (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
15	DVJ (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
	DVK (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
	DVL (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-H
	DVM (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Cl
	DVN (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Br
20	DVO (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-F
	DVP (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CH ₃
	DVQ (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CF ₃
	DVR (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₃
	DVS (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
25	DVT (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCF ₃
	DVU (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl
	DVV (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl
	DVW (a, b, and c)	-3-(4-methylpyridazinyl)	-Cl	-H
	DVX (a, b, and c)	-3-(4-methylpyridazinyl)	-Br	-H

	DVY (a, b, and c)	-3-(4-methylpyridazinyl)	-F	-H
	DVZ (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-H
	DWA (a, b, and c)	-3-(4-methylpyridazinyl)	-CF ₃	-H
	DWB (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₃	-H
5	DWC (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
	DWD (a, b, and c)	-3-(4-methylpyridazinyl)	-OCF ₃	-H
	DWE (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
	DWF (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
	DWG (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
10	DWH (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-H
	DWI (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Cl
	DWJ (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Br
	DWK (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-F
	DWL (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CH ₃
15	DWM (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CF ₃
	DWN (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₃
	DWO (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
	DWP (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCF ₃
	DWQ (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
20	DWR (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
	DWS (a, b, and c)	-4-thiazanyl	-Cl	-H
	DWT (a, b, and c)	-4-thiazanyl	-Br	-H
	DWU (a, b, and c)	-4-thiazanyl	-F	-H
	DWV (a, b, and c)	-4-thiazanyl	-CH ₃	-H
25	DWW (a, b, and c)	-4-thiazanyl	-CF ₃	-H
	DWX (a, b, and c)	-4-thiazanyl	-OCH ₃	-H
	DWY (a, b, and c)	-4-thiazanyl	-OCH ₂ CH ₃	-H
	DWZ (a, b, and c)	-4-thiazanyl	-OCF ₃	-H
	DXA (a, b, and c)	-4-thiazanyl	- <i>tert</i> -butyl	-H

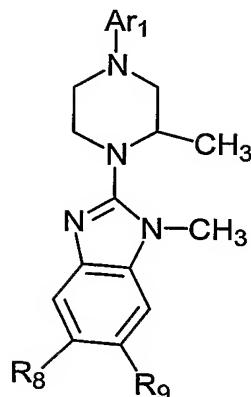
	DXB (a, b, and c)	-4-thiazanyl	- <i>iso</i> -propyl	-H
	DXC (a, b, and c)	-4-thiazanyl	-CH ₃	-CH ₃
	DXD (a, b, and c)	-4-thiazanyl	-H	-H
	DXE (a, b, and c)	-4-thiazanyl	-H	-Cl
5	DXF (a, b, and c)	-4-thiazanyl	-H	-Br
	DXG (a, b, and c)	-4-thiazanyl	-H	-F
	DXH (a, b, and c)	-4-thiazanyl	-H	-CH ₃
	DXI (a, b, and c)	-4-thiazanyl	-H	-CF ₃
	DXJ (a, b, and c)	-4-thiazanyl	-H	-OCH ₃
10	DXK (a, b, and c)	-4-thiazanyl	-H	-OCH ₂ CH ₃
	DXL (a, b, and c)	-4-thiazanyl	-H	-OCF ₃
	DXM (a, b, and c)	-4-thiazanyl	-H	- <i>tert</i> -butyl
	DXN (a, b, and c)	-4-thiazanyl	-H	- <i>iso</i> -propyl
	DXO (a, b, and c)	-5-(4-chlorothiazanyl)	-Cl	-H
15	DXP (a, b, and c)	-5-(4-chlorothiazanyl)	-Br	-H
	DXQ (a, b, and c)	-5-(4-chlorothiazanyl)	-F	-H
	DXR (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-H
	DXS (a, b, and c)	-5-(4-chlorothiazanyl)	-CF ₃	-H
	DXT (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₃	-H
20	DXU (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
	DXV (a, b, and c)	-5-(4-chlorothiazanyl)	-OCF ₃	-H
	DXW (a, b, and c)	-5-(4-chlorothiazanyl)	- <i>tert</i> -butyl	-H
	DXX (a, b, and c)	-5-(4-chlorothiazanyl)	- <i>iso</i> -propyl	-H
	DXY (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
25	DXZ (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-H
	DYA (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Cl
	DYB (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Br
	DYC (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-F
	DYD (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CH ₃

	DYE (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CF ₃
	DYF (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₃
	DYG (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
	DYH (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCF ₃
5	DYI (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
	DYJ (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
	DYK (a, b, and c)	-5-(4-methylthiazanyl)	-Cl	-H
	DYL (a, b, and c)	-5-(4-methylthiazanyl)	-Br	-H
	DYM (a, b, and c)	-5-(4-methylthiazanyl)	-F	-H
	DYN (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-H
	DYO (a, b, and c)	-5-(4-methylthiazanyl)	-CF ₃	-H
10	DYP (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₃	-H
	DYQ (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
	DYR (a, b, and c)	-5-(4-methylthiazanyl)	-OCF ₃	-H
	DYS (a, b, and c)	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
	DYT (a, b, and c)	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
	DYU (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
	DYV (a, b, and c)	-5-(4-methylthiazanyl)	-H	-H
15	DYW (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Cl
	DYX (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Br
	DYY (a, b, and c)	-5-(4-methylthiazanyl)	-H	-F
	DYZ (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CH ₃
	DZA (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CF ₃
	DZB (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₃
	DZC (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
20	DZD (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCF ₃
	DZE (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl
	DZF (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl

“a” means the Benzoazolylpiperazine Compound is racemic.

“b” means the carbon atom of the piperazine ring attached to the methyl group is in the R configuration.

“c” means the carbon atom of the piperazine ring attached to the methyl group 5 is in the S configuration.

Table XII

and pharmaceutically acceptable salts thereof, wherein:

Compound	Ar₁	R₈	R₉
DZG (a, b, and c)	-2-(3-chloropyridyl)	-Cl	-H
DZH (a, b, and c)	-2-(3-chloropyridyl)	-Br	-H
DZI (a, b, and c)	-2-(3-chloropyridyl)	-F	-H
DZJ (a, b, and c)	-2-(3-chloropyridyl)	-CH ₃	-H
DZK (a, b, and c)	-2-(3-chloropyridyl)	-CF ₃	-H
DZL (a, b, and c)	-2-(3-chloropyridyl)	-OCH ₃	-H
DZM (a, b, and c)	-2-(3-chloropyridyl)	-OCH ₂ CH ₃	-H
DZN (a, b, and c)	-2-(3-chloropyridyl)	-OCF ₃	-H
DZO (a, b, and c)	-2-(3-chloropyridyl)	- <i>tert</i> -butyl	-H
DZP (a, b, and c)	-2-(3-chloropyridyl)	- <i>iso</i> -propyl	-H
DZQ (a, b, and c)	-2-(3-chloropyridyl)	-CH ₃	-CH ₃
DZR (a, b, and c)	-2-(3-chloropyridyl)	-H	-H
DZS (a, b, and c)	-2-(3-chloropyridyl)	-H	-Cl
DZT (a, b, and c)	-2-(3-chloropyridyl)	-H	-Br
DZU (a, b, and c)	-2-(3-chloropyridyl)	-H	-F
DZV (a, b, and c)	-2-(3-chloropyridyl)	-H	-CH ₃
DZW (a, b, and c)	-2-(3-chloropyridyl)	-H	-CF ₃
DZX (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCH ₃

	DZY (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCH ₂ CH ₃
	DZZ (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCF ₃
	EAA (a, b, and c)	-2-(3-chloropyridyl)	-H	- <i>tert</i> -butyl
	EAB (a, b, and c)	-2-(3-chloropyridyl)	-H	- <i>iso</i> -propyl
5	EAC (a, b, and c)	-2-(3-methylpyridyl)	-Cl	-H
	EAD (a, b, and c)	-2-(3-methylpyridyl)	-Br	-H
	EAE (a, b, and c)	-2-(3-methylpyridyl)	-F	-H
	EAF (a, b, and c)	-2-(3-methylpyridyl)	-CH ₃	-H
	EAG (a, b, and c)	-2-(3-methylpyridyl)	-CF ₃	-H
10	EAH (a, b, and c)	-2-(3-methylpyridyl)	-OCH ₃	-H
	EAI (a, b, and c)	-2-(3-methylpyridyl)	-OCH ₂ CH ₃	-H
	EAJ (a, b, and c)	-2-(3-methylpyridyl)	-OCF ₃	-H
	EAK (a, b, and c)	-2-(3-methylpyridyl)	- <i>tert</i> -butyl	-H
	EAL (a, b, and c)	-2-(3-methylpyridyl)	- <i>iso</i> -propyl	-H
15	EAM (a, b, and c)	-2-(3-methylpyridyl)	-CH ₃	-CH ₃
	EAN (a, b, and c)	-2-(3-methylpyridyl)	-H	-H
	EAO (a, b, and c)	-2-(3-methylpyridyl)	-H	-Cl
	EAP (a, b, and c)	-2-(3-methylpyridyl)	-H	-Br
	EAQ (a, b, and c)	-2-(3-methylpyridyl)	-H	-F
20	EAR (a, b, and c)	-2-(3-methylpyridyl)	-H	-CH ₃
	EAS (a, b, and c)	-2-(3-methylpyridyl)	-H	-CF ₃
	EAT (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCH ₃
	EAU (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCH ₂ CH ₃
	EAV (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCF ₃
25	EAW (a, b, and c)	-2-(3-methylpyridyl)	-H	- <i>tert</i> -butyl
	EAX (a, b, and c)	-2-(3-methylpyridyl)	-H	- <i>iso</i> -propyl
	EAY (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-Cl	-H
	EAZ (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-Br	-H

	EBA (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-F	-H
	EBB (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CH ₃	-H
	EBC (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CF ₃	-H
	EBD (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCH ₃	-H
5	EBE (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCH ₂ CH ₃	-H
	EBF (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCF ₃	-H
	EBG (a, b, and c)	-2-(3-CF ₃ -pyridyl)	- <i>tert</i> -butyl	-H
	EBH (a, b, and c)	-2-(3-CF ₃ -pyridyl)	- <i>iso</i> -propyl	-H
	EBI (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CH ₃	-CH ₃
	EBJ (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-H
	EBK (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-Cl
10	EBL (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-Br
	EBM (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-F
	EBN (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-CH ₃
	EBO (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-CF ₃
	EBP (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₃
	EBQ (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₂ CH ₃
	EBR (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCF ₃
15	EBS (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	- <i>tert</i> -butyl
	EBT (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	- <i>iso</i> -propyl
	EBU (a, b, and c)	-4-(5-chloropyrimidinyl)	-Cl	-H
	EBV (a, b, and c)	-4-(5-chloropyrimidinyl)	-Br	-H
	EBW (a, b, and c)	-4-(5-chloropyrimidinyl)	-F	-H
	EBX (a, b, and c)	-4-(5-chloropyrimidinyl)	-CH ₃	-H
	EBY (a, b, and c)	-4-(5-chloropyrimidinyl)	-CF ₃	-H
20	EBZ (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCH ₃	-H
	ECA (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCH ₂ CH ₃	-H
	ECB (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCF ₃	-H
	ECC (a, b, and c)	-4-(5-chloropyrimidinyl)	- <i>tert</i> -butyl	-H

	ECD (a, b, and c)	-4-(5-chloropyrimidinyl)	- <i>iso</i> -propyl	-H
	ECE (a, b, and c)	-4-(5-chloropyrimidinyl)	-CH ₃	-CH ₃
	ECF (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-H
	ECG (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-Cl
5	ECH (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-Br
	ECI (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-F
	ECJ (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-CH ₃
	ECK (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-CF ₃
	ECL (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCH ₃
10	ECM (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCH ₂ CH ₃
	ECN (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCF ₃
	ECO (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	- <i>tert</i> -butyl
	ECP (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	- <i>iso</i> -propyl
	ECQ (a, b, and c)	-4-(5-methylpyrimidinyl)	-Cl	-H
15	ECR (a, b, and c)	-4-(5-methylpyrimidinyl)	-Br	-H
	ECS (a, b, and c)	-4-(5-methylpyrimidinyl)	-F	-H
	ECT (a, b, and c)	-4-(5-methylpyrimidinyl)	-CH ₃	-H
	ECU (a, b, and c)	-4-(5-methylpyrimidinyl)	-CF ₃	-H
	ECV (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCH ₃	-H
20	ECW (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCH ₂ CH ₃	-H
	ECX (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCF ₃	-H
	ECY (a, b, and c)	-4-(5-methylpyrimidinyl)	- <i>tert</i> -butyl	-H
	ECZ (a, b, and c)	-4-(5-methylpyrimidinyl)	- <i>iso</i> -propyl	-H
	EDA (a, b, and c)	-4-(5-methylpyrimidinyl)	-CH ₃	-CH ₃
25	EDB (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-H
	EDC (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-Cl
	EDD (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-Br
	EDE (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-F
	EDF (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-CH ₃

	EDG (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-CF ₃
	EDH (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCH ₃
	EDI (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCH ₂ CH ₃
	EDJ (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCF ₃
5	EDK (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	- <i>tert</i> -butyl
	EDL (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	- <i>iso</i> -propyl
	EDM (a, b, and c)	-2-pyrazinyl	-Cl	-H
	EDN (a, b, and c)	-2-pyrazinyl	-Br	-H
	EDO (a, b, and c)	-2-pyrazinyl	-F	-H
10	EDP (a, b, and c)	-2-pyrazinyl	-CH ₃	-H
	EDQ (a, b, and c)	-2-pyrazinyl	-CF ₃	-H
	EDR (a, b, and c)	-2-pyrazinyl	-OCH ₃	-H
	EDS (a, b, and c)	-2-pyrazinyl	-OCH ₂ CH ₃	-H
	EDT (a, b, and c)	-2-pyrazinyl	-OCF ₃	-H
15	EDU (a, b, and c)	-2-pyrazinyl	- <i>tert</i> -butyl	-H
	EDV (a, b, and c)	-2-pyrazinyl	- <i>iso</i> -propyl	-H
	EDW (a, b, and c)	-2-pyrazinyl	-CH ₃	-CH ₃
	EDX (a, b, and c)	-2-pyrazinyl	-H	-H
	EDY (a, b, and c)	-2-pyrazinyl	-H	-Cl
20	EDZ (a, b, and c)	-2-pyrazinyl	-H	-Br
	EEA (a, b, and c)	-2-pyrazinyl	-H	-F
	EEB (a, b, and c)	-2-pyrazinyl	-H	-CH ₃
	EEC (a, b, and c)	-2-pyrazinyl	-H	-CF ₃
	EED (a, b, and c)	-2-pyrazinyl	-H	-OCH ₃
25	EEE (a, b, and c)	-2-pyrazinyl	-H	-OCH ₂ CH ₃
	EEF (a, b, and c)	-2-pyrazinyl	-H	-OCF ₃
	EEG (a, b, and c)	-2-pyrazinyl	-H	- <i>tert</i> -butyl
	EEH (a, b, and c)	-2-pyrazinyl	-H	- <i>iso</i> -propyl
	EEI (a, b, and c)	-2-(3-chloropyrazinyl)	-Cl	-H

	EEJ (a, b, and c)	-2-(3-chloropyrazinyl)	-Br	-H
	EEK (a, b, and c)	-2-(3-chloropyrazinyl)	-F	-H
	EEL (a, b, and c)	-2-(3-chloropyrazinyl)	-CH ₃	-H
	EEM (a, b, and c)	-2-(3-chloropyrazinyl)	-CF ₃	-H
5	EEN (a, b, and c)	-2-(3-chloropyrazinyl)	-OCH ₃	-H
	EEO (a, b, and c)	-2-(3-chloropyrazinyl)	-OCH ₂ CH ₃	-H
	EEP (a, b, and c)	-2-(3-chloropyrazinyl)	-OCF ₃	-H
	EEQ (a, b, and c)	-2-(3-chloropyrazinyl)	- <i>tert</i> -butyl	-H
10	EER (a, b, and c)	-2-(3-chloropyrazinyl)	- <i>iso</i> -propyl	-H
	EES (a, b, and c)	-2-(3-chloropyrazinyl)	-CH ₃	-CH ₃
	EET (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-H
	EEU (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-Cl
	EEV (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-Br
	EEW (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-F
15	EEX (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-CH ₃
	EEY (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-CF ₃
	EEZ (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCH ₃
	EFA (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCH ₂ CH ₃
	EFB (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCF ₃
20	EFC (a, b, and c)	-2-(3-chloropyrazinyl)	-H	- <i>tert</i> -butyl
	EFD (a, b, and c)	-2-(3-chloropyrazinyl)	-H	- <i>iso</i> -propyl
	EFE (a, b, and c)	-2-(3-methylpyrazinyl)	-Cl	-H
	EFF (a, b, and c)	-2-(3-methylpyrazinyl)	-Br	-H
	EFG (a, b, and c)	-2-(3-methylpyrazinyl)	-F	-H
25	EFH (a, b, and c)	-2-(3-methylpyrazinyl)	-CH ₃	-H
	EFI (a, b, and c)	-2-(3-methylpyrazinyl)	-CF ₃	-H
	EFJ (a, b, and c)	-2-(3-methylpyrazinyl)	-OCH ₃	-H
	EFK (a, b, and c)	-2-(3-methylpyrazinyl)	-OCH ₂ CH ₃	-H
	EFL (a, b, and c)	-2-(3-methylpyrazinyl)	-OCF ₃	-H

	EFM (a, b, and c)	-2-(3-methylpyrazinyl)	<i>-tert</i> -butyl	-H
	EFN (a, b, and c)	-2-(3-methylpyrazinyl)	<i>-iso</i> -propyl	-H
	EFO (a, b, and c)	-2-(3-methylpyrazinyl)	-CH ₃	-CH ₃
	EFP (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-H
5	EFQ (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-Cl
	EFR (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-Br
	EFS (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-F
	EFT (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-CH ₃
	EFU (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-CF ₃
10	EFV (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCH ₃
	EFW (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCH ₂ CH ₃
	EFX (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCF ₃
	EFY (a, b, and c)	-2-(3-methylpyrazinyl)	-H	<i>-tert</i> -butyl
	EFZ (a, b, and c)	-2-(3-methylpyrazinyl)	-H	<i>-iso</i> -propyl
15	EGA (a, b, and c)	-2-pyridazinyl	-Cl	-H
	EGB (a, b, and c)	-2-pyridazinyl	-Br	-H
	EGC (a, b, and c)	-2-pyridazinyl	-F	-H
	EGD (a, b, and c)	-2-pyridazinyl	-CH ₃	-H
	EGE (a, b, and c)	-2-pyridazinyl	-CF ₃	-H
20	EGF (a, b, and c)	-2-pyridazinyl	-OCH ₃	-H
	EGG (a, b, and c)	-2-pyridazinyl	-OCH ₂ CH ₃	-H
	EGH (a, b, and c)	-2-pyridazinyl	-OCF ₃	-H
	EGI (a, b, and c)	-2-pyridazinyl	<i>-tert</i> -butyl	-H
	EGJ (a, b, and c)	-2-pyridazinyl	<i>-iso</i> -propyl	-H
25	EGK (a, b, and c)	-2-pyridazinyl	-CH ₃	-CH ₃
	EGL (a, b, and c)	-2-pyridazinyl	-H	-H
	EGM (a, b, and c)	-2-pyridazinyl	-H	-Cl
	EGN (a, b, and c)	-2-pyridazinyl	-H	-Br
	EGO (a, b, and c)	-2-pyridazinyl	-H	-F

	EGP (a, b, and c)	-2-pyridazinyl	-H	-CH ₃
	EGQ (a, b, and c)	-2-pyridazinyl	-H	-CF ₃
	EGR (a, b, and c)	-2-pyridazinyl	-H	-OCH ₃
	EGS (a, b, and c)	-2-pyridazinyl	-H	-OCH ₂ CH ₃
5	EGT (a, b, and c)	-2-pyridazinyl	-H	-OCF ₃
	EGU (a, b, and c)	-2-pyridazinyl	-H	- <i>tert</i> -butyl
	EGV (a, b, and c)	-2-pyridazinyl	-H	- <i>iso</i> -propyl
	EGW (a, b, and c)	-3-(4-chloropyridazinyl)	-Cl	-H
	EGX (a, b, and c)	-3-(4-chloropyridazinyl)	-Br	-H
10	EGY (a, b, and c)	-3-(4-chloropyridazinyl)	-F	-H
	EGZ (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-H
	EHA (a, b, and c)	-3-(4-chloropyridazinyl)	-CF ₃	-H
	EHB (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₃	-H
	EHC (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
15	EHD (a, b, and c)	-3-(4-chloropyridazinyl)	-OCF ₃	-H
	EHE (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
	EHF (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
	EHG (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
	EHH (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-H
20	EHI (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Cl
	EHJ (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Br
	EHK (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-F
	EHL (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CH ₃
	EHM (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CF ₃
25	EHN (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₃
	EHO (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
	EHP (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCF ₃
	EHQ (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl
	EHR (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl

	EHS (a, b, and c)	-3-(4-methylpyridazinyl)	-Cl	-H
	EHT (a, b, and c)	-3-(4-methylpyridazinyl)	-Br	-H
	EHU (a, b, and c)	-3-(4-methylpyridazinyl)	-F	-H
	EHV (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-H
5	EHW (a, b, and c)	-3-(4-methylpyridazinyl)	-CF ₃	-H
	EXH (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₃	-H
	EHY (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
	EHZ (a, b, and c)	-3-(4-methylpyridazinyl)	-OCF ₃	-H
	EIA (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
10	EIB (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
	EIC (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
	EID (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-H
	EIE (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Cl
	EIF (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Br
15	EIG (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-F
	EIH (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CH ₃
	EII (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CF ₃
	EIJ (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₃
	EIK (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
20	EIL (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCF ₃
	EIM (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
	EIN (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
	EIO (a, b, and c)	-4-thiazanyl	-Cl	-H
	EIP (a, b, and c)	-4-thiazanyl	-Br	-H
25	EIQ (a, b, and c)	-4-thiazanyl	-F	-H
	EIR (a, b, and c)	-4-thiazanyl	-CH ₃	-H
	EIS (a, b, and c)	-4-thiazanyl	-CF ₃	-H
	EIT (a, b, and c)	-4-thiazanyl	-OCH ₃	-H
	EIU (a, b, and c)	-4-thiazanyl	-OCH ₂ CH ₃	-H

	EIV (a, b, and c)	-4-thiazanyl	-OCF ₃	-H
	EIW (a, b, and c)	-4-thiazanyl	- <i>tert</i> -butyl	-H
	EIX (a, b, and c)	-4-thiazanyl	- <i>iso</i> -propyl	-H
	EIY (a, b, and c)	-4-thiazanyl	-CH ₃	-CH ₃
5	EIZ (a, b, and c)	-4-thiazanyl	-H	-H
	EJA (a, b, and c)	-4-thiazanyl	-H	-Cl
	EJB (a, b, and c)	-4-thiazanyl	-H	-Br
	EJC (a, b, and c)	-4-thiazanyl	-H	-F
	EJD (a, b, and c)	-4-thiazanyl	-H	-CH ₃
10	EJE (a, b, and c)	-4-thiazanyl	-H	-CF ₃
	EJF (a, b, and c)	-4-thiazanyl	-H	-OCH ₃
	EJG (a, b, and c)	-4-thiazanyl	-H	-OCH ₂ CH ₃
	EJH (a, b, and c)	-4-thiazanyl	-H	-OCF ₃
	EJI (a, b, and c)	-4-thiazanyl	-H	- <i>tert</i> -butyl
15	EJJ (a, b, and c)	-4-thiazanyl	-H	- <i>iso</i> -propyl
	EJK (a, b, and c)	-5-(4-chlorothiazanyl)	-Cl	-H
	EJL (a, b, and c)	-5-(4-chlorothiazanyl)	-Br	-H
	EJM (a, b, and c)	-5-(4-chlorothiazanyl)	-F	-H
	EJN (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-H
20	EJO (a, b, and c)	-5-(4-chlorothiazanyl)	-CF ₃	-H
	EJP (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₃	-H
	EJQ (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
	EJR (a, b, and c)	-5-(4-chlorothiazanyl)	-OCF ₃	-H
	EJS (a, b, and c)	-5-(4-chlorothiazanyl)	- <i>tert</i> -butyl	-H
25	EJT (a, b, and c)	-5-(4-chlorothiazanyl)	- <i>iso</i> -propyl	-H
	EJU (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
	EJV (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-H
	EJW (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Cl
	EJX (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Br

	EJY (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-F
	EJZ (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CH ₃
	EKA (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CF ₃
	EKB (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₃
5	EKC (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
	EKD (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCF ₃
	EKE (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
	EKF (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
	EKG (a, b, and c)	-5-(4-methylthiazanyl)	-Cl	-H
10	EKH (a, b, and c)	-5-(4-methylthiazanyl)	-Br	-H
	EKI (a, b, and c)	-5-(4-methylthiazanyl)	-F	-H
	EKJ (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-H
	EKK (a, b, and c)	-5-(4-methylthiazanyl)	-CF ₃	-H
	EKL (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₃	-H
15	EKM (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
	EKN (a, b, and c)	-5-(4-methylthiazanyl)	-OCF ₃	-H
	EKO (a, b, and c)	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
	EKP (a, b, and c)	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
	EKQ (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
20	EKR (a, b, and c)	-5-(4-methylthiazanyl)	-H	-H
	EKS (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Cl
	EKT (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Br
	EKU (a, b, and c)	-5-(4-methylthiazanyl)	-H	-F
	EKV (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CH ₃
25	EKW (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CF ₃
	EKX (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₃
	EKY (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
	EKZ (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCF ₃
	ELA (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl

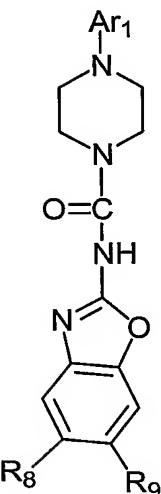
ELB (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl
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“a” means the Benzoazolylpiperazine Compound is racemic.

“b” means the carbon atom of the piperazine ring attached to the methyl group is in the R configuration.

5 “c” means the carbon atom of the piperazine ring attached to the methyl group is in the S configuration.

Table XIII



and pharmaceutically acceptable salts thereof, wherein:

<u>Compound</u>	<u>Ar₁</u>	<u>R₈</u>	<u>R₉</u>
ELC	-2-(3-chloropyridyl)	-Cl	-H
ELD	-2-(3-chloropyridyl)	-Br	-H
ELE	-2-(3-chloropyridyl)	-F	-H
ELF	-2-(3-chloropyridyl)	-CH ₃	-H
ELG	-2-(3-chloropyridyl)	-CF ₃	-H
ELH	-2-(3-chloropyridyl)	-OCH ₃	-H
ELI	-2-(3-chloropyridyl)	-OCH ₂ CH ₃	-H
ELJ	-2-(3-chloropyridyl)	-OCF ₃	-H
ELK	-2-(3-chloropyridyl)	- <i>tert</i> -butyl	-H
ELL	-2-(3-chloropyridyl)	- <i>iso</i> -propyl	-H
ELM	-2-(3-chloropyridyl)	-CH ₃	-CH ₃
ELN	-2-(3-chloropyridyl)	-H	-H
ELO	-2-(3-chloropyridyl)	-H	-Cl
ELP	-2-(3-chloropyridyl)	-H	-Br
ELQ	-2-(3-chloropyridyl)	-H	-F
ELR	-2-(3-chloropyridyl)	-H	-CH ₃
ELS	-2-(3-chloropyridyl)	-H	-CF ₃

	ELT	-2-(3-chloropyridyl)	-H	-OCH ₃
	ELU	-2-(3-chloropyridyl)	-H	-OCH ₂ CH ₃
	ELV	-2-(3-chloropyridyl)	-H	-OCF ₃
	ELW	-2-(3-chloropyridyl)	-H	- <i>tert</i> -butyl
5	ELX	-2-(3-chloropyridyl)	-H	- <i>iso</i> -propyl
	ELY	-2-(3-methylpyridyl)	-Cl	-H
	ELZ	-2-(3-methylpyridyl)	-Br	-H
	EMA	-2-(3-methylpyridyl)	-F	-H
	EMB	-2-(3-methylpyridyl)	-CH ₃	-H
10	EMC	-2-(3-methylpyridyl)	-CF ₃	-H
	EMD	-2-(3-methylpyridyl)	-OCH ₃	-H
	EME	-2-(3-methylpyridyl)	-OCH ₂ CH ₃	-H
	EMF	-2-(3-methylpyridyl)	-OCF ₃	-H
	EMG	-2-(3-methylpyridyl)	- <i>tert</i> -butyl	-H
15	EMH	-2-(3-methylpyridyl)	- <i>iso</i> -propyl	-H
	EMI	-2-(3-methylpyridyl)	-CH ₃	-CH ₃
	EMJ	-2-(3-methylpyridyl)	-H	-H
	EMK	-2-(3-methylpyridyl)	-H	-Cl
	EML	-2-(3-methylpyridyl)	-H	-Br
20	EMM	-2-(3-methylpyridyl)	-H	-F
	EMN	-2-(3-methylpyridyl)	-H	-CH ₃
	EMO	-2-(3-methylpyridyl)	-H	-CF ₃
	EMP	-2-(3-methylpyridyl)	-H	-OCH ₃
	EMQ	-2-(3-methylpyridyl)	-H	-OCH ₂ CH ₃
25	EMR	-2-(3-methylpyridyl)	-H	-OCF ₃
	EMS	-2-(3-methylpyridyl)	-H	- <i>tert</i> -butyl
	EMT	-2-(3-methylpyridyl)	-H	- <i>iso</i> -propyl
	EMU	-2-(3-CF ₃ -pyridyl)	-Cl	-H
	EMV	-2-(3-CF ₃ -pyridyl)	-Br	-H

	EMW	-2-(3-CF ₃ -pyridyl)	-F	-H
	EMX	-2-(3-CF ₃ -pyridyl)	-CH ₃	-H
	EMY	-2-(3-CF ₃ -pyridyl)	-CF ₃	-H
	EMZ	-2-(3-CF ₃ -pyridyl)	-OCH ₃	-H
5	ENA	-2-(3-CF ₃ -pyridyl)	-OCH ₂ CH ₃	-H
	ENB	-2-(3-CF ₃ -pyridyl)	-OCF ₃	-H
	ENC	-2-(3-CF ₃ -pyridyl)	- <i>tert</i> -butyl	-H
	END	-2-(3-CF ₃ -pyridyl)	- <i>iso</i> -propyl	-H
	ENE	-2-(3-CF ₃ -pyridyl)	-CH ₃	-CH ₃
10	ENF	-2-(3-CF ₃ -pyridyl)	-H	-H
	ENG	-2-(3-CF ₃ -pyridyl)	-H	-Cl
	ENH	-2-(3-CF ₃ -pyridyl)	-H	-Br
	ENI	-2-(3-CF ₃ -pyridyl)	-H	-F
	ENJ	-2-(3-CF ₃ -pyridyl)	-H	-CH ₃
15	ENK	-2-(3-CF ₃ -pyridyl)	-H	-CF ₃
	ENL	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₃
	ENM	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₂ CH ₃
	ENN	-2-(3-CF ₃ -pyridyl)	-H	-OCF ₃
	ENO	-2-(3-CF ₃ -pyridyl)	-H	- <i>tert</i> -butyl
20	ENP	-2-(3-CF ₃ -pyridyl)	-H	- <i>iso</i> -propyl
	ENQ	-4-(5-chloropyrimidinyl)	-Cl	-H
	ENR	-4-(5-chloropyrimidinyl)	-Br	-H
	ENS	-4-(5-chloropyrimidinyl)	-F	-H
	ENT	-4-(5-chloropyrimidinyl)	-CH ₃	-H
25	ENU	-4-(5-chloropyrimidinyl)	-CF ₃	-H
	ENV	-4-(5-chloropyrimidinyl)	-OCH ₃	-H
	ENW	-4-(5-chloropyrimidinyl)	-OCH ₂ CH ₃	-H
	ENX	-4-(5-chloropyrimidinyl)	-OCF ₃	-H
	ENY	-4-(5-chloropyrimidinyl)	- <i>tert</i> -butyl	-H

	ENZ	-4-(5-chloropyrimidinyl)	- <i>iso</i> -propyl	-H
	EOA	-4-(5-chloropyrimidinyl)	-CH ₃	-CH ₃
	EOB	-4-(5-chloropyrimidinyl)	-H	-H
	EOC	-4-(5-chloropyrimidinyl)	-H	-Cl
5	EOD	-4-(5-chloropyrimidinyl)	-H	-Br
	EOE	-4-(5-chloropyrimidinyl)	-H	-F
	EOF	-4-(5-chloropyrimidinyl)	-H	-CH ₃
	EOG	-4-(5-chloropyrimidinyl)	-H	-CF ₃
	EOH	-4-(5-chloropyrimidinyl)	-H	-OCH ₃
10	EOI	-4-(5-chloropyrimidinyl)	-H	-OCH ₂ CH ₃
	EOJ	-4-(5-chloropyrimidinyl)	-H	-OCF ₃
	EOK	-4-(5-chloropyrimidinyl)	-H	- <i>tert</i> -butyl
	EOL	-4-(5-chloropyrimidinyl)	-H	- <i>iso</i> -propyl
	EOM	-4-(5-methylpyrimidinyl)	-Cl	-H
15	EON	-4-(5-methylpyrimidinyl)	-Br	-H
	EOO	-4-(5-methylpyrimidinyl)	-F	-H
	EOP	-4-(5-methylpyrimidinyl)	-CH ₃	-H
	EOQ	-4-(5-methylpyrimidinyl)	-CF ₃	-H
	EOR	-4-(5-methylpyrimidinyl)	-OCH ₃	-H
20	EOS	-4-(5-methylpyrimidinyl)	-OCH ₂ CH ₃	-H
	EOT	-4-(5-methylpyrimidinyl)	-OCF ₃	-H
	EOU	-4-(5-methylpyrimidinyl)	- <i>tert</i> -butyl	-H
	EOV	-4-(5-methylpyrimidinyl)	- <i>iso</i> -propyl	-H
	EOW	-4-(5-methylpyrimidinyl)	-CH ₃	-CH ₃
25	EOX	-4-(5-methylpyrimidinyl)	-H	-H
	EOY	-4-(5-methylpyrimidinyl)	-H	-Cl
	EOZ	-4-(5-methylpyrimidinyl)	-H	-Br
	EPA	-4-(5-methylpyrimidinyl)	-H	-F
	EPB	-4-(5-methylpyrimidinyl)	-H	-CH ₃

	EPC	-4-(5-methylpyrimidinyl)	-H	-CF ₃
	EPD	-4-(5-methylpyrimidinyl)	-H	-OCH ₃
	EPE	-4-(5-methylpyrimidinyl)	-H	-OCH ₂ CH ₃
	EPF	-4-(5-methylpyrimidinyl)	-H	-OCF ₃
5	EPG	-4-(5-methylpyrimidinyl)	-H	- <i>tert</i> -butyl
	EPH	-4-(5-methylpyrimidinyl)	-H	- <i>iso</i> -propyl
	EPI	-2-pyrazinyl	-Cl	-H
	EPJ	-2-pyrazinyl	-Br	-H
	EPK	-2-pyrazinyl	-F	-H
10	EPL	-2-pyrazinyl	-CH ₃	-H
	EPM	-2-pyrazinyl	-CF ₃	-H
	EPN	-2-pyrazinyl	-OCH ₃	-H
	EPO	-2-pyrazinyl	-OCH ₂ CH ₃	-H
	EPP	-2-pyrazinyl	-OCF ₃	-H
15	EPQ	-2-pyrazinyl	- <i>tert</i> -butyl	-H
	EPR	-2-pyrazinyl	- <i>iso</i> -propyl	-H
	EPS	-2-pyrazinyl	-CH ₃	-CH ₃
	EPT	-2-pyrazinyl	-H	-H
	EPU	-2-pyrazinyl	-H	-Cl
20	EPV	-2-pyrazinyl	-H	-Br
	EPW	-2-pyrazinyl	-H	-F
	EPX	-2-pyrazinyl	-H	-CH ₃
	EPY	-2-pyrazinyl	-H	-CF ₃
	EPZ	-2-pyrazinyl	-H	-OCH ₃
25	EQA	-2-pyrazinyl	-H	-OCH ₂ CH ₃
	EQB	-2-pyrazinyl	-H	-OCF ₃
	EQC	-2-pyrazinyl	-H	- <i>tert</i> -butyl
	EQD	-2-pyrazinyl	-H	- <i>iso</i> -propyl
	EQE	-2-(3-chloropyrazinyl)	-Cl	-H

	EQF	-2-(3-chloropyrazinyl)	-Br	-H
	EQG	-2-(3-chloropyrazinyl)	-F	-H
	EQH	-2-(3-chloropyrazinyl)	-CH ₃	-H
	EQI	-2-(3-chloropyrazinyl)	-CF ₃	-H
5	EQJ	-2-(3-chloropyrazinyl)	-OCH ₃	-H
	EQK	-2-(3-chloropyrazinyl)	-OCH ₂ CH ₃	-H
	EQL	-2-(3-chloropyrazinyl)	-OCF ₃	-H
	EQM	-2-(3-chloropyrazinyl)	- <i>tert</i> -butyl	-H
	EQN	-2-(3-chloropyrazinyl)	- <i>iso</i> -propyl	-H
10	EQO	-2-(3-chloropyrazinyl)	-CH ₃	-CH ₃
	EQP	-2-(3-chloropyrazinyl)	-H	-H
	EQQ	-2-(3-chloropyrazinyl)	-H	-Cl
	EQR	-2-(3-chloropyrazinyl)	-H	-Br
	EQS	-2-(3-chloropyrazinyl)	-H	-F
15	EQT	-2-(3-chloropyrazinyl)	-H	-CH ₃
	EQU	-2-(3-chloropyrazinyl)	-H	-CF ₃
	EQV	-2-(3-chloropyrazinyl)	-H	-OCH ₃
	EQW	-2-(3-chloropyrazinyl)	-H	-OCH ₂ CH ₃
	EQX	-2-(3-chloropyrazinyl)	-H	-OCF ₃
20	EQY	-2-(3-chloropyrazinyl)	-H	- <i>tert</i> -butyl
	EQZ	-2-(3-chloropyrazinyl)	-H	- <i>iso</i> -propyl
	ERA	-2-(3-methylpyrazinyl)	-Cl	-H
	ERB	-2-(3-methylpyrazinyl)	-Br	-H
	ERC	-2-(3-methylpyrazinyl)	-F	-H
25	ERD	-2-(3-methylpyrazinyl)	-CH ₃	-H
	ERE	-2-(3-methylpyrazinyl)	-CF ₃	-H
	ERF	-2-(3-methylpyrazinyl)	-OCH ₃	-H
	ERG	-2-(3-methylpyrazinyl)	-OCH ₂ CH ₃	-H
	ERH	-2-(3-methylpyrazinyl)	-OCF ₃	-H

	ERI	-2-(3-methylpyrazinyl)	- <i>tert</i> -butyl	-H
	ERJ	-2-(3-methylpyrazinyl)	- <i>iso</i> -propyl	-H
	ERK	-2-(3-methylpyrazinyl)	-CH ₃	-CH ₃
	ERL	-2-(3-methylpyrazinyl)	-H	-H
5	ERM	-2-(3-methylpyrazinyl)	-H	-Cl
	ERN	-2-(3-methylpyrazinyl)	-H	-Br
	ERO	-2-(3-methylpyrazinyl)	-H	-F
	ERP	-2-(3-methylpyrazinyl)	-H	-CH ₃
	ERQ	-2-(3-methylpyrazinyl)	-H	-CF ₃
10	ERR	-2-(3-methylpyrazinyl)	-H	-OCH ₃
	ERS	-2-(3-methylpyrazinyl)	-H	-OCH ₂ CH ₃
	ERT	-2-(3-methylpyrazinyl)	-H	-OCF ₃
	ERU	-2-(3-methylpyrazinyl)	-H	- <i>tert</i> -butyl
	ERV	-2-(3-methylpyrazinyl)	-H	- <i>iso</i> -propyl
15	ERW	-2-pyridazinyl	-Cl	-H
	ERX	-2-pyridazinyl	-Br	-H
	ERY	-2-pyridazinyl	-F	-H
	ERZ	-2-pyridazinyl	-CH ₃	-H
	ESA	-2-pyridazinyl	-CF ₃	-H
20	ESB	-2-pyridazinyl	-OCH ₃	-H
	ESC	-2-pyridazinyl	-OCH ₂ CH ₃	-H
	ESD	-2-pyridazinyl	-OCF ₃	-H
	ESE	-2-pyridazinyl	- <i>tert</i> -butyl	-H
	ESF	-2-pyridazinyl	- <i>iso</i> -propyl	-H
25	ESG	-2-pyridazinyl	-CH ₃	-CH ₃
	ESH	-2-pyridazinyl	-H	-H
	ESI	-2-pyridazinyl	-H	-Cl
	ESJ	-2-pyridazinyl	-H	-Br
	ESK	-2-pyridazinyl	-H	-F

	ESL	-2-pyridazinyl	-H	-CH ₃
	ESM	-2-pyridazinyl	-H	-CF ₃
	ESN	-2-pyridazinyl	-H	-OCH ₃
	ESO	-2-pyridazinyl	-H	-OCH ₂ CH ₃
5	ESP	-2-pyridazinyl	-H	-OCF ₃
	ESQ	-2-pyridazinyl	-H	- <i>tert</i> -butyl
	ESR	-2-pyridazinyl	-H	- <i>iso</i> -propyl
	ESS	-3-(4-chloropyridazinyl)	-Cl	-H
	EST	-3-(4-chloropyridazinyl)	-Br	-H
10	ESU	-3-(4-chloropyridazinyl)	-F	-H
	ESV	-3-(4-chloropyridazinyl)	-CH ₃	-H
	ESW	-3-(4-chloropyridazinyl)	-CF ₃	-H
	ESX	-3-(4-chloropyridazinyl)	-OCH ₃	-H
	ESY	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
15	ESZ	-3-(4-chloropyridazinyl)	-OCF ₃	-H
	ETA	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
	ETB	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
	ETC	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
	ETD	-3-(4-chloropyridazinyl)	-H	-H
20	ETE	-3-(4-chloropyridazinyl)	-H	-Cl
	ETF	-3-(4-chloropyridazinyl)	-H	-Br
	ETG	-3-(4-chloropyridazinyl)	-H	-F
	ETH	-3-(4-chloropyridazinyl)	-H	-CH ₃
	ETI	-3-(4-chloropyridazinyl)	-H	-CF ₃
25	ETJ	-3-(4-chloropyridazinyl)	-H	-OCH ₃
	ETK	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
	ETL	-3-(4-chloropyridazinyl)	-H	-OCF ₃
	ETM	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl
	ETN	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl

	ETO	-3-(4-methylpyridazinyl)	-Cl	-H
	ETP	-3-(4-methylpyridazinyl)	-Br	-H
	ETQ	-3-(4-methylpyridazinyl)	-F	-H
	ETR	-3-(4-methylpyridazinyl)	-CH ₃	-H
5	ETS	-3-(4-methylpyridazinyl)	-CF ₃	-H
	ETT	-3-(4-methylpyridazinyl)	-OCH ₃	-H
	ETU	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
	ETV	-3-(4-methylpyridazinyl)	-OCF ₃	-H
	ETW	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
10	ETX	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
	ETY	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
	ETZ	-3-(4-methylpyridazinyl)	-H	-H
	EUA	-3-(4-methylpyridazinyl)	-H	-Cl
	EUB	-3-(4-methylpyridazinyl)	-H	-Br
15	EUC	-3-(4-methylpyridazinyl)	-H	-F
	EUD	-3-(4-methylpyridazinyl)	-H	-CH ₃
	EUE	-3-(4-methylpyridazinyl)	-H	-CF ₃
	EUF	-3-(4-methylpyridazinyl)	-H	-OCH ₃
	EUG	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
20	EUH	-3-(4-methylpyridazinyl)	-H	-OCF ₃
	EUI	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
	EUJ	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
	EUK	-4-thiazanyl	-Cl	-H
	EUL	-4-thiazanyl	-Br	-H
25	EUM	-4-thiazanyl	-F	-H
	EUN	-4-thiazanyl	-CH ₃	-H
	EUO	-4-thiazanyl	-CF ₃	-H
	EUP	-4-thiazanyl	-OCH ₃	-H
	EUQ	-4-thiazanyl	-OCH ₂ CH ₃	-H

	EUR	-4-thiazanyl	-OCF ₃	-H
	EUS	-4-thiazanyl	- <i>tert</i> -butyl	-H
	EUT	-4-thiazanyl	- <i>iso</i> -propyl	-H
	EUU	-4-thiazanyl	-CH ₃	-CH ₃
5	EUV	-4-thiazanyl	-H	-H
	EUW	-4-thiazanyl	-H	-Cl
	EUX	-4-thiazanyl	-H	-Br
	EUY	-4-thiazanyl	-H	-F
	EUZ	-4-thiazanyl	-H	-CH ₃
10	EVA	-4-thiazanyl	-H	-CF ₃
	EVB	-4-thiazanyl	-H	-OCH ₃
	EVC	-4-thiazanyl	-H	-OCH ₂ CH ₃
	EVD	-4-thiazanyl	-H	-OCF ₃
	EVE	-4-thiazanyl	-H	- <i>tert</i> -butyl
15	EVF	-4-thiazanyl	-H	- <i>iso</i> -propyl
	EVG	-5-(4-chlorothiazanyl)	-Cl	-H
	EVH	-5-(4-chlorothiazanyl)	-Br	-H
	EVI	-5-(4-chlorothiazanyl)	-F	-H
	EVJ	-5-(4-chlorothiazanyl)	-CH ₃	-H
20	EVK	-5-(4-chlorothiazanyl)	-CF ₃	-H
	EVL	-5-(4-chlorothiazanyl)	-OCH ₃	-H
	EVM	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
	EVN	-5-(4-chlorothiazanyl)	-OCF ₃	-H
	EVO	-5-(4-chlorothiazanyl)	- <i>tert</i> -butyl	-H
25	EVP	-5-(4-chlorothiazanyl)	- <i>iso</i> -propyl	-H
	EVQ	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
	EVR	-5-(4-chlorothiazanyl)	-H	-H
	EVS	-5-(4-chlorothiazanyl)	-H	-Cl
	EVT	-5-(4-chlorothiazanyl)	-H	-Br

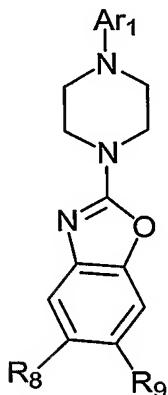
	EVU	-5-(4-chlorothiazanyl)	-H	-F
	EVV	-5-(4-chlorothiazanyl)	-H	-CH ₃
	EVW	-5-(4-chlorothiazanyl)	-H	-CF ₃
	EVX	-5-(4-chlorothiazanyl)	-H	-OCH ₃
5	EVY	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
	EVZ	-5-(4-chlorothiazanyl)	-H	-OCF ₃
	EWA	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
	EWB	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
	EWC	-5-(4-methylthiazanyl)	-Cl	-H
10	EWD	-5-(4-methylthiazanyl)	-Br	-H
	EWE	-5-(4-methylthiazanyl)	-F	-H
	EWF	-5-(4-methylthiazanyl)	-CH ₃	-H
	EWG	-5-(4-methylthiazanyl)	-CF ₃	-H
	EWH	-5-(4-methylthiazanyl)	-OCH ₃	-H
15	EWI	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
	EWJ	-5-(4-methylthiazanyl)	-OCF ₃	-H
	EWK	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
	EWL	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
	EWM	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
20	EWN	-5-(4-methylthiazanyl)	-H	-H
	EWO	-5-(4-methylthiazanyl)	-H	-Cl
	EWP	-5-(4-methylthiazanyl)	-H	-Br
	EWQ	-5-(4-methylthiazanyl)	-H	-F
	EWR	-5-(4-methylthiazanyl)	-H	-CH ₃
25	EWS	-5-(4-methylthiazanyl)	-H	-CF ₃
	EWT	-5-(4-methylthiazanyl)	-H	-OCH ₃
	EWU	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
	EWV	-5-(4-methylthiazanyl)	-H	-OCF ₃
	EWW	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl

EWX	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl
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Table XIV

15 and pharmaceutically acceptable salts thereof, wherein:

Compound	Ar₁	R₈	R₉
EWY	-2-(3-chloropyridyl)	-Cl	-H
EWZ	-2-(3-chloropyridyl)	-Br	-H
EXA	-2-(3-chloropyridyl)	-F	-H
EXB	-2-(3-chloropyridyl)	-CH ₃	-H
EXC	-2-(3-chloropyridyl)	-CF ₃	-H
EXD	-2-(3-chloropyridyl)	-OCH ₃	-H
EXE	-2-(3-chloropyridyl)	-OCH ₂ CH ₃	-H
EXF	-2-(3-chloropyridyl)	-OCF ₃	-H
EXG	-2-(3-chloropyridyl)	- <i>tert</i> -butyl	-H
EXH	-2-(3-chloropyridyl)	- <i>iso</i> -propyl	-H
EXI	-2-(3-chloropyridyl)	-CH ₃	-CH ₃
EXJ	-2-(3-chloropyridyl)	-H	-H
EXK	-2-(3-chloropyridyl)	-H	-Cl
EXL	-2-(3-chloropyridyl)	-H	-Br
EXM	-2-(3-chloropyridyl)	-H	-F
EXN	-2-(3-chloropyridyl)	-H	-CH ₃
EXO	-2-(3-chloropyridyl)	-H	-CF ₃
EXP	-2-(3-chloropyridyl)	-H	-OCH ₃

	EXQ	-2-(3-chloropyridyl)	-H	-OCH ₂ CH ₃
	EXR	-2-(3-chloropyridyl)	-H	-OCF ₃
	EXS	-2-(3-chloropyridyl)	-H	- <i>tert</i> -butyl
	EXT	-2-(3-chloropyridyl)	-H	- <i>iso</i> -propyl
5	EXU	-2-(3-methylpyridyl)	-Cl	-H
	EXV	-2-(3-methylpyridyl)	-Br	-H
	EXW	-2-(3-methylpyridyl)	-F	-H
	EXX	-2-(3-methylpyridyl)	-CH ₃	-H
	EXY	-2-(3-methylpyridyl)	-CF ₃	-H
10	EXZ	-2-(3-methylpyridyl)	-OCH ₃	-H
	EYA	-2-(3-methylpyridyl)	-OCH ₂ CH ₃	-H
	EYB	-2-(3-methylpyridyl)	-OCF ₃	-H
	EYC	-2-(3-methylpyridyl)	- <i>tert</i> -butyl	-H
	EYD	-2-(3-methylpyridyl)	- <i>iso</i> -propyl	-H
15	EYE	-2-(3-methylpyridyl)	-CH ₃	-CH ₃
	EYF	-2-(3-methylpyridyl)	-H	-H
	EYG	-2-(3-methylpyridyl)	-H	-Cl
	EYH	-2-(3-methylpyridyl)	-H	-Br
	EYI	-2-(3-methylpyridyl)	-H	-F
20	EYJ	-2-(3-methylpyridyl)	-H	-CH ₃
	EYK	-2-(3-methylpyridyl)	-H	-CF ₃
	EYL	-2-(3-methylpyridyl)	-H	-OCH ₃
	EYM	-2-(3-methylpyridyl)	-H	-OCH ₂ CH ₃
	EYN	-2-(3-methylpyridyl)	-H	-OCF ₃
25	EYO	-2-(3-methylpyridyl)	-H	- <i>tert</i> -butyl
	EYP	-2-(3-methylpyridyl)	-H	- <i>iso</i> -propyl
	EYQ	-2-(3-CF ₃ -pyridyl)	-Cl	-H
	EYR	-2-(3-CF ₃ -pyridyl)	-Br	-H

	EYS	-2-(3-CF ₃ -pyridyl)	-F	-H
	EYT	-2-(3-CF ₃ -pyridyl)	-CH ₃	-H
	EYU	-2-(3-CF ₃ -pyridyl)	-CF ₃	-H
	EYV	-2-(3-CF ₃ -pyridyl)	-OCH ₃	-H
5	EYW	-2-(3-CF ₃ -pyridyl)	-OCH ₂ CH ₃	-H
	EYX	-2-(3-CF ₃ -pyridyl)	-OCF ₃	-H
	EYY	-2-(3-CF ₃ -pyridyl)	- <i>tert</i> -butyl	-H
	EYZ	-2-(3-CF ₃ -pyridyl)	- <i>iso</i> -propyl	-H
	EZA	-2-(3-CF ₃ -pyridyl)	-CH ₃	-CH ₃
10	EZB	-2-(3-CF ₃ -pyridyl)	-H	-H
	EZC	-2-(3-CF ₃ -pyridyl)	-H	-Cl
	EZD	-2-(3-CF ₃ -pyridyl)	-H	-Br
	EZE	-2-(3-CF ₃ -pyridyl)	-H	-F
	EZF	-2-(3-CF ₃ -pyridyl)	-H	-CH ₃
15	EZG	-2-(3-CF ₃ -pyridyl)	-H	-CF ₃
	EZH	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₃
	EZI	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₂ CH ₃
	EZJ	-2-(3-CF ₃ -pyridyl)	-H	-OCF ₃
	EZK	-2-(3-CF ₃ -pyridyl)	-H	- <i>tert</i> -butyl
20	EZL	-2-(3-CF ₃ -pyridyl)	-H	- <i>iso</i> -propyl
	EZM	-4-(5-chloropyrimidinyl)	-Cl	-H
	EZN	-4-(5-chloropyrimidinyl)	-Br	-H
	EZO	-4-(5-chloropyrimidinyl)	-F	-H
	EZP	-4-(5-chloropyrimidinyl)	-CH ₃	-H
25	EZQ	-4-(5-chloropyrimidinyl)	-CF ₃	-H
	EZR	-4-(5-chloropyrimidinyl)	-OCH ₃	-H
	EZS	-4-(5-chloropyrimidinyl)	-OCH ₂ CH ₃	-H
	EZT	-4-(5-chloropyrimidinyl)	-OCF ₃	-H
	EZU	-4-(5-chloropyrimidinyl)	- <i>tert</i> -butyl	-H

	EZV	-4-(5-chloropyrimidinyl)	- <i>iso</i> -propyl	-H
	EZW	-4-(5-chloropyrimidinyl)	-CH ₃	-CH ₃
	EZX	-4-(5-chloropyrimidinyl)	-H	-H
	EZY	-4-(5-chloropyrimidinyl)	-H	-Cl
5	EZZ	-4-(5-chloropyrimidinyl)	-H	-Br
	FAA	-4-(5-chloropyrimidinyl)	-H	-F
	FAB	-4-(5-chloropyrimidinyl)	-H	-CH ₃
	FAC	-4-(5-chloropyrimidinyl)	-H	-CF ₃
	FAD	-4-(5-chloropyrimidinyl)	-H	-OCH ₃
10	FAE	-4-(5-chloropyrimidinyl)	-H	-OCH ₂ CH ₃
	FAF	-4-(5-chloropyrimidinyl)	-H	-OCF ₃
	FAG	-4-(5-chloropyrimidinyl)	-H	- <i>tert</i> -butyl
	FAH	-4-(5-chloropyrimidinyl)	-H	- <i>iso</i> -propyl
	FAI	-4-(5-methylpyrimidinyl)	-Cl	-H
15	FAJ	-4-(5-methylpyrimidinyl)	-Br	-H
	FAK	-4-(5-methylpyrimidinyl)	-F	-H
	FAL	-4-(5-methylpyrimidinyl)	-CH ₃	-H
	FAM	-4-(5-methylpyrimidinyl)	-CF ₃	-H
	FAN	-4-(5-methylpyrimidinyl)	-OCH ₃	-H
20	FAO	-4-(5-methylpyrimidinyl)	-OCH ₂ CH ₃	-H
	FAP	-4-(5-methylpyrimidinyl)	-OCF ₃	-H
	FAQ	-4-(5-methylpyrimidinyl)	- <i>tert</i> -butyl	-H
	FAR	-4-(5-methylpyrimidinyl)	- <i>iso</i> -propyl	-H
	FAS	-4-(5-methylpyrimidinyl)	-CH ₃	-CH ₃
25	FAT	-4-(5-methylpyrimidinyl)	-H	-H
	FAU	-4-(5-methylpyrimidinyl)	-H	-Cl
	FAV	-4-(5-methylpyrimidinyl)	-H	-Br
	FAW	-4-(5-methylpyrimidinyl)	-H	-F
	FAX	-4-(5-methylpyrimidinyl)	-H	-CH ₃

	FAY	-4-(5-methylpyrimidinyl)	-H	-CF ₃
	FAZ	-4-(5-methylpyrimidinyl)	-H	-OCH ₃
	FBA	-4-(5-methylpyrimidinyl)	-H	-OCH ₂ CH ₃
	FBB	-4-(5-methylpyrimidinyl)	-H	-OCF ₃
5	FBC	-4-(5-methylpyrimidinyl)	-H	- <i>tert</i> -butyl
	FBD	-4-(5-methylpyrimidinyl)	-H	- <i>iso</i> -propyl
	FBE	-2-pyrazinyl	-Cl	-H
	FBF	-2-pyrazinyl	-Br	-H
	FBG	-2-pyrazinyl	-F	-H
	FBH	-2-pyrazinyl	-CH ₃	-H
10	FBI	-2-pyrazinyl	-CF ₃	-H
	FBJ	-2-pyrazinyl	-OCH ₃	-H
	FBK	-2-pyrazinyl	-OCH ₂ CH ₃	-H
	FBL	-2-pyrazinyl	-OCF ₃	-H
	FBM	-2-pyrazinyl	- <i>tert</i> -butyl	-H
	FBN	-2-pyrazinyl	- <i>iso</i> -propyl	-H
15	FBO	-2-pyrazinyl	-CH ₃	-CH ₃
	FBP	-2-pyrazinyl	-H	-H
	FBQ	-2-pyrazinyl	-H	-Cl
	FBR	-2-pyrazinyl	-H	-Br
	FBS	-2-pyrazinyl	-H	-F
	FBT	-2-pyrazinyl	-H	-CH ₃
20	FBU	-2-pyrazinyl	-H	-CF ₃
	FBV	-2-pyrazinyl	-H	-OCH ₃
	FBW	-2-pyrazinyl	-H	-OCH ₂ CH ₃
	FBX	-2-pyrazinyl	-H	-OCF ₃
	FBY	-2-pyrazinyl	-H	- <i>tert</i> -butyl
	FBZ	-2-pyrazinyl	-H	- <i>iso</i> -propyl
25	FCA	-2-(3-chloropyrazinyl)	-Cl	-H

	FCB	-2-(3-chloropyrazinyl)	-Br	-H
	FCC	-2-(3-chloropyrazinyl)	-F	-H
	FCD	-2-(3-chloropyrazinyl)	-CH ₃	-H
	FCE	-2-(3-chloropyrazinyl)	-CF ₃	-H
5	FCF	-2-(3-chloropyrazinyl)	-OCH ₃	-H
	FCG	-2-(3-chloropyrazinyl)	-OCH ₂ CH ₃	-H
	FCH	-2-(3-chloropyrazinyl)	-OCF ₃	-H
	FCI	-2-(3-chloropyrazinyl)	- <i>tert</i> -butyl	-H
	FCJ	-2-(3-chloropyrazinyl)	- <i>iso</i> -propyl	-H
10	FCK	-2-(3-chloropyrazinyl)	-CH ₃	-CH ₃
	FCL	-2-(3-chloropyrazinyl)	-H	-H
	FCM	-2-(3-chloropyrazinyl)	-H	-Cl
	FCN	-2-(3-chloropyrazinyl)	-H	-Br
	FCO	-2-(3-chloropyrazinyl)	-H	-F
15	FCP	-2-(3-chloropyrazinyl)	-H	-CH ₃
	FCQ	-2-(3-chloropyrazinyl)	-H	-CF ₃
	FCR	-2-(3-chloropyrazinyl)	-H	-OCH ₃
	FCS	-2-(3-chloropyrazinyl)	-H	-OCH ₂ CH ₃
	FCT	-2-(3-chloropyrazinyl)	-H	-OCF ₃
20	FCU	-2-(3-chloropyrazinyl)	-H	- <i>tert</i> -butyl
	FCV	-2-(3-chloropyrazinyl)	-H	- <i>iso</i> -propyl
	FCW	-2-(3-methylpyrazinyl)	-Cl	-H
	FCX	-2-(3-methylpyrazinyl)	-Br	-H
	FCY	-2-(3-methylpyrazinyl)	-F	-H
25	FCZ	-2-(3-methylpyrazinyl)	-CH ₃	-H
	FDA	-2-(3-methylpyrazinyl)	-CF ₃	-H
	FDB	-2-(3-methylpyrazinyl)	-OCH ₃	-H
	FDC	-2-(3-methylpyrazinyl)	-OCH ₂ CH ₃	-H
	FDD	-2-(3-methylpyrazinyl)	-OCF ₃	-H

	FDE	-2-(3-methylpyrazinyl)	- <i>tert</i> -butyl	-H
	FDF	-2-(3-methylpyrazinyl)	- <i>iso</i> -propyl	-H
	FDG	-2-(3-methylpyrazinyl)	-CH ₃	-CH ₃
	FDH	-2-(3-methylpyrazinyl)	-H	-H
5	FDI	-2-(3-methylpyrazinyl)	-H	-Cl
	FDJ	-2-(3-methylpyrazinyl)	-H	-Br
	FDK	-2-(3-methylpyrazinyl)	-H	-F
	FDL	-2-(3-methylpyrazinyl)	-H	-CH ₃
	FDM	-2-(3-methylpyrazinyl)	-H	-CF ₃
10	FDN	-2-(3-methylpyrazinyl)	-H	-OCH ₃
	FDO	-2-(3-methylpyrazinyl)	-H	-OCH ₂ CH ₃
	FDP	-2-(3-methylpyrazinyl)	-H	-OCF ₃
	FDQ	-2-(3-methylpyrazinyl)	-H	- <i>tert</i> -butyl
	FDR	-2-(3-methylpyrazinyl)	-H	- <i>iso</i> -propyl
15	FDS	-2-pyridazinyl	-Cl	-H
	FDT	-2-pyridazinyl	-Br	-H
	FDU	-2-pyridazinyl	-F	-H
	FDV	-2-pyridazinyl	-CH ₃	-H
	FDW	-2-pyridazinyl	-CF ₃	-H
20	FDX	-2-pyridazinyl	-OCH ₃	-H
	FDY	-2-pyridazinyl	-OCH ₂ CH ₃	-H
	FDZ	-2-pyridazinyl	-OCF ₃	-H
	FEA	-2-pyridazinyl	- <i>tert</i> -butyl	-H
	FEB	-2-pyridazinyl	- <i>iso</i> -propyl	-H
25	FEC	-2-pyridazinyl	-CH ₃	-CH ₃
	FED	-2-pyridazinyl	-H	-H
	FEE	-2-pyridazinyl	-H	-Cl
	FEF	-2-pyridazinyl	-H	-Br
	FEG	-2-pyridazinyl	-H	-F

	FEH	-2-pyridazinyl	-H	-CH ₃
	FEI	-2-pyridazinyl	-H	-CF ₃
	FEJ	-2-pyridazinyl	-H	-OCH ₃
	FEK	-2-pyridazinyl	-H	-OCH ₂ CH ₃
5	FEL	-2-pyridazinyl	-H	-OCF ₃
	FEM	-2-pyridazinyl	-H	- <i>tert</i> -butyl
	FEN	-2-pyridazinyl	-H	- <i>iso</i> -propyl
	FEO	-3-(4-chloropyridazinyl)	-Cl	-H
	FEP	-3-(4-chloropyridazinyl)	-Br	-H
	FEQ	-3-(4-chloropyridazinyl)	-F	-H
10	FER	-3-(4-chloropyridazinyl)	-CH ₃	-H
	FES	-3-(4-chloropyridazinyl)	-CF ₃	-H
	FET	-3-(4-chloropyridazinyl)	-OCH ₃	-H
	FEU	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
	FEV	-3-(4-chloropyridazinyl)	-OCF ₃	-H
	FEW	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
15	FEX	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
	FEY	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
	FEZ	-3-(4-chloropyridazinyl)	-H	-H
	FFA	-3-(4-chloropyridazinyl)	-H	-Cl
	FFB	-3-(4-chloropyridazinyl)	-H	-Br
	FFC	-3-(4-chloropyridazinyl)	-H	-F
20	FFD	-3-(4-chloropyridazinyl)	-H	-CH ₃
	FFE	-3-(4-chloropyridazinyl)	-H	-CF ₃
	FFF	-3-(4-chloropyridazinyl)	-H	-OCH ₃
	FFG	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
	FFH	-3-(4-chloropyridazinyl)	-H	-OCF ₃
	FFI	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl
25	FFJ	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl

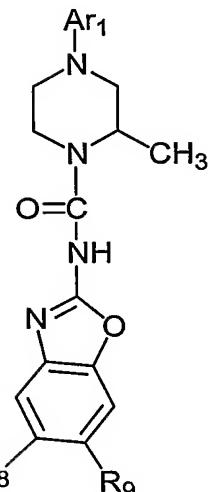
	FFK	-3-(4-methylpyridazinyl)	-Cl	-H
	FFL	-3-(4-methylpyridazinyl)	-Br	-H
	FFM	-3-(4-methylpyridazinyl)	-F	-H
	FFN	-3-(4-methylpyridazinyl)	-CH ₃	-H
5	FFO	-3-(4-methylpyridazinyl)	-CF ₃	-H
	FFP	-3-(4-methylpyridazinyl)	-OCH ₃	-H
	FFQ	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
	FFR	-3-(4-methylpyridazinyl)	-OCF ₃	-H
	FFS	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
10	FFT	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
	FFU	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
	FFV	-3-(4-methylpyridazinyl)	-H	-H
	FFW	-3-(4-methylpyridazinyl)	-H	-Cl
	FFX	-3-(4-methylpyridazinyl)	-H	-Br
15	FFY	-3-(4-methylpyridazinyl)	-H	-F
	FFZ	-3-(4-methylpyridazinyl)	-H	-CH ₃
	FGA	-3-(4-methylpyridazinyl)	-H	-CF ₃
	FGB	-3-(4-methylpyridazinyl)	-H	-OCH ₃
	FGC	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
20	FGD	-3-(4-methylpyridazinyl)	-H	-OCF ₃
	FGE	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
	FGF	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
	FGG	-4-thiazanyl	-Cl	-H
	FGH	-4-thiazanyl	-Br	-H
25	FGI	-4-thiazanyl	-F	-H
	FGJ	-4-thiazanyl	-CH ₃	-H
	FGK	-4-thiazanyl	-CF ₃	-H
	FGL	-4-thiazanyl	-OCH ₃	-H
	FGM	-4-thiazanyl	-OCH ₂ CH ₃	-H

	FGN	-4-thiazanyl	-OCF ₃	-H
	FGO	-4-thiazanyl	- <i>tert</i> -butyl	-H
	FGP	-4-thiazanyl	- <i>iso</i> -propyl	-H
	FGQ	-4-thiazanyl	-CH ₃	-CH ₃
5	FGR	-4-thiazanyl	-H	-H
	FGS	-4-thiazanyl	-H	-Cl
	FGT	-4-thiazanyl	-H	-Br
	FGU	-4-thiazanyl	-H	-F
	FGV	-4-thiazanyl	-H	-CH ₃
10	FGW	-4-thiazanyl	-H	-CF ₃
	FGX	-4-thiazanyl	-H	-OCH ₃
	FGY	-4-thiazanyl	-H	-OCH ₂ CH ₃
	FGZ	-4-thiazanyl	-H	-OCF ₃
	FHA	-4-thiazanyl	-H	- <i>tert</i> -butyl
15	FHB	-4-thiazanyl	-H	- <i>iso</i> -propyl
	FHC	-5-(4-chlorothiazanyl)	-Cl	-H
	FHD	-5-(4-chlorothiazanyl)	-Br	-H
	FHE	-5-(4-chlorothiazanyl)	-F	-H
	FHF	-5-(4-chlorothiazanyl)	-CH ₃	-H
20	FHG	-5-(4-chlorothiazanyl)	-CF ₃	-H
	FHH	-5-(4-chlorothiazanyl)	-OCH ₃	-H
	FHI	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
	FHJ	-5-(4-chlorothiazanyl)	-OCF ₃	-H
	FHK	-5-(4-chlorothiazanyl)	- <i>tert</i> -butyl	-H
25	FHL	-5-(4-chlorothiazanyl)	- <i>iso</i> -propyl	-H
	FHM	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
	FHN	-5-(4-chlorothiazanyl)	-H	-H
	FHO	-5-(4-chlorothiazanyl)	-H	-Cl
	FHP	-5-(4-chlorothiazanyl)	-H	-Br

	FHQ	-5-(4-chlorothiazanyl)	-H	-F
	FHR	-5-(4-chlorothiazanyl)	-H	-CH ₃
	FHS	-5-(4-chlorothiazanyl)	-H	-CF ₃
	FHT	-5-(4-chlorothiazanyl)	-H	-OCH ₃
5	FHU	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
	FHV	-5-(4-chlorothiazanyl)	-H	-OCF ₃
	FHW	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
	FHX	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
	FHY	-5-(4-methylthiazanyl)	-Cl	-H
10	FHZ	-5-(4-methylthiazanyl)	-Br	-H
	FIA	-5-(4-methylthiazanyl)	-F	-H
	FIB	-5-(4-methylthiazanyl)	-CH ₃	-H
	FIC	-5-(4-methylthiazanyl)	-CF ₃	-H
	FID	-5-(4-methylthiazanyl)	-OCH ₃	-H
15	FIE	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
	FIF	-5-(4-methylthiazanyl)	-OCF ₃	-H
	FIG	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
	FIH	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
	FII	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
20	FIJ	-5-(4-methylthiazanyl)	-H	-H
	FIK	-5-(4-methylthiazanyl)	-H	-Cl
	FIL	-5-(4-methylthiazanyl)	-H	-Br
	FIM	-5-(4-methylthiazanyl)	-H	-F
	FIN	-5-(4-methylthiazanyl)	-H	-CH ₃
25	FIO	-5-(4-methylthiazanyl)	-H	-CF ₃
	FIP	-5-(4-methylthiazanyl)	-H	-OCH ₃
	FIQ	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
	FIR	-5-(4-methylthiazanyl)	-H	-OCF ₃
	FIS	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl

FIT	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl
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Table XV



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15

and pharmaceutically acceptable salts thereof, wherein:

<u>Compound</u>	<u>Ar₁</u>	<u>R₈</u>	<u>R₉</u>
FIU (a, b, and c)	-2-(3-chloropyridyl)	-Cl	-H
FIV (a, b, and c)	-2-(3-chloropyridyl)	-Br	-H
FIW (a, b, and c)	-2-(3-chloropyridyl)	-F	-H
FIX (a, b, and c)	-2-(3-chloropyridyl)	-CH ₃	-H
FIY (a, b, and c)	-2-(3-chloropyridyl)	-CF ₃	-H
FIZ (a, b, and c)	-2-(3-chloropyridyl)	-OCH ₃	-H
FJA (a, b, and c)	-2-(3-chloropyridyl)	-OCH ₂ CH ₃	-H
FJB (a, b, and c)	-2-(3-chloropyridyl)	-OCF ₃	-H
FJC (a, b, and c)	-2-(3-chloropyridyl)	- <i>tert</i> -butyl	-H
FJD (a, b, and c)	-2-(3-chloropyridyl)	- <i>iso</i> -propyl	-H
FJE (a, b, and c)	-2-(3-chloropyridyl)	-CH ₃	-CH ₃
FJF (a, b, and c)	-2-(3-chloropyridyl)	-H	-H
FJG (a, b, and c)	-2-(3-chloropyridyl)	-H	-Cl
FJH (a, b, and c)	-2-(3-chloropyridyl)	-H	-Br
FJI (a, b, and c)	-2-(3-chloropyridyl)	-H	-F
FJJ (a, b, and c)	-2-(3-chloropyridyl)	-H	-CH ₃
FJK (a, b, and c)	-2-(3-chloropyridyl)	-H	-CF ₃

	FJL (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCH ₃
	FJM (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCH ₂ CH ₃
	FJN (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCF ₃
	FJO (a, b, and c)	-2-(3-chloropyridyl)	-H	- <i>tert</i> -butyl
5	FJP (a, b, and c)	-2-(3-chloropyridyl)	-H	- <i>iso</i> -propyl
	FJQ (a, b, and c)	-2-(3-methylpyridyl)	-Cl	-H
	FJR (a, b, and c)	-2-(3-methylpyridyl)	-Br	-H
	FJS (a, b, and c)	-2-(3-methylpyridyl)	-F	-H
	FJT (a, b, and c)	-2-(3-methylpyridyl)	-CH ₃	-H
10	FJU (a, b, and c)	-2-(3-methylpyridyl)	-CF ₃	-H
	FJV (a, b, and c)	-2-(3-methylpyridyl)	-OCH ₃	-H
	FJW (a, b, and c)	-2-(3-methylpyridyl)	-OCH ₂ CH ₃	-H
	FJX (a, b, and c)	-2-(3-methylpyridyl)	-OCF ₃	-H
	FJY (a, b, and c)	-2-(3-methylpyridyl)	- <i>tert</i> -butyl	-H
15	FJZ (a, b, and c)	-2-(3-methylpyridyl)	- <i>iso</i> -propyl	-H
	FKA (a, b, and c)	-2-(3-methylpyridyl)	-CH ₃	-CH ₃
	FKB (a, b, and c)	-2-(3-methylpyridyl)	-H	-H
	FKC (a, b, and c)	-2-(3-methylpyridyl)	-H	-Cl
	FKD (a, b, and c)	-2-(3-methylpyridyl)	-H	-Br
20	FKE (a, b, and c)	-2-(3-methylpyridyl)	-H	-F
	FKF (a, b, and c)	-2-(3-methylpyridyl)	-H	-CH ₃
	FKG (a, b, and c)	-2-(3-methylpyridyl)	-H	-CF ₃
	FKH (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCH ₃
	FKI (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCH ₂ CH ₃
25	FKJ (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCF ₃
	FKK (a, b, and c)	-2-(3-methylpyridyl)	-H	- <i>tert</i> -butyl
	FKL (a, b, and c)	-2-(3-methylpyridyl)	-H	- <i>iso</i> -propyl
	FKM (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-Cl	-H
	FKN (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-Br	-H

	FKO (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-F	-H
5	FKP (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CH ₃	-H
	FKQ (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CF ₃	-H
	FKR (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCH ₃	-H
	FKS (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCH ₂ CH ₃	-H
	FKT (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCF ₃	-H
	FKU (a, b, and c)	-2-(3-CF ₃ -pyridyl)	- <i>tert</i> -butyl	-H
	FKV (a, b, and c)	-2-(3-CF ₃ -pyridyl)	- <i>iso</i> -propyl	-H
	FKW (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CH ₃	-CH ₃
10	FKX (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-H
	FKY (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-Cl
	FKZ (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-Br
	FLA (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-F
	FLB (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-CH ₃
15	FLC (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-CF ₃
	FLD (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₃
	FLE (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₂ CH ₃
	FLF (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCF ₃
	FLG (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	- <i>tert</i> -butyl
20	FLH (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	- <i>iso</i> -propyl
	FLI (a, b, and c)	-4-(5-chloropyrimidinyl)	-Cl	-H
	FLJ (a, b, and c)	-4-(5-chloropyrimidinyl)	-Br	-H
	FLK (a, b, and c)	-4-(5-chloropyrimidinyl)	-F	-H
	FLL (a, b, and c)	-4-(5-chloropyrimidinyl)	-CH ₃	-H
25	FLM (a, b, and c)	-4-(5-chloropyrimidinyl)	-CF ₃	-H
	FLN (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCH ₃	-H
	FLO (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCH ₂ CH ₃	-H
	FLP (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCF ₃	-H
	FLQ (a, b, and c)	-4-(5-chloropyrimidinyl)	- <i>tert</i> -butyl	-H

	FLR (a, b, and c)	-4-(5-chloropyrimidinyl)	- <i>iso</i> -propyl	-H
	FLS (a, b, and c)	-4-(5-chloropyrimidinyl)	-CH ₃	-CH ₃
	FLT (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-H
	FLU (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-Cl
5	FLV (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-Br
	FLW (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-F
	FLX (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-CH ₃
	FLY (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-CF ₃
	FLZ (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCH ₃
10	FMA (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCH ₂ CH ₃
	FMB (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCF ₃
	FMC (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	- <i>tert</i> -butyl
	FMD (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	- <i>iso</i> -propyl
	FME (a, b, and c)	-4-(5-methylpyrimidinyl)	-Cl	-H
15	FMF (a, b, and c)	-4-(5-methylpyrimidinyl)	-Br	-H
	FMG (a, b, and c)	-4-(5-methylpyrimidinyl)	-F	-H
	FMH (a, b, and c)	-4-(5-methylpyrimidinyl)	-CH ₃	-H
	FMI (a, b, and c)	-4-(5-methylpyrimidinyl)	-CF ₃	-H
	FMJ (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCH ₃	-H
20	FMK (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCH ₂ CH ₃	-H
	FML (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCF ₃	-H
	FMM (a, b, and c)	-4-(5-methylpyrimidinyl)	- <i>tert</i> -butyl	-H
	FMN (a, b, and c)	-4-(5-methylpyrimidinyl)	- <i>iso</i> -propyl	-H
	FMO (a, b, and c)	-4-(5-methylpyrimidinyl)	-CH ₃	-CH ₃
25	FMP (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-H
	FMQ (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-Cl
	FMR (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-Br
	FMS (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-F
	FMT (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-CH ₃

	FMU (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-CF ₃
	FMV (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCH ₃
	FMW (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCH ₂ CH ₃
	FMX (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCF ₃
5	FMY (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	- <i>tert</i> -butyl
	FMZ (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	- <i>iso</i> -propyl
	FNA (a, b, and c)	-2-pyrazinyl	-Cl	-H
	FNB (a, b, and c)	-2-pyrazinyl	-Br	-H
	FNC (a, b, and c)	-2-pyrazinyl	-F	-H
10	FND (a, b, and c)	-2-pyrazinyl	-CH ₃	-H
	FNE (a, b, and c)	-2-pyrazinyl	-CF ₃	-H
	FNF (a, b, and c)	-2-pyrazinyl	-OCH ₃	-H
	FNG (a, b, and c)	-2-pyrazinyl	-OCH ₂ CH ₃	-H
	FNH (a, b, and c)	-2-pyrazinyl	-OCF ₃	-H
15	FNI (a, b, and c)	-2-pyrazinyl	- <i>tert</i> -butyl	-H
	FNJ (a, b, and c)	-2-pyrazinyl	- <i>iso</i> -propyl	-H
	FNK (a, b, and c)	-2-pyrazinyl	-CH ₃	-CH ₃
	FNL (a, b, and c)	-2-pyrazinyl	-H	-H
	FNM (a, b, and c)	-2-pyrazinyl	-H	-Cl
20	FNN (a, b, and c)	-2-pyrazinyl	-H	-Br
	FNO (a, b, and c)	-2-pyrazinyl	-H	-F
	FNP (a, b, and c)	-2-pyrazinyl	-H	-CH ₃
	FNQ (a, b, and c)	-2-pyrazinyl	-H	-CF ₃
	FNR (a, b, and c)	-2-pyrazinyl	-H	-OCH ₃
25	FNS (a, b, and c)	-2-pyrazinyl	-H	-OCH ₂ CH ₃
	FNT (a, b, and c)	-2-pyrazinyl	-H	-OCF ₃
	FNU (a, b, and c)	-2-pyrazinyl	-H	- <i>tert</i> -butyl
	FNV (a, b, and c)	-2-pyrazinyl	-H	- <i>iso</i> -propyl
	FNW (a, b, and c)	-2-(3-chloropyrazinyl)	-Cl	-H

	FNX (a, b, and c)	-2-(3-chloropyrazinyl)	-Br	-H
	FNY (a, b, and c)	-2-(3-chloropyrazinyl)	-F	-H
	FNZ (a, b, and c)	-2-(3-chloropyrazinyl)	-CH ₃	-H
	FOA (a, b, and c)	-2-(3-chloropyrazinyl)	-CF ₃	-H
5	FOB (a, b, and c)	-2-(3-chloropyrazinyl)	-OCH ₃	-H
	FOC (a, b, and c)	-2-(3-chloropyrazinyl)	-OCH ₂ CH ₃	-H
	FOD (a, b, and c)	-2-(3-chloropyrazinyl)	-OCF ₃	-H
	FOE (a, b, and c)	-2-(3-chloropyrazinyl)	- <i>tert</i> -butyl	-H
	FOF (a, b, and c)	-2-(3-chloropyrazinyl)	- <i>iso</i> -propyl	-H
10	FOG (a, b, and c)	-2-(3-chloropyrazinyl)	-CH ₃	-CH ₃
	FOH (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-H
	FOI (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-Cl
	FOJ (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-Br
	FOK (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-F
15	FOL (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-CH ₃
	FOM (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-CF ₃
	FON (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCH ₃
	FOO (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCH ₂ CH ₃
	FOP (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCF ₃
20	FOQ (a, b, and c)	-2-(3-chloropyrazinyl)	-H	- <i>tert</i> -butyl
	FOR (a, b, and c)	-2-(3-chloropyrazinyl)	-H	- <i>iso</i> -propyl
	FOS (a, b, and c)	-2-(3-methylpyrazinyl)	-Cl	-H
	FOT (a, b, and c)	-2-(3-methylpyrazinyl)	-Br	-H
	FOU (a, b, and c)	-2-(3-methylpyrazinyl)	-F	-H
25	FOV (a, b, and c)	-2-(3-methylpyrazinyl)	-CH ₃	-H
	FOW (a, b, and c)	-2-(3-methylpyrazinyl)	-CF ₃	-H
	FOX (a, b, and c)	-2-(3-methylpyrazinyl)	-OCH ₃	-H
	FOY (a, b, and c)	-2-(3-methylpyrazinyl)	-OCH ₂ CH ₃	-H
	FOZ (a, b, and c)	-2-(3-methylpyrazinyl)	-OCF ₃	-H

	FPA (a, b, and c)	-2-(3-methylpyrazinyl)	<i>tert</i> -butyl	-H
	FPB (a, b, and c)	-2-(3-methylpyrazinyl)	<i>iso</i> -propyl	-H
	FPC (a, b, and c)	-2-(3-methylpyrazinyl)	-CH ₃	-CH ₃
	FPD (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-H
5	FPE (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-Cl
	FPF (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-Br
	FPG (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-F
	FPH (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-CH ₃
	FPI (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-CF ₃
10	FPJ (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCH ₃
	FPK (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCH ₂ CH ₃
	FPL (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCF ₃
	FPM (a, b, and c)	-2-(3-methylpyrazinyl)	-H	<i>tert</i> -butyl
	FPN (a, b, and c)	-2-(3-methylpyrazinyl)	-H	<i>iso</i> -propyl
15	FPO (a, b, and c)	-2-pyridazinyl	-Cl	-H
	FPP (a, b, and c)	-2-pyridazinyl	-Br	-H
	FPQ (a, b, and c)	-2-pyridazinyl	-F	-H
	FPR (a, b, and c)	-2-pyridazinyl	-CH ₃	-H
	FPS (a, b, and c)	-2-pyridazinyl	-CF ₃	-H
20	FPT (a, b, and c)	-2-pyridazinyl	-OCH ₃	-H
	FPU (a, b, and c)	-2-pyridazinyl	-OCH ₂ CH ₃	-H
	FPV (a, b, and c)	-2-pyridazinyl	-OCF ₃	-H
	FPW (a, b, and c)	-2-pyridazinyl	<i>tert</i> -butyl	-H
	FPX (a, b, and c)	-2-pyridazinyl	<i>iso</i> -propyl	-H
25	FPY (a, b, and c)	-2-pyridazinyl	-CH ₃	-CH ₃
	FPZ (a, b, and c)	-2-pyridazinyl	-H	-H
	FQA (a, b, and c)	-2-pyridazinyl	-H	-Cl
	FQB (a, b, and c)	-2-pyridazinyl	-H	-Br
	FQC (a, b, and c)	-2-pyridazinyl	-H	-F

FQD (a, b, and c)	-2-pyridazinyl	-H	-CH ₃
FQE (a, b, and c)	-2-pyridazinyl	-H	-CF ₃
FQF (a, b, and c)	-2-pyridazinyl	-H	-OCH ₃
FQG (a, b, and c)	-2-pyridazinyl	-H	-OCH ₂ CH ₃
5 FQH (a, b, and c)	-2-pyridazinyl	-H	-OCF ₃
FQI (a, b, and c)	-2-pyridazinyl	-H	- <i>tert</i> -butyl
FQJ (a, b, and c)	-2-pyridazinyl	-H	- <i>iso</i> -propyl
FQK (a, b, and c)	-3-(4-chloropyridazinyl)	-Cl	-H
FQL (a, b, and c)	-3-(4-chloropyridazinyl)	-Br	-H
10 FQM (a, b, and c)	-3-(4-chloropyridazinyl)	-F	-H
FQN (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-H
FQO (a, b, and c)	-3-(4-chloropyridazinyl)	-CF ₃	-H
FQP (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₃	-H
FQQ (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
15 FQR (a, b, and c)	-3-(4-chloropyridazinyl)	-OCF ₃	-H
FQS (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
FQT (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
FQU (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
FQV (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-H
20 FQW (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Cl
FQX (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Br
FQY (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-F
FQZ (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CH ₃
FRA (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CF ₃
25 FRB (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₃
FRC (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
FRD (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCF ₃
FRE (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl
FRF (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl

	FRG (a, b, and c)	-3-(4-methylpyridazinyl)	-Cl	-H
	FRH (a, b, and c)	-3-(4-methylpyridazinyl)	-Br	-H
	FRI (a, b, and c)	-3-(4-methylpyridazinyl)	-F	-H
	FRJ (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-H
5	FRK (a, b, and c)	-3-(4-methylpyridazinyl)	-CF ₃	-H
	FRL (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₃	-H
	FRM (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
	FRN (a, b, and c)	-3-(4-methylpyridazinyl)	-OCF ₃	-H
	FRO (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
10	FRP (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
	FRQ (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
	FRR (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-H
	FRS (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Cl
	FRT (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Br
15	FRU (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-F
	FRV (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CH ₃
	FRW (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CF ₃
	FRX (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₃
	FRY (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
20	FRZ (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCF ₃
	FSA (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
	FSB (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
	FSC (a, b, and c)	-4-thiazanyl	-Cl	-H
	FSD (a, b, and c)	-4-thiazanyl	-Br	-H
25	FSE (a, b, and c)	-4-thiazanyl	-F	-H
	FSF (a, b, and c)	-4-thiazanyl	-CH ₃	-H
	FSG (a, b, and c)	-4-thiazanyl	-CF ₃	-H
	FSH (a, b, and c)	-4-thiazanyl	-OCH ₃	-H
	FSI (a, b, and c)	-4-thiazanyl	-OCH ₂ CH ₃	-H

	FSJ (a, b, and c)	-4-thiazanyl	-OCF ₃	-H
5	FSK (a, b, and c)	-4-thiazanyl	- <i>tert</i> -butyl	-H
	FSL (a, b, and c)	-4-thiazanyl	- <i>iso</i> -propyl	-H
	FSM (a, b, and c)	-4-thiazanyl	-CH ₃	-CH ₃
	FSN (a, b, and c)	-4-thiazanyl	-H	-H
	FSO (a, b, and c)	-4-thiazanyl	-H	-Cl
	FSP (a, b, and c)	-4-thiazanyl	-H	-Br
	FSQ (a, b, and c)	-4-thiazanyl	-H	-F
10	FSR (a, b, and c)	-4-thiazanyl	-H	-CH ₃
	FSS (a, b, and c)	-4-thiazanyl	-H	-CF ₃
	FST (a, b, and c)	-4-thiazanyl	-H	-OCH ₃
	FSU (a, b, and c)	-4-thiazanyl	-H	-OCH ₂ CH ₃
	FSV (a, b, and c)	-4-thiazanyl	-H	-OCF ₃
	FSW (a, b, and c)	-4-thiazanyl	-H	- <i>tert</i> -butyl
15	FSX (a, b, and c)	-4-thiazanyl	-H	- <i>iso</i> -propyl
	FSY (a, b, and c)	-5-(4-chlorothiazanyl)	-Cl	-H
	FSZ (a, b, and c)	-5-(4-chlorothiazanyl)	-Br	-H
	FTA (a, b, and c)	-5-(4-chlorothiazanyl)	-F	-H
	FTB (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-H
20	FTC (a, b, and c)	-5-(4-chlorothiazanyl)	-CF ₃	-H
	FTD (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₃	-H
	FTE (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
	FTF (a, b, and c)	-5-(4-chlorothiazanyl)	-OCF ₃	-H
	FTG (a, b, and c)	-5-(4-chlorothiazanyl)	- <i>tert</i> -butyl	-H
25	FTH (a, b, and c)	-5-(4-chlorothiazanyl)	- <i>iso</i> -propyl	-H
	FTI (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
	FTJ (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-H
	FTK (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Cl
	FTL (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Br

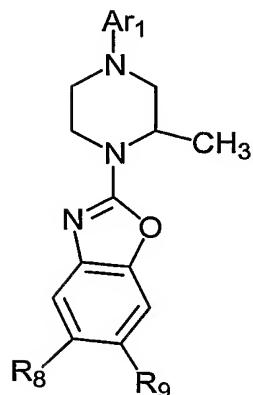
	FTM (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-F
	FTN (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CH ₃
5	FTO (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CF ₃
	FTP (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₃
	FTQ (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
	FTR (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCF ₃
	FTS (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
	FTT (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
10	FTU (a, b, and c)	-5-(4-methylthiazanyl)	-Cl	-H
	FTV (a, b, and c)	-5-(4-methylthiazanyl)	-Br	-H
	FTW (a, b, and c)	-5-(4-methylthiazanyl)	-F	-H
	FTX (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-H
	FTY (a, b, and c)	-5-(4-methylthiazanyl)	-CF ₃	-H
	FTZ (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₃	-H
15	FUA (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
	FUB (a, b, and c)	-5-(4-methylthiazanyl)	-OCF ₃	-H
	FUC (a, b, and c)	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
	FUD (a, b, and c)	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
	FUE (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
20	FUF (a, b, and c)	-5-(4-methylthiazanyl)	-H	-H
	FUG (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Cl
	FUH (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Br
	FUI (a, b, and c)	-5-(4-methylthiazanyl)	-H	-F
	FUJ (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CH ₃
25	FUK (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CF ₃
	FUL (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₃
	FUM (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
	FUN (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCF ₃
	FUO (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl

FUP (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl
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“a” means the Benzoazolylpiperazine Compound is racemic.

“b” means the carbon atom of the piperazine ring attached to the methyl group is in the R configuration.

5 “c” means the carbon atom of the piperazine ring attached to the methyl group is in the S configuration.

Table XVI

and pharmaceutically acceptable salts thereof, wherein:

Compound	Ar₁	R₈	R₉
FUQ (a, b, and c)	-2-(3-chloropyridyl)	-Cl	-H
FUR (a, b, and c)	-2-(3-chloropyridyl)	-Br	-H
FUS (a, b, and c)	-2-(3-chloropyridyl)	-F	-H
FUT (a, b, and c)	-2-(3-chloropyridyl)	-CH ₃	-H
FUU (a, b, and c)	-2-(3-chloropyridyl)	-CF ₃	-H
FUV (a, b, and c)	-2-(3-chloropyridyl)	-OCH ₃	-H
FUW (a, b, and c)	-2-(3-chloropyridyl)	-OCH ₂ CH ₃	-H
FUX (a, b, and c)	-2-(3-chloropyridyl)	-OCF ₃	-H
FUY (a, b, and c)	-2-(3-chloropyridyl)	- <i>tert</i> -butyl	-H
FUZ (a, b, and c)	-2-(3-chloropyridyl)	- <i>iso</i> -propyl	-H
FVA (a, b, and c)	-2-(3-chloropyridyl)	-CH ₃	-CH ₃
FVB (a, b, and c)	-2-(3-chloropyridyl)	-H	-H
FVC (a, b, and c)	-2-(3-chloropyridyl)	-H	-Cl
FVD (a, b, and c)	-2-(3-chloropyridyl)	-H	-Br
FVE (a, b, and c)	-2-(3-chloropyridyl)	-H	-F
FVF (a, b, and c)	-2-(3-chloropyridyl)	-H	-CH ₃
FVG (a, b, and c)	-2-(3-chloropyridyl)	-H	-CF ₃
FVH (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCH ₃

	FVI (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCH ₂ CH ₃
	FVJ (a, b, and c)	-2-(3-chloropyridyl)	-H	-OCF ₃
	FVK (a, b, and c)	-2-(3-chloropyridyl)	-H	- <i>tert</i> -butyl
	FVL (a, b, and c)	-2-(3-chloropyridyl)	-H	- <i>iso</i> -propyl
5	FVM (a, b, and c)	-2-(3-methylpyridyl)	-Cl	-H
	FVN (a, b, and c)	-2-(3-methylpyridyl)	-Br	-H
	FVO (a, b, and c)	-2-(3-methylpyridyl)	-F	-H
	FVP (a, b, and c)	-2-(3-methylpyridyl)	-CH ₃	-H
	FVQ (a, b, and c)	-2-(3-methylpyridyl)	-CF ₃	-H
10	FVR (a, b, and c)	-2-(3-methylpyridyl)	-OCH ₃	-H
	FVS (a, b, and c)	-2-(3-methylpyridyl)	-OCH ₂ CH ₃	-H
	FVT (a, b, and c)	-2-(3-methylpyridyl)	-OCF ₃	-H
	FVU (a, b, and c)	-2-(3-methylpyridyl)	- <i>tert</i> -butyl	-H
	FVV (a, b, and c)	-2-(3-methylpyridyl)	- <i>iso</i> -propyl	-H
15	FVW (a, b, and c)	-2-(3-methylpyridyl)	-CH ₃	-CH ₃
	FVX (a, b, and c)	-2-(3-methylpyridyl)	-H	-H
	FVY (a, b, and c)	-2-(3-methylpyridyl)	-H	-Cl
	FVZ (a, b, and c)	-2-(3-methylpyridyl)	-H	-Br
	FWA (a, b, and c)	-2-(3-methylpyridyl)	-H	-F
20	FWB (a, b, and c)	-2-(3-methylpyridyl)	-H	-CH ₃
	FWC (a, b, and c)	-2-(3-methylpyridyl)	-H	-CF ₃
	FWD (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCH ₃
	FWE (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCH ₂ CH ₃
	FWF (a, b, and c)	-2-(3-methylpyridyl)	-H	-OCF ₃
25	FWG (a, b, and c)	-2-(3-methylpyridyl)	-H	- <i>tert</i> -butyl
	FWH (a, b, and c)	-2-(3-methylpyridyl)	-H	- <i>iso</i> -propyl
	FWI (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-Cl	-H
	FWJ (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-Br	-H
	FWK (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-F	-H

	FWL (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CH ₃	-H
	FWM (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CF ₃	-H
	FWN (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCH ₃	-H
	FWO (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCH ₂ CH ₃	-H
5	FWP (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-OCF ₃	-H
	FWQ (a, b, and c)	-2-(3-CF ₃ -pyridyl)	- <i>tert</i> -butyl	-H
	FWR (a, b, and c)	-2-(3-CF ₃ -pyridyl)	- <i>iso</i> -propyl	-H
	FWS (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-CH ₃	-CH ₃
	FWT (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-H
10	FWU (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-Cl
	FWV (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-Br
	FWW (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-F
	FWX (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-CH ₃
	FWY (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-CF ₃
15	FWZ (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₃
	FXA (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCH ₂ CH ₃
	FXB (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	-OCF ₃
	FXC (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	- <i>tert</i> -butyl
	FXD (a, b, and c)	-2-(3-CF ₃ -pyridyl)	-H	- <i>iso</i> -propyl
20	FXE (a, b, and c)	-4-(5-chloropyrimidinyl)	-Cl	-H
	FXF (a, b, and c)	-4-(5-chloropyrimidinyl)	-Br	-H
	FXG (a, b, and c)	-4-(5-chloropyrimidinyl)	-F	-H
	FXH (a, b, and c)	-4-(5-chloropyrimidinyl)	-CH ₃	-H
	FXI (a, b, and c)	-4-(5-chloropyrimidinyl)	-CF ₃	-H
25	FXJ (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCH ₃	-H
	FXK (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCH ₂ CH ₃	-H
	FXL (a, b, and c)	-4-(5-chloropyrimidinyl)	-OCF ₃	-H
	FXM (a, b, and c)	-4-(5-chloropyrimidinyl)	- <i>tert</i> -butyl	-H
	FXN (a, b, and c)	-4-(5-chloropyrimidinyl)	- <i>iso</i> -propyl	-H

	FXO (a, b, and c)	-4-(5-chloropyrimidinyl)	-CH ₃	-CH ₃
	FXP (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-H
	FXQ (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-Cl
	FXR (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-Br
5	FXS (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-F
	FXT (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-CH ₃
	FXU (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-CF ₃
	FXV (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCH ₃
	FXW (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCH ₂ CH ₃
10	FXX (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	-OCF ₃
	FXY (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	- <i>tert</i> -butyl
	FXZ (a, b, and c)	-4-(5-chloropyrimidinyl)	-H	- <i>iso</i> -propyl
	FYA (a, b, and c)	-4-(5-methylpyrimidinyl)	-Cl	-H
	FYB (a, b, and c)	-4-(5-methylpyrimidinyl)	-Br	-H
15	FYC (a, b, and c)	-4-(5-methylpyrimidinyl)	-F	-H
	FYD (a, b, and c)	-4-(5-methylpyrimidinyl)	-CH ₃	-H
	FYE (a, b, and c)	-4-(5-methylpyrimidinyl)	-CF ₃	-H
	FYF (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCH ₃	-H
	FYG (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCH ₂ CH ₃	-H
20	FYH (a, b, and c)	-4-(5-methylpyrimidinyl)	-OCF ₃	-H
	FYI (a, b, and c)	-4-(5-methylpyrimidinyl)	- <i>tert</i> -butyl	-H
	FYJ (a, b, and c)	-4-(5-methylpyrimidinyl)	- <i>iso</i> -propyl	-H
	FYK (a, b, and c)	-4-(5-methylpyrimidinyl)	-CH ₃	-CH ₃
	FYL (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-H
25	FYM (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-Cl
	FYN (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-Br
	FYO (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-F
	FYP (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-CH ₃
	FYQ (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-CF ₃

	FYR (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCH ₃
5	FYS (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCH ₂ CH ₃
	FYT (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	-OCF ₃
	FYU (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	- <i>tert</i> -butyl
	FYV (a, b, and c)	-4-(5-methylpyrimidinyl)	-H	- <i>iso</i> -propyl
	FYW (a, b, and c)	-2-pyrazinyl	-Cl	-H
	FYX (a, b, and c)	-2-pyrazinyl	-Br	-H
	FYY (a, b, and c)	-2-pyrazinyl	-F	-H
	FYZ (a, b, and c)	-2-pyrazinyl	-CH ₃	-H
10	FZA (a, b, and c)	-2-pyrazinyl	-CF ₃	-H
	FZB (a, b, and c)	-2-pyrazinyl	-OCH ₃	-H
	FZC (a, b, and c)	-2-pyrazinyl	-OCH ₂ CH ₃	-H
	FZD (a, b, and c)	-2-pyrazinyl	-OCF ₃	-H
	FZE (a, b, and c)	-2-pyrazinyl	- <i>tert</i> -butyl	-H
15	FZF (a, b, and c)	-2-pyrazinyl	- <i>iso</i> -propyl	-H
	FZG (a, b, and c)	-2-pyrazinyl	-CH ₃	-CH ₃
	FZH (a, b, and c)	-2-pyrazinyl	-H	-H
	FZI (a, b, and c)	-2-pyrazinyl	-H	-Cl
	FZJ (a, b, and c)	-2-pyrazinyl	-H	-Br
20	FZK (a, b, and c)	-2-pyrazinyl	-H	-F
	FZL (a, b, and c)	-2-pyrazinyl	-H	-CH ₃
	FZM (a, b, and c)	-2-pyrazinyl	-H	-CF ₃
	FZN (a, b, and c)	-2-pyrazinyl	-H	-OCH ₃
	FZO (a, b, and c)	-2-pyrazinyl	-H	-OCH ₂ CH ₃
25	FZP (a, b, and c)	-2-pyrazinyl	-H	-OCF ₃
	FZQ (a, b, and c)	-2-pyrazinyl	-H	- <i>tert</i> -butyl
	FZR (a, b, and c)	-2-pyrazinyl	-H	- <i>iso</i> -propyl
	FZS (a, b, and c)	-2-(3-chloropyrazinyl)	-Cl	-H
	FZT (a, b, and c)	-2-(3-chloropyrazinyl)	-Br	-H

	FZU (a, b, and c)	-2-(3-chloropyrazinyl)	-F	-H
	FZV (a, b, and c)	-2-(3-chloropyrazinyl)	-CH ₃	-H
	FZW (a, b, and c)	-2-(3-chloropyrazinyl)	-CF ₃	-H
	FZX (a, b, and c)	-2-(3-chloropyrazinyl)	-OCH ₃	-H
5	FZY (a, b, and c)	-2-(3-chloropyrazinyl)	-OCH ₂ CH ₃	-H
	FZZ (a, b, and c)	-2-(3-chloropyrazinyl)	-OCF ₃	-H
	GAA (a, b, and c)	-2-(3-chloropyrazinyl)	- <i>tert</i> -butyl	-H
	GAB (a, b, and c)	-2-(3-chloropyrazinyl)	- <i>iso</i> -propyl	-H
	GAC (a, b, and c)	-2-(3-chloropyrazinyl)	-CH ₃	-CH ₃
10	GAD (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-H
	GAE (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-Cl
	GAF (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-Br
	GAG (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-F
	GAH (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-CH ₃
15	GAI (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-CF ₃
	GAJ (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCH ₃
	GAK (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCH ₂ CH ₃
	GAL (a, b, and c)	-2-(3-chloropyrazinyl)	-H	-OCF ₃
	GAM (a, b, and c)	-2-(3-chloropyrazinyl)	-H	- <i>tert</i> -butyl
20	GAN (a, b, and c)	-2-(3-chloropyrazinyl)	-H	- <i>iso</i> -propyl
	GAO (a, b, and c)	-2-(3-methylpyrazinyl)	-Cl	-H
	GAP (a, b, and c)	-2-(3-methylpyrazinyl)	-Br	-H
	GAQ (a, b, and c)	-2-(3-methylpyrazinyl)	-F	-H
	GAR (a, b, and c)	-2-(3-methylpyrazinyl)	-CH ₃	-H
25	GAS (a, b, and c)	-2-(3-methylpyrazinyl)	-CF ₃	-H
	GAT (a, b, and c)	-2-(3-methylpyrazinyl)	-OCH ₃	-H
	GAU (a, b, and c)	-2-(3-methylpyrazinyl)	-OCH ₂ CH ₃	-H
	GAV (a, b, and c)	-2-(3-methylpyrazinyl)	-OCF ₃	-H
	GAW (a, b, and c)	-2-(3-methylpyrazinyl)	- <i>tert</i> -butyl	-H

GAX (a, b, and c)	-2-(3-methylpyrazinyl)	- <i>iso</i> -propyl	-H
GAY (a, b, and c)	-2-(3-methylpyrazinyl)	-CH ₃	-CH ₃
GAZ (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-H
GBA (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-Cl
5 GBB (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-Br
GBC (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-F
GBD (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-CH ₃
GBE (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-CF ₃
GBF (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCH ₃
10 GBG (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCH ₂ CH ₃
GBH (a, b, and c)	-2-(3-methylpyrazinyl)	-H	-OCF ₃
GBI (a, b, and c)	-2-(3-methylpyrazinyl)	-H	- <i>tert</i> -butyl
GBJ (a, b, and c)	-2-(3-methylpyrazinyl)	-H	- <i>iso</i> -propyl
GBK (a, b, and c)	-2-pyridazinyl	-Cl	-H
15 GBL (a, b, and c)	-2-pyridazinyl	-Br	-H
GBM (a, b, and c)	-2-pyridazinyl	-F	-H
GBN (a, b, and c)	-2-pyridazinyl	-CH ₃	-H
GBO (a, b, and c)	-2-pyridazinyl	-CF ₃	-H
GBP (a, b, and c)	-2-pyridazinyl	-OCH ₃	-H
20 GBQ (a, b, and c)	-2-pyridazinyl	-OCH ₂ CH ₃	-H
GBR (a, b, and c)	-2-pyridazinyl	-OCF ₃	-H
GBS (a, b, and c)	-2-pyridazinyl	- <i>tert</i> -butyl	-H
GBT (a, b, and c)	-2-pyridazinyl	- <i>iso</i> -propyl	-H
25 GBU (a, b, and c)	-2-pyridazinyl	-CH ₃	-CH ₃
GBV (a, b, and c)	-2-pyridazinyl	-H	-H
GBW (a, b, and c)	-2-pyridazinyl	-H	-Cl
GBX (a, b, and c)	-2-pyridazinyl	-H	-Br
GBY (a, b, and c)	-2-pyridazinyl	-H	-F
25 GBZ (a, b, and c)	-2-pyridazinyl	-H	-CH ₃

	GCA (a, b, and c)	-2-pyridazinyl	-H	-CF ₃
	GCB (a, b, and c)	-2-pyridazinyl	-H	-OCH ₃
	GCC (a, b, and c)	-2-pyridazinyl	-H	-OCH ₂ CH ₃
	GCD (a, b, and c)	-2-pyridazinyl	-H	-OCF ₃
5	GCE (a, b, and c)	-2-pyridazinyl	-H	- <i>tert</i> -butyl
	GCF (a, b, and c)	-2-pyridazinyl	-H	- <i>iso</i> -propyl
	GCG (a, b, and c)	-3-(4-chloropyridazinyl)	-Cl	-H
	GCH (a, b, and c)	-3-(4-chloropyridazinyl)	-Br	-H
	GCI (a, b, and c)	-3-(4-chloropyridazinyl)	-F	-H
10	GCJ (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-H
	GCK (a, b, and c)	-3-(4-chloropyridazinyl)	-CF ₃	-H
	GCL (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₃	-H
	GCM (a, b, and c)	-3-(4-chloropyridazinyl)	-OCH ₂ CH ₃	-H
	GCN (a, b, and c)	-3-(4-chloropyridazinyl)	-OCF ₃	-H
15	GCO (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>tert</i> -butyl	-H
	GCP (a, b, and c)	-3-(4-chloropyridazinyl)	- <i>iso</i> -propyl	-H
	GCQ (a, b, and c)	-3-(4-chloropyridazinyl)	-CH ₃	-CH ₃
	GCR (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-H
	GCS (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Cl
20	GCT (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-Br
	GCU (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-F
	GCV (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CH ₃
	GCW (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-CF ₃
	GCX (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₃
25	GCY (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCH ₂ CH ₃
	GCZ (a, b, and c)	-3-(4-chloropyridazinyl)	-H	-OCF ₃
	GDA (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>tert</i> -butyl
	GDB (a, b, and c)	-3-(4-chloropyridazinyl)	-H	- <i>iso</i> -propyl
	GDC (a, b, and c)	-3-(4-methylpyridazinyl)	-Cl	-H

	GDD (a, b, and c)	-3-(4-methylpyridazinyl)	-Br	-H
	GDE (a, b, and c)	-3-(4-methylpyridazinyl)	-F	-H
	GDF (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-H
	GDG (a, b, and c)	-3-(4-methylpyridazinyl)	-CF ₃	-H
5	GDH (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₃	-H
	GDI (a, b, and c)	-3-(4-methylpyridazinyl)	-OCH ₂ CH ₃	-H
	GDJ (a, b, and c)	-3-(4-methylpyridazinyl)	-OCF ₃	-H
	GDK (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>tert</i> -butyl	-H
10	SDL (a, b, and c)	-3-(4-methylpyridazinyl)	- <i>iso</i> -propyl	-H
	GDM (a, b, and c)	-3-(4-methylpyridazinyl)	-CH ₃	-CH ₃
	GDN (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-H
	GDO (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Cl
	GDP (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-Br
	GDQ (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-F
15	GDR (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CH ₃
	GDS (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-CF ₃
	GDT (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₃
	GDU (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCH ₂ CH ₃
	GDV (a, b, and c)	-3-(4-methylpyridazinyl)	-H	-OCF ₃
20	GDW (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>tert</i> -butyl
	GDX (a, b, and c)	-3-(4-methylpyridazinyl)	-H	- <i>iso</i> -propyl
	GDY (a, b, and c)	-4-thiazanyl	-Cl	-H
	GDZ (a, b, and c)	-4-thiazanyl	-Br	-H
	GEA (a, b, and c)	-4-thiazanyl	-F	-H
25	GEB (a, b, and c)	-4-thiazanyl	-CH ₃	-H
	GEC (a, b, and c)	-4-thiazanyl	-CF ₃	-H
	GED (a, b, and c)	-4-thiazanyl	-OCH ₃	-H
	GEE (a, b, and c)	-4-thiazanyl	-OCH ₂ CH ₃	-H
	GEF (a, b, and c)	-4-thiazanyl	-OCF ₃	-H

	GEG (a, b, and c)	-4-thiazanyl	<i>tert</i> -butyl	-H
	GEH (a, b, and c)	-4-thiazanyl	<i>iso</i> -propyl	-H
	GEI (a, b, and c)	-4-thiazanyl	-CH ₃	-CH ₃
	GEJ (a, b, and c)	-4-thiazanyl	-H	-H
5	GEK (a, b, and c)	-4-thiazanyl	-H	-Cl
	GEL (a, b, and c)	-4-thiazanyl	-H	-Br
	GEM (a, b, and c)	-4-thiazanyl	-H	-F
	GEN (a, b, and c)	-4-thiazanyl	-H	-CH ₃
	GEO (a, b, and c)	-4-thiazanyl	-H	-CF ₃
10	GEP (a, b, and c)	-4-thiazanyl	-H	-OCH ₃
	GEQ (a, b, and c)	-4-thiazanyl	-H	-OCH ₂ CH ₃
	GER (a, b, and c)	-4-thiazanyl	-H	-OCF ₃
	GES (a, b, and c)	-4-thiazanyl	-H	<i>tert</i> -butyl
	GET (a, b, and c)	-4-thiazanyl	-H	<i>iso</i> -propyl
15	GEU (a, b, and c)	-5-(4-chlorothiazanyl)	-Cl	-H
	GEV (a, b, and c)	-5-(4-chlorothiazanyl)	-Br	-H
	GEW (a, b, and c)	-5-(4-chlorothiazanyl)	-F	-H
	GEX (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-H
	GEY (a, b, and c)	-5-(4-chlorothiazanyl)	-CF ₃	-H
20	GEZ (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₃	-H
	GFA (a, b, and c)	-5-(4-chlorothiazanyl)	-OCH ₂ CH ₃	-H
	GFB (a, b, and c)	-5-(4-chlorothiazanyl)	-OCF ₃	-H
	GFC (a, b, and c)	-5-(4-chlorothiazanyl)	<i>tert</i> -butyl	-H
	GFD (a, b, and c)	-5-(4-chlorothiazanyl)	<i>iso</i> -propyl	-H
25	GFE (a, b, and c)	-5-(4-chlorothiazanyl)	-CH ₃	-CH ₃
	GFF (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-H
	GFG (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Cl
	GFH (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-Br
	GFI (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-F

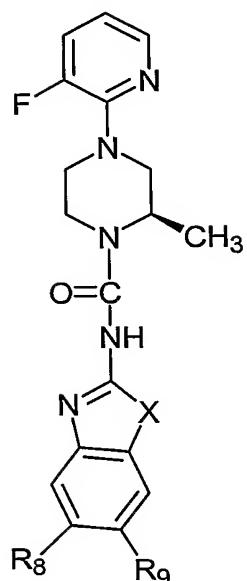
	GFJ (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CH ₃
	GFK (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-CF ₃
	GFL (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₃
	GFM (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCH ₂ CH ₃
5	GFN (a, b, and c)	-5-(4-chlorothiazanyl)	-H	-OCF ₃
	GFO (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>tert</i> -butyl
	GFP (a, b, and c)	-5-(4-chlorothiazanyl)	-H	- <i>iso</i> -propyl
	GFQ (a, b, and c)	-5-(4-methylthiazanyl)	-Cl	-H
	GFR (a, b, and c)	-5-(4-methylthiazanyl)	-Br	-H
	GFS (a, b, and c)	-5-(4-methylthiazanyl)	-F	-H
	GFT (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-H
10	GFU (a, b, and c)	-5-(4-methylthiazanyl)	-CF ₃	-H
	GFV (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₃	-H
	GFW (a, b, and c)	-5-(4-methylthiazanyl)	-OCH ₂ CH ₃	-H
	GFX (a, b, and c)	-5-(4-methylthiazanyl)	-OCF ₃	-H
	GFY (a, b, and c)	-5-(4-methylthiazanyl)	- <i>tert</i> -butyl	-H
	GFZ (a, b, and c)	-5-(4-methylthiazanyl)	- <i>iso</i> -propyl	-H
	GGA (a, b, and c)	-5-(4-methylthiazanyl)	-CH ₃	-CH ₃
15	GGB (a, b, and c)	-5-(4-methylthiazanyl)	-H	-H
	GGC (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Cl
	GGD (a, b, and c)	-5-(4-methylthiazanyl)	-H	-Br
	GGE (a, b, and c)	-5-(4-methylthiazanyl)	-H	-F
	GGF (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CH ₃
	GGG (a, b, and c)	-5-(4-methylthiazanyl)	-H	-CF ₃
	GGH (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₃
20	GGI (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCH ₂ CH ₃
	GGJ (a, b, and c)	-5-(4-methylthiazanyl)	-H	-OCF ₃
	GGK (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>tert</i> -butyl
	GGL (a, b, and c)	-5-(4-methylthiazanyl)	-H	- <i>iso</i> -propyl

“a” means the Benzoazolylpiperazine Compound is racemic.

“b” means the carbon atom of the piperazine ring attached to the methyl group is in the R configuration.

“c” means the carbon atom of the piperazine ring attached to the methyl group 5 is in the S configuration.

Table XIX



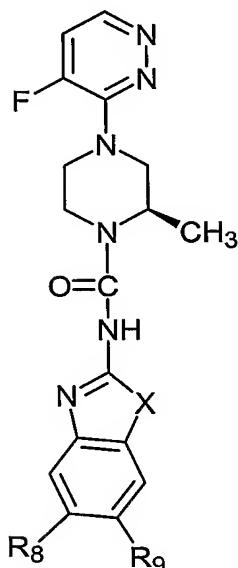
and pharmaceutically acceptable salts thereof, wherein:

<u>Compound</u>	<u>X</u>	<u>R₈</u>	<u>R₉</u>
GGM	S	-Cl	-H
GGN	S	-Br	-H
GOO	S	-F	-H
GGP	S	-CH ₃	-H
GGQ	S	-CF ₃	-H
GGR	S	-OCH ₃	-H
GGS	S	-OCH ₂ CH ₃	-H
GGT	S	-OCF ₃	-H
GGU	S	- <i>tert</i> -butyl	-H
GGV	S	- <i>iso</i> -propyl	-H
GGW	S	-CH ₃	-CH ₃
GGX	S	-H	-H
GGY	S	-H	-Cl
GGZ	S	-H	-Br
GHA	S	-H	-F

	GHB	S	-H	-CH ₃
	GHC	S	-H	-CF ₃
	GHD	S	-H	-OCH ₃
	GHE	S	-H	-OCH ₂ CH ₃
5	GHF	S	-H	-OCF ₃
	GHG	S	-H	- <i>tert</i> -butyl
	GHH	S	-H	- <i>iso</i> -propyl
	GHI	O	-Cl	-H
	GHJ	O	-Br	-H
10	GHK	O	-F	-H
	GHL	O	-CH ₃	-H
	GHM	O	-CF ₃	-H
	GHN	O	-OCH ₃	-H
	GHO	O	-OCH ₂ CH ₃	-H
15	GHP	O	-OCF ₃	-H
	GHQ	O	- <i>tert</i> -butyl	-H
	GHR	O	- <i>iso</i> -propyl	-H
	GHS	O	-CH ₃	-CH ₃
	GHT	O	-H	-H
20	GHU	O	-H	-Cl
	GHV	O	-H	-Br
	GHW	O	-H	-F
	GHX	O	-H	-CH ₃
	GHY	O	-H	-CF ₃
25	GHZ	O	-H	-OCH ₃
	GIA	O	-H	-OCH ₂ CH ₃
	GIB	O	-H	-OCF ₃
	GIC	O	-H	- <i>tert</i> -butyl
	GID	O	-H	- <i>iso</i> -propyl

	GIE	N	-Cl	-H
	GIF	N	-Br	-H
	GIG	N	-F	-H
	GIH	N	-CH ₃	-H
5	GII	N	-CF ₃	-H
	GIJ	N	-OCH ₃	-H
	GIK	N	-OCH ₂ CH ₃	-H
	GIL	N	-OCF ₃	-H
	GIM	N	- <i>tert</i> -butyl	-H
10	GIN	N	- <i>iso</i> -propyl	-H
	GIO	N	-CH ₃	-CH ₃
	GIP	N	-H	-H
	GIQ	N	-H	-Cl
	GIR	N	-H	-Br
15	GIS	N	-H	-F
	GIT	N	-H	-CH ₃
	GIU	N	-H	-CF ₃
	GIV	N	-H	-OCH ₃
	GIW	N	-H	-OCH ₂ CH ₃
20	GIX	N	-H	-OCF ₃
	GIY	N	-H	- <i>tert</i> -butyl
	GIZ	N	-H	- <i>iso</i> -propyl

Table XX



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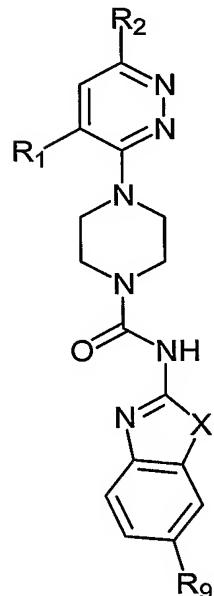
20

and pharmaceutically acceptable salts thereof, wherein:

<u>Compound</u>	<u>X</u>	<u>R</u> ₈	<u>R</u> ₉
GJA	S	-Cl	-H
GJB	S	-Br	-H
GJC	S	-F	-H
GJD	S	-CH ₃	-H
GJE	S	-CF ₃	-H
GJF	S	-OCH ₃	-H
GJG	S	-OCH ₂ CH ₃	-H
GJH	S	-OCF ₃	-H
GJI	S	- <i>tert</i> -butyl	-H
GJJ	S	- <i>iso</i> -propyl	-H
GJK	S	-CH ₃	-CH ₃
GJL	S	-H	-H
GJM	S	-H	-Cl
GJN	S	-H	-Br
GJO	S	-H	-F

	GJP	S	-H	-CH ₃
	GJQ	S	-H	-CF ₃
	GJR	S	-H	-OCH ₃
	GJS	S	-H	-OCH ₂ CH ₃
5	GJT	S	-H	-OCF ₃
	GJU	S	-H	- <i>tert</i> -butyl
	GJV	S	-H	- <i>iso</i> -propyl
	GJW	O	-Cl	-H
	GJX	O	-Br	-H
10	GJY	O	-F	-H
	GJZ	O	-CH ₃	-H
	GKA	O	-CF ₃	-H
	GKB	O	-OCH ₃	-H
	GKC	O	-OCH ₂ CH ₃	-H
15	GKD	O	-OCF ₃	-H
	GKE	O	- <i>tert</i> -butyl	-H
	GKF	O	- <i>iso</i> -propyl	-H
	GKG	O	-CH ₃	-CH ₃
	GKH	O	-H	-H
20	GKI	O	-H	-Cl
	GKJ	O	-H	-Br
	GKK	O	-H	-F
	GKL	O	-H	-CH ₃
	GKM	O	-H	-CF ₃
25	GKN	O	-H	-OCH ₃
	GKO	O	-H	-OCH ₂ CH ₃
	GKP	O	-H	-OCF ₃
	GKQ	O	-H	- <i>tert</i> -butyl
	GKR	O	-H	- <i>iso</i> -propyl

	GKS	N	-Cl	-H
	GKT	N	-Br	-H
	GKU	N	-F	-H
	GKV	N	-CH ₃	-H
5	GKW	N	-CF ₃	-H
	GKX	N	-OCH ₃	-H
	GKY	N	-OCH ₂ CH ₃	-H
	GKZ	N	-OCF ₃	-H
	GLA	N	- <i>tert</i> -butyl	-H
10	GLB	N	- <i>iso</i> -propyl	-H
	GLC	N	-CH ₃	-CH ₃
	GLD	N	-H	-H
	GLE	N	-H	-Cl
	GLF	N	-H	-Br
15	GLG	N	-H	-F
	GLH	N	-H	-CH ₃
	GLI	N	-H	-CF ₃
	GLJ	N	-H	-OCH ₃
	GLK	N	-H	-OCH ₂ CH ₃
20	GLL	N	-H	-OCF ₃
	GLM	N	-H	- <i>tert</i> -butyl
	GLN	N	-H	- <i>iso</i> -propyl

Table XXI

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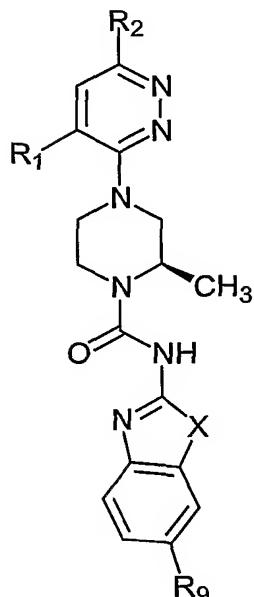
and pharmaceutically acceptable salts thereof, wherein:

Compound	X	R₁	R₂	R₉
GLO	S	-CH ₃	-Cl	-F
GLP	S	-CH ₃	-Cl	-Cl
GLQ	S	-CH ₃	-Cl	-CH ₃
GLR	S	-CH ₃	-F	-F
GLS	S	-CH ₃	-F	-Cl
GLT	S	-CH ₃	-F	-CH ₃
GLU	S	-CF ₃	-Cl	-F
GLV	S	-CF ₃	-Cl	-Cl
GLW	S	-CF ₃	-Cl	-CH ₃
GLX	S	-CF ₃	-F	-F
GLY	S	-CF ₃	-F	-Cl
GLZ	S	-CF ₃	-F	-CH ₃
GMA	S	-Cl	-Cl	-F
GMB	S	-Cl	-Cl	-Cl

	GMC	S	-Cl	-Cl	-CH ₃
	GMD	S	-Cl	-F	-F
	GME	S	-Cl	-F	-Cl
	GMF	S	-Cl	-F	-CH ₃
5	GMG	NH	-CH ₃	-Cl	-F
	GMH	NH	-CH ₃	-Cl	-Cl
	GMI	NH	-CH ₃	-Cl	-CH ₃
	GMJ	NH	-CH ₃	-F	-F
	GMK	NH	-CH ₃	-F	-Cl
10	GML	NH	-CH ₃	-F	-CH ₃
	GMM	NH	-CF ₃	-Cl	-F
	GMN	NH	-CF ₃	-Cl	-Cl
	GMO	NH	-CF ₃	-Cl	-CH ₃
	GMP	NH	-CF ₃	-F	-F
15	GMQ	NH	-CF ₃	-F	-Cl
	GMR	NH	-CF ₃	-F	-CH ₃
	GMS	NH	-Cl	-Cl	-F
	GMT	NH	-Cl	-Cl	-Cl
	GMU	NH	-Cl	-Cl	-CH ₃
20	GMV	NH	-Cl	-F	-F
	GMW	NH	-Cl	-F	-Cl
	GMX	NH	-Cl	-F	-CH ₃
	GMY	O	-CH ₃	-Cl	-F
	GMZ	O	-CH ₃	-Cl	-Cl
25	GNA	O	-CH ₃	-Cl	-CH ₃
	GNB	O	-CH ₃	-F	-F
	GNC	O	-CH ₃	-F	-Cl
	GND	O	-CH ₃	-F	-CH ₃
	GNE	O	-CF ₃	-Cl	-F

	GNF	O	-CF ₃	-Cl	-Cl
	GNG	O	-CF ₃	-Cl	-CH ₃
	GNH	O	-CF ₃	-F	-F
	GAN	O	-CF ₃	-F	-Cl
5	GNJ	O	-CF ₃	-F	-CH ₃
	GNK	O	-Cl	-Cl	-F
	GNL	O	-Cl	-Cl	-Cl
	GNM	O	-Cl	-Cl	-CH ₃
	GNN	O	-Cl	-F	-F
10	GNO	O	-Cl	-F	-Cl
	GNP	O	-Cl	-F	-CH ₃

Table XXII



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20

and pharmaceutically acceptable salts thereof, wherein:

<u>Compound</u>	<u>X</u>	<u>R₁</u>	<u>R₂</u>	<u>R₉</u>
GNQ	S	-CH ₃	-Cl	-F
GNR	S	-CH ₃	-Cl	-Cl
GNS	S	-CH ₃	-Cl	-CH ₃
GNT	S	-CH ₃	-F	-F
GNU	S	-CH ₃	-F	-Cl
GNV	S	-CH ₃	-F	-CH ₃
GNW	S	-CF ₃	-Cl	-F
GNX	S	-CF ₃	-Cl	-Cl
GNY	S	-CF ₃	-Cl	-CH ₃
GNZ	S	-CF ₃	-F	-F
GOA	S	-CF ₃	-F	-Cl
GOB	S	-CF ₃	-F	-CH ₃
GOC	S	-Cl	-Cl	-F
GOD	S	-Cl	-Cl	-Cl
GOE	S	-Cl	-Cl	-CH ₃

	GOF	S	-Cl	-F	-F
	GOG	S	-Cl	-F	-Cl
	GOH	S	-Cl	-F	-CH ₃
	GOI	NH	-CH ₃	-Cl	-F
5	GOJ	NH	-CH ₃	-Cl	-Cl
	GOK	NH	-CH ₃	-Cl	-CH ₃
	GOL	NH	-CH ₃	-F	-F
	GOM	NH	-CH ₃	-F	-Cl
	GON	NH	-CH ₃	-F	-CH ₃
10	GOO	NH	-CF ₃	-Cl	-F
	GOP	NH	-CF ₃	-Cl	-Cl
	GOQ	NH	-CF ₃	-Cl	-CH ₃
	GOR	NH	-CF ₃	-F	-F
	GOS	NH	-CF ₃	-F	-Cl
15	GOT	NH	-CF ₃	-F	-CH ₃
	GOU	NH	-Cl	-Cl	-F
	GOV	NH	-Cl	-Cl	-Cl
	GOW	NH	-Cl	-Cl	-CH ₃
	GOX	NH	-Cl	-F	-F
20	GOY	NH	-Cl	-F	-Cl
	GOZ	NH	-Cl	-F	-CH ₃
	GPA	O	-CH ₃	-Cl	-F
	GPB	O	-CH ₃	-Cl	-Cl
	GPC	O	-CH ₃	-Cl	-CH ₃
25	GPD	O	-CH ₃	-F	-F
	GPE	O	-CH ₃	-F	-Cl
	GPF	O	-CH ₃	-F	-CH ₃
	PGP	O	-CF ₃	-Cl	-F
	GPH	O	-CF ₃	-Cl	-Cl

GPI	O	-CF ₃	-Cl	-CH ₃
GPJ	O	-CF ₃	-F	-F
GPK	O	-CF ₃	-F	-Cl
GPL	O	-CF ₃	-F	-CH ₃
5 GPM	O	-Cl	-Cl	-F
GPN	O	-Cl	-Cl	-Cl
GPO	O	-Cl	-Cl	-CH ₃
GPP	O	-Cl	-F	-F
GPQ	O	-Cl	-F	-Cl
10 GPR	O	-Cl	-F	-CH ₃

4.1 DEFINITIONS

As used herein, the terms used above having following meaning:

“-(C₁-C₁₀)alkyl” means a straight chain or branched non-cyclic hydrocarbon having from 1 to 10 carbon atoms. Representative straight chain -(C₁-C₁₀)alkyls include
5 -methyl, -ethyl, -n-propyl, -n-butyl, -n-pentyl, -n-hexyl, -n-heptyl, -n-octyl, -n-nonyl, and -n-decyl. Representative branched -(C₁-C₁₀)alkyls include -isopropyl, -sec-butyl, -isobutyl, -tert-butyl, -isopentyl, -neopentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1-ethylbutyl, 2-ethylbutyl, 3-ethylbutyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl,
10 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-methylhexyl, 2-methylhexyl, 3-methylhexyl, 4-methylhexyl, 5-methylhexyl, 1,2-dimethylpentyl, 1,3-dimethylpentyl, 1,2-dimethylhexyl, 1,3-dimethylhexyl, 3,3-dimethylhexyl, 1,2-dimethylheptyl, 1,3-dimethylheptyl, and 3,3-dimethylheptyl.

“-(C₁-C₆)alkyl” means a straight chain or branched non-cyclic hydrocarbon
15 having from 1 to 6 carbon atoms. Representative straight chain -(C₁-C₆)alkyls include -methyl, -ethyl, -n-propyl, -n-butyl, -n-pentyl, and -n-hexyl. Representative branched -(C₁-C₆)alkyls include -isopropyl, -sec-butyl, -isobutyl, -tert-butyl, -isopentyl, -neopentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1-ethylbutyl, 2-ethylbutyl,
20 3-ethylbutyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, and 3,3-dimethylbutyl.

“-(C₁-C₄)alkyl” means a straight chain or branched non-cyclic hydrocarbon having from 1 to 4 carbon atoms. Representative straight chain -(C₁-C₄)alkyls include -methyl, -ethyl, -n-propyl, and -n-butyl. Representative branched -(C₁-C₄)alkyls include
25 -isopropyl, -sec-butyl, -isobutyl, and -tert-butyl.

“-(C₂-C₁₀)alkenyl” means a straight chain or branched non-cyclic hydrocarbon having from 2 to 10 carbon atoms and including at least one carbon-carbon double bond. Representative straight chain and branched (C₂-C₁₀)alkenyls include -vinyl, -allyl, -1-but enyl, -2-but enyl, -isobut enyl, -1-pentenyl, -2-pentenyl, -3-methyl-1-but enyl, -2-methyl-2-but enyl,
30 -2,3-dimethyl-2-but enyl, -1-hexenyl, -2-hexenyl, -3-hexenyl, -1-heptenyl, -2-heptenyl, -3-heptenyl, -1-octenyl, -2-octenyl, -3-octenyl, -1-non enyl, -2-non enyl, -3-non enyl, -1-decenyl,

-2-decanyl, -3-decanyl and the like.

“-(C₂-C₆)alkenyl” means a straight chain or branched non-cyclic hydrocarbon having from 2 to 6 carbon atoms and including at least one carbon-carbon double bond.

Representative straight chain and branched (C₂-C₆)alkenyls include -vinyl, -allyl, -1-but enyl, 5 -2-but enyl, -isobut enyl, -1-pent enyl, -2-pent enyl, -3-methyl-1-but enyl, -2-methyl-2-but enyl, -2,3-dimethyl-2-but enyl, -1-hex enyl, 2-hex enyl, 3-hex enyl and the like.

“-(C₂-C₁₀)alkynyl” means a straight chain or branched non-cyclic hydrocarbon having from 2 to 10 carbon atoms and including at least one carbon-carbon triple bond.

Representative straight chain and branched -(C₂-C₁₀)alkynyls include -acetylenyl, -propynyl, 10 -1-butynyl, -2-butynyl, -1-pentynyl, -2-pentynyl, -3-methyl-1-butynyl, -4-pentynyl, -1-hexynyl, -2-hexynyl, -5-hexynyl, -1-heptynyl, -2-heptynyl, -6-heptynyl, -1-octynyl, -2-octynyl, -7-octynyl, -1-nonyl, -2-nonyl, -8-nonyl, -1-decynyl, -2-decynyl, -9-decynyl and the like.

“-(C₂-C₆)alkynyl” means a straight chain or branched non-cyclic hydrocarbon 15 having from 2 to 6 carbon atoms and including at least one carbon-carbon triple bond.

Representative straight chain and branched (C₂-C₆)alkynyls include -acetylenyl, -propynyl, -1-butynyl, -2-butynyl, -1-pentynyl, -2-pentynyl, -3-methyl-1-butynyl, -4-pentynyl, -1-hexynyl, -2-hexynyl, -5-hexynyl and the like.

“-(C₃-C₁₀)cycloalkyl” means a saturated cyclic hydrocarbon having from 3 to 20 10 carbon atoms. Representative (C₃-C₁₀)cycloalkyls are -cyclopropyl, -cyclobutyl, -cyclopentyl, -cyclohexyl, -cycloheptyl, -cyclooctyl, -cyclononyl, and -cyclodecyl.

“-(C₃-C₈)cycloalkyl” means a saturated cyclic hydrocarbon having from 3 to 8 carbon atoms. Representative (C₃-C₈)cycloalkyls include -cyclopropyl, -cyclobutyl, -cyclopentyl, -cyclohexyl, -cycloheptyl, and -cyclooctyl.

25 “-(C₈-C₁₄)bicycloalkyl” means a bi-cyclic hydrocarbon ring system having from 8 to 14 carbon atoms and at least one saturated cyclic alkyl ring. Representative -(C₈-C₁₄)bicycloalkyls include -indanyl, -1,2,3,4-tetrahydronaphthyl, -5,6,7,8-tetrahydronaphthyl, -perhydronaphthyl and the like.

“-(C₈-C₁₄)tricycloalkyl” means a tri-cyclic hydrocarbon ring system having 30 from 8 to 14 carbon atoms and at least one saturated ring. Representative -(C₈-C₁₄)tricycloalkyls include -pyrenyl, -1,2,3,4-tetrahydroanthracenyl, -perhydroanthracenyl

-aceanthrenyl, -1,2,3,4-tetrahydronanthrenyl, -5,6,7,8-tetrahydronanthrenyl, -perhydrophenanthrenyl and the like.

“-(C₅-C₁₀)cycloalkenyl” means a cyclic non-aromatic hydrocarbon having at least one carbon-carbon double bond in the cyclic system and from 5 to 10 carbon atoms.

- 5 Representative (C₅-C₁₀)cycloalkenyls include -cyclopentenyl, -cyclopentadienyl, -cyclohexenyl, -cyclohexadienyl, -cycloheptenyl, -cycloheptadienyl, -cycloheptatrienyl, -cyclooctenyl, -cyclooctadienyl, -cyclooctatrienyl, -cyclooctatetraenyl, -cyclononenyl -cyclononadienyl, -cyclodecenyl, -cyclodecadienyl and the like.

“-(C₅-C₈)cycloalkenyl” means a cyclic non-aromatic hydrocarbon having at 10 least one carbon-carbon double bond in the cyclic system and from 5 to 8 carbon atoms.

Representative (C₅-C₈)cycloalkenyls include -cyclopentenyl, -cyclopentadienyl, -cyclohexenyl, -cyclohexadienyl, -cycloheptenyl, -cycloheptadienyl, -cycloheptatrienyl, -cyclooctenyl, -cyclooctadienyl, -cyclooctatrienyl, -cyclooctatetraenyl and the like.

“-(C₈-C₁₄)bicycloalkenyl” means a bi-cyclic hydrocarbon ring system having at 15 least one carbon-carbon double bond in each ring and from 8 to 14 carbon atoms.

Representative -(C₈-C₁₄)bicycloalkenyls include -indenyl, -pentalenyl, -naphthalenyl, -azulenyl, -heptalenyl, -1,2,7,8-tetrahydronaphthalenyl and the like.

“-(C₈-C₁₄)tricycloalkenyl” means a tri-cyclic hydrocarbon ring system having at least one carbon-carbon double bond in each ring and from 8 to 14 carbon atoms.

- 20 Representative -(C₈-C₁₄)tricycloalkenyls include -anthracenyl, -phenanthrenyl, -phenalenyl, -acenaphthalenyl, *as*-indacenyl, *s*-indacenyl and the like.

“(3- to 7-membered)heterocycle” or “(3- to 7-membered)heterocyclo” means a 3- to 7-membered monocyclic heterocyclic ring which is either saturated, unsaturated non-aromatic, or aromatic. A 3-membered -heterocycle can contain up to 3 heteroatoms, and a 4-

- 25 to 7-membered heterocycle can contain up to 4 heteroatoms. Each heteroatom is independently selected from nitrogen, which can be quaternized; oxygen; and sulfur, including sulfoxide and sulfone. The -(3- to 7-membered)heterocycle can be attached via a nitrogen or carbon atom. Representative -(3- to 7-membered)heterocycles include pyridyl, furyl, thiophenyl, pyrrolyl, oxazolyl, imidazolyl, thiazolyl, thiadiazolyl, isoxazolyl, pyrazolyl, 30 isothiazolyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, morpholinyl, pyrrolidinonyl, pyrrolidinyl, piperidinyl, piperazinyl, hydantoinyl, valerolactamyl, oxiranyl, oxetanyl,

tetrahydrofuranyl, tetrahydropyranyl, tetrahydropyrindinyl, tetrahydropyrimidinyl, tetrahydrothiophenyl, tetrahydrothiopyranyl and the like.

"-(3- to 5-membered)heterocycle" or "-(3- to 5-membered)heterocyclo" means a 3- to 5-membered monocyclic heterocyclic ring which is either saturated, unsaturated non-aromatic, or aromatic. A 3-membered heterocycle can contain up to 3 heteroatoms, and a 4- to 5-membered heterocycle can contain up to 4 heteroatoms. Each heteroatom is independently selected from nitrogen, which can be quaternized; oxygen; and sulfur, including sulfoxide and sulfone. The -(3- to 5-membered)heterocycle can be attached via a nitrogen or carbon atom. Representative -(3- to 5-membered)heterocycles include furyl, 10 thiophenyl, pyrrolyl, oxazolyl, imidazolyl, thiazolyl, isoxazolyl, pyrazolyl, isothiazolyl, triazinyl, pyrrolidinonyl, pyrrolidinyl, hydantoinyl, oxiranyl, oxetanyl, tetrahydrofuranyl, tetrahydrothiophenyl and the like.

"-(7- to 10-membered)bicycloheterocycle" or "-(7- to 10-membered)bicycloheterocyclo" means a 7- to 10-membered bicyclic, heterocyclic ring which 15 is either saturated, unsaturated non-aromatic, or aromatic. A -(7- to 10-membered)bicycloheterocycle contains from 1 to 4 heteroatoms independently selected from nitrogen, which can be quaternized; oxygen; and sulfur, including sulfoxide and sulfone. The -(7- to 10-membered)bicycloheterocycle can be attached via a nitrogen or carbon atom. Representative -(7- to 10-membered)bicycloheterocycles include -quinolinyl, 20 -isoquinolinyl, -chromonyl, -coumarinyl, -indolyl, -indolizinyl, -benzo[b]furanyl, -benzo[b]thiophenyl, -indazolyl, -purinyl, -4H-quinolizinyl, -isoquinolyl, -quinolyl, -phthalazinyl, -naphthyridinyl, -carbazolyl, - β -carbolinyl and the like.

"-(C₁₄)aryl" means a 14-membered aromatic carbocyclic moiety such as -anthryl or -phenanthryl.

25 "- (5- to 10-membered)heteroaryl" means an aromatic heterocycle ring of 5 to 10 members, including both mono- and bicyclic ring systems, wherein at least one carbon atom of one or both of the rings is replaced with a heteroatom independently selected from nitrogen, oxygen, and sulfur. One or both of the -(5- to 10-membered)heteroaryl's rings contain at least one carbon atom. Representative -(5- to 10-membered)heteroaryls include 30 pyridyl, furyl, benzofuranyl, thiophenyl, benzothiophenyl, quinolinyl, pyrrolyl, indolyl, oxazolyl, benzoxazolyl, imidazolyl, benzimidazolyl, thiazolyl, benzothiazolyl, isoxazolyl,

pyrazolyl, isothiazolyl, pyridazinyl, pyrimidinyl, pyrazinyl, thiadiazolyl, triazinyl, cinnolinyl, phthalazinyl, and quinazolinyl.

“-CH₂(halo)” means a methyl group wherein one of the hydrogens of the methyl group has been replaced with a halogen. Representative -CH₂(halo) groups include -
5 CH₂F, -CH₂Cl, -CH₂Br, and -CH₂I.

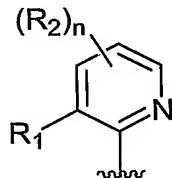
“-CH(halo)₂” means a methyl group wherein two of the hydrogens of the methyl group have been replaced with a halogen. Representative -CH(halo)₂ groups include -
CHF₂, -CHCl₂, -CHBr₂, CHBrCl, CHClI, and -CHI₂.

“-C(halo)₃” means a methyl group wherein each of the hydrogens of the methyl group has been replaced with a halogen. Representative -C(halo)₃ groups include -
10 CF₃, -CCl₃, -CBr₃, and -CI₃.

"-Halogen" or "-Halo" means -F, -Cl, -Br, or -I.

The phrase “pyridyl group” means

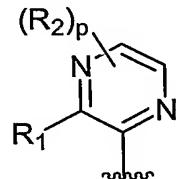
15



wherein R₁, R₂, and n are defined above for the Benzoazolylpiperazine Compounds of
20 formula (Ia, IIa, and IIIa).

The phrase “pyrazinyl group” means,

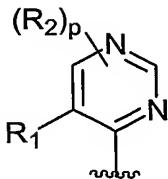
25



wherein R₁, R₂, and p are defined above for the Benzoazolylpiperazine Compounds of
formula (Ib, IIa, and IIIb).

The phrase “pyrimidinyl group” means

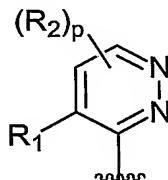
30



5

wherein R₁, R₂, and p are defined above for the Benzoazolylpiperazine Compounds of formula (Ia), (IIa), and (IIIa).

The phrase "pyridazinyl group" means

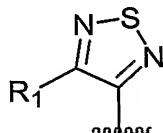


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wherein R₁, R₂, and p are defined above for the Benzoazolylpiperazine Compounds of formula (Ib), (IIb), and (IIIb).

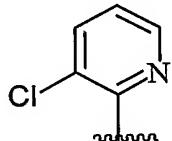
15

The phrase "thiazanyl group" means



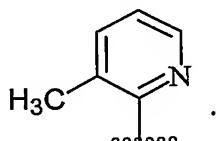
20 wherein R₁ is defined above for the Benzoazolylpiperazine Compounds of formula (Ib), (IIb), and (IIIb).

The phrase "2-(3-chloropyridyl)" means



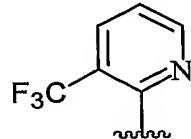
25

The phrase "2-(3-methylpyridyl)" means



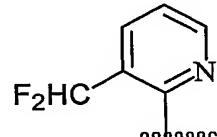
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The phrase “2-(3-CF₃-pyridyl)” means



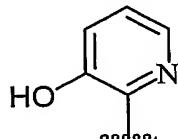
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The phrase “2-(3-CHF₂-pyridyl)” means



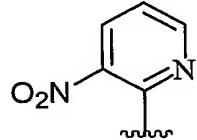
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The phrase “2-(3-hydroxypyridyl)” means



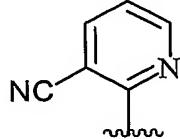
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The phrase “2-(3-nitropyridyl)” means



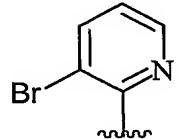
20

The phrase “2-(3-cyanopyridyl)” means



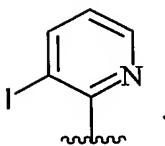
25

The phrase “2-(3-bromopyridyl)” means

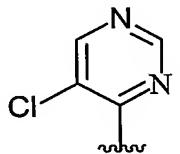


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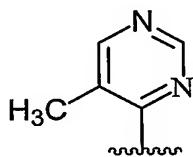
The phrase “2-(3-iodopyridyl)” means



5 The phrase “4-(5-chloropyrimidinyl)” means

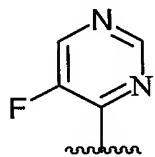


10 The phrase “4-(5-methylpyrimidinyl)” means



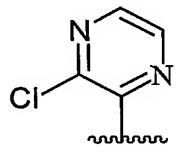
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The phrase “4-(5-fluoropyrimidinyl)” means



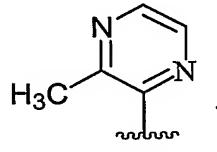
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The phrase “2-(3-chloropyrazinyl)” means



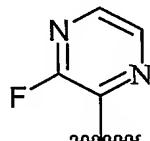
25

The phrase “2-(3-methylpyrazinyl)” means



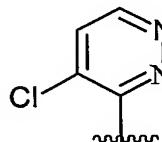
30

The phrase “2-(3-fluoropyrazinyl)” means



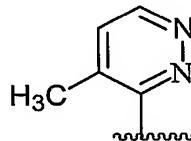
5

The phrase “3-(4-chloropyridazinyl)” means



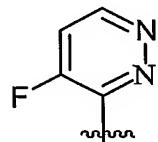
10

The phrase “3-(4-methylpyridazinyl)” means



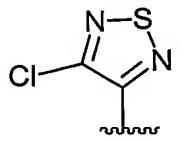
15

The phrase “3-(4-fluoropyridazinyl)” means



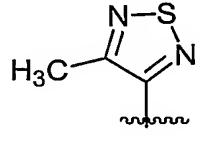
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The phrase “5-(4-chlorothiazanyl)” means



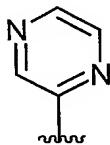
25

The phrase “5-(4-methylthiazanyl)” means



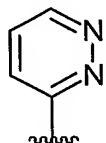
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The phrase “2-pyrazinyl” means



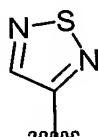
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The phrase “2-pyridazinyl” means



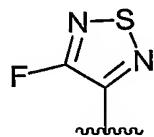
10

The phrase “4-thiazanyl” means



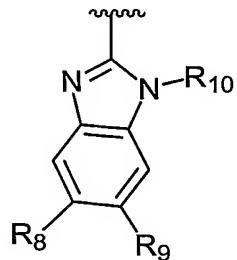
15

The phrase “5-(4-fluorothiazanyl)” means



20

The phrase “benzoimidazolyl group” means



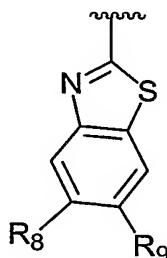
25

30

wherein R₈, R₉ and R₁₀ are defined above for the Benzoazolylpiperazine Compounds of formula (IIa) and (IIb).

The phrase "benzothiazolyl group" means

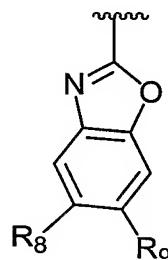
5



10 wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib).

The phrase "benzooxazolyl group" means

15



wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula 20 (IIIa) and (IIIb).

The term "animal," includes, but is not limited to, a cow, monkey, baboon, chimpanzee, horse, sheep, pig, chicken, turkey, quail, cat, dog, mouse, rat, rabbit, guinea pig, and human.

The phrase "pharmaceutically acceptable salt," as used herein, includes a salt 25 formed from an acid and a basic nitrogen group of one of the Benzoazolylpiperazine Compounds. Illustrative salts include, but are not limited, to sulfate, citrate, acetate, oxalate, chloride, bromide, iodide, nitrate, bisulfate, phosphate, acid phosphate, isonicotinate, lactate, salicylate, acid citrate, tartrate, oleate, tannate, pantothenate, bitartrate, ascorbate, succinate, maleate, gentisinate, fumarate, gluconate, glucaronate, saccharate, formate, benzoate, 30 glutamate, methanesulfonate, ethanesulfonate, benzenesulfonate, *p*-toluenesulfonate, and pamoate (*i.e.*, 1,1'-methylene-bis-(2-hydroxy-3-naphthoate)) salts. The term

"pharmaceutically acceptable salt" also includes a salt prepared from a Benzoazolylpiperazine Compound having an acidic functional group, such as a carboxylic acid functional group, and a pharmaceutically acceptable inorganic or organic base. Suitable bases include, but are not limited to, hydroxides of alkali metals such as sodium, potassium, and lithium; hydroxides of 5 alkaline earth metal such as calcium and magnesium; hydroxides of other metals, such as aluminum and zinc; ammonia and organic amines, such as unsubstituted or hydroxy-substituted mono-, di-, or trialkylamines; dicyclohexylamine; tributyl amine; pyridine; N-methyl,N-ethylamine; diethylamine; triethylamine; mono-, bis-, or tris-(2-hydroxy-lower alkyl amines), such as mono-, bis-, or tris-(2-hydroxyethyl)amine, 10 2-hydroxy-tert-butylamine, or tris-(hydroxymethyl)methylamine, N,N,-di-lower alkyl-N-(hydroxy lower alkyl)-amines, such as N,N,-dimethyl-N-(2-hydroxyethyl)amine, or tri-(2-hydroxyethyl)amine; N-methyl-D-glucamine; and amino acids such as arginine, lysine and the like.

The phrase "effective amount," when used in connection with a

15 Benzoazolylpiperazine Compound means an amount effective for: (a) treating or preventing pain, UI, an ulcer, IBD, IBS, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, a seizure, a pruritic condition, psychosis, a cognitive disorder, a memory deficit, restricted brain function, Huntington's chorea, ALS, dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia, or depression; or (b) inhibiting VR1, 20 mGluR1, or mGluR5 function in a cell.

The phrase "effective amount," when used in connection with the another therapeutic agent means an amount for providing the therapeutic effect of the therapeutic agent.

When a first group is "substituted with one or more" second groups, one or 25 more hydrogen atoms of the first group is replaced with a corresponding number of second groups. When the number of second groups is two or greater, each second group can be the same or different. In one embodiment, the number of second groups is one or two. In another embodiment, the number of second groups is one.

The term "DMSO" means dimethyl sulfoxide.

30 The term "DCM" means dichloromethane.

The term "UI" means urinary incontinence.

The term "IBD" means inflammatory-bowel disease.

The term "IBS" means irritable-bowel syndrome.

The term "ALS" means amyotrophic lateral sclerosis.

The phrase "treatment of" and "treating" includes the amelioration or

5 cessation of pain, UI, an ulcer, IBD, IBS, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, a seizure, a pruritic condition, psychosis, a cognitive disorder, a memory deficit, restricted brain function, Huntington's chorea, ALS, dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia, or depression, or a symptom thereof.

10 The phrase "prevention of" and "preventing" includes the avoidance of the onset of pain, UI, an ulcer, IBD, IBS, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, a seizure, a pruritic condition, psychosis, a cognitive disorder, a memory deficit, restricted brain function, Huntington's chorea, ALS, dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia, or depression, or a symptom
15 thereof.

4.2 METHODS FOR MAKING THE BENZOAZOLYLPIPERAZINE COMPOUNDS

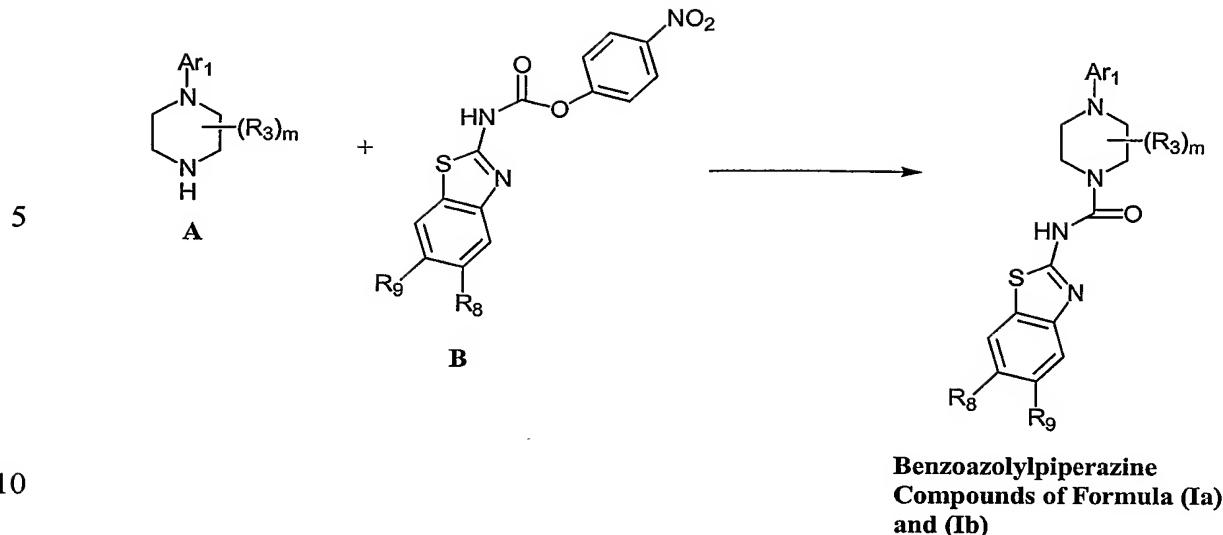
The Benzoazolylpiperazine Compounds can be made using conventional organic synthesis or by the following illustrative methods shown in the schemes below.

20

4.2.1 METHODS FOR MAKING THE BENZOAZOLYLPIPERAZINE COMPOUNDS OF FORMULA

(Ia) AND (Ib) WHEREIN X IS 1 AND A IS -C(O)-NR₄

The Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -H, can be obtained by the following illustrative method shown
25 in Scheme A:



wherein *Ar*₁, *R*₃, *R*₈, *R*₉ and *m* are defined above for the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib).

Scheme A

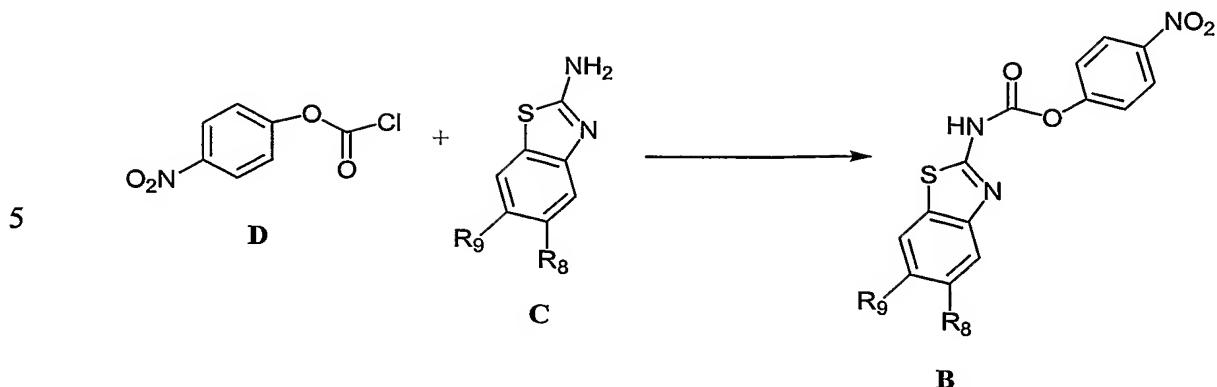
15

A compound of formula **B** (about 2 mmol) is dissolved in an aprotic organic solvent (about 3 mL). To the resulting solution is added a compound of formula **A** (about 2 mmol) and the resulting reaction mixture allowed to stir for about 10 min. The solvent is then removed under reduced pressure to provide the Benzoazolylpiperazine Compound of 20 formula (Ia) or (Ib) wherein *x* is 1, *A* is -C(O)-NR₄⁻, and R₄ is -H. The Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) can be purified on a silica column eluted with 5:95 ethyl acetate / hexane.

The compound of formula **B** can be obtained as shown below in Scheme **B**:

25

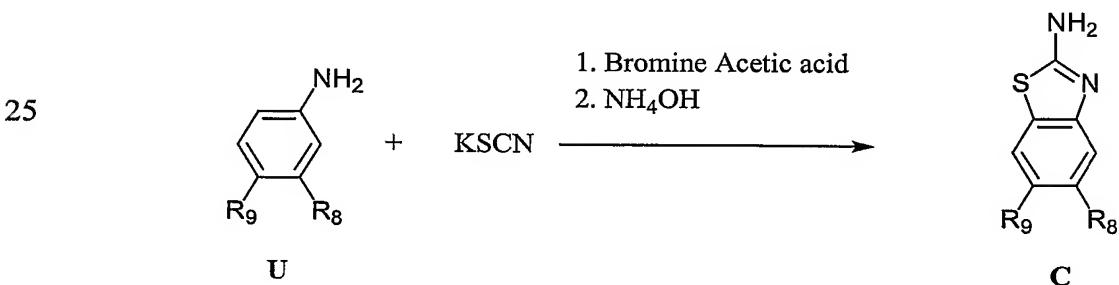
30



wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula 10 (Ia) and (Ib).

Scheme B

A compound of formula D (about 0.75 eq.) in an aprotic organic solvent (about 0.04 M) is cooled to about 0°C. To the cooled solution is slowly added a solution of a 15 compound of formula C (about 0.75 eq.) in an aprotic organic solvent (about 0.4 M). The resulting reaction mixture is stirred at 0°C for about 5 min. and about 0.75 eq. of triethylamine are added to the reaction mixture. The reaction mixture is then allowed to warm to room temperature and the solvent is then removed under reduced pressure to provide the compound of formula B. The compound of formula D is commercially available from 20 Sigma-Aldrich, St. Louis, MO (www.sigma-aldrich.com). Compounds of formula C are commercially available or can be prepared by the following illustrative method shown below in Scheme C.



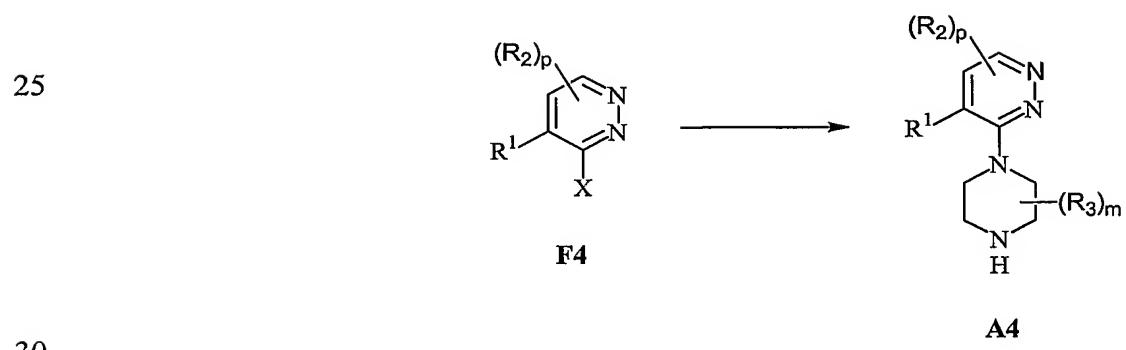
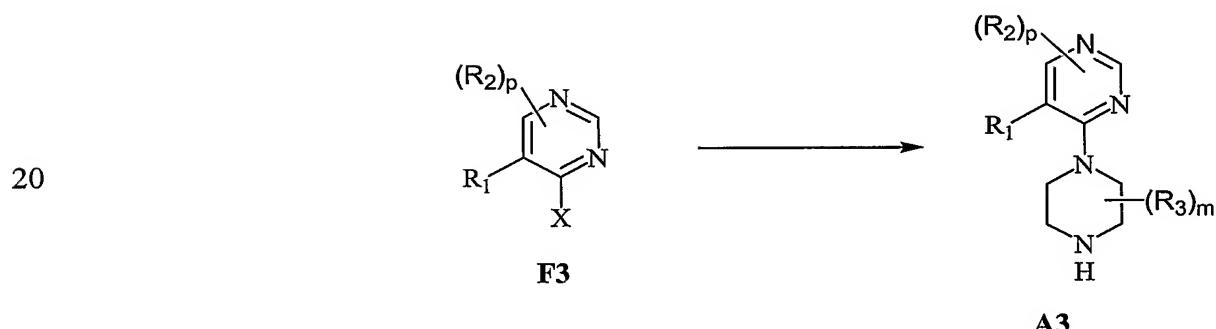
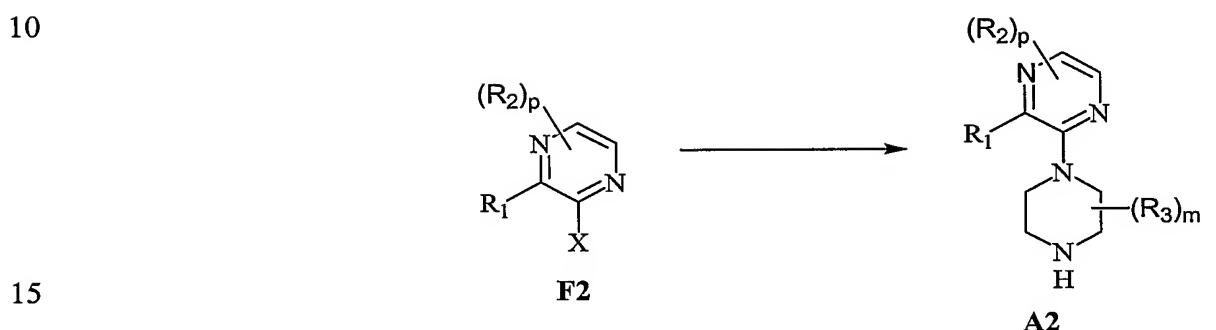
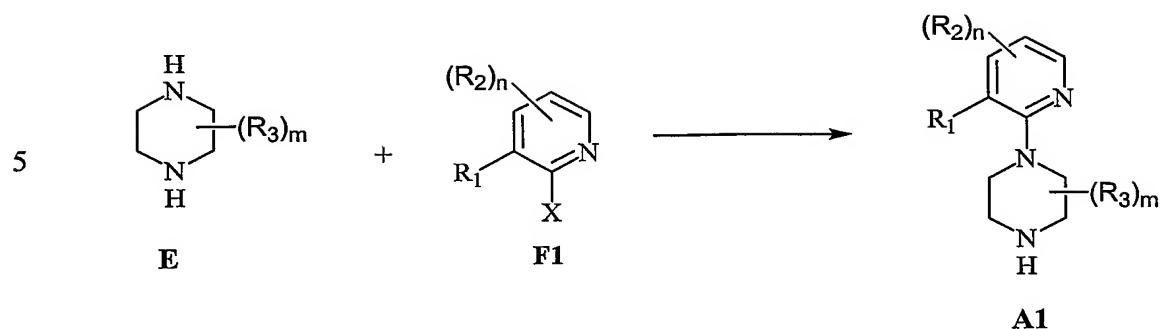
wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula 30 (Ia) and (Ib).

Scheme C

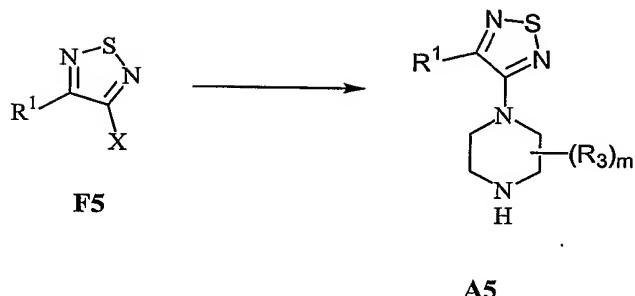
To a stirred solution of aniline **U** (about 74 mmol) and potassium thiocyanate (about 148 mmol) in about 100 mL of glacial acetic acid is added dropwise a solution of bromine (about 74 mmol) in about 25 mL of glacial acetic acid. The flask containing the bromine in acetic acid is then rinsed with about 15 mL of acetic acid which is combined with
5 the solution of aniline **U**. The resulting reaction mixture is vigorously stirred at room temperature for between about 2 h and about 24 h. The reaction mixture is then poured over crushed ice (about 500 mL) and the pH of the resulting mixture adjusted to a value of about 10 using ammonium hydroxide to provide a precipitate. The resulting precipitate is collected by filtration and recrystallized from toluene to provide the compound of formula **C**.

10 Compounds of formula **U** are commercially available or can be prepared by methods well known to those skilled in the art.

The compound of formula **A** can be obtained as shown below in Scheme **D**:



5



wherein R₁, R₂, R₃, m, n, and p are defined above for the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) and X is a halogen.

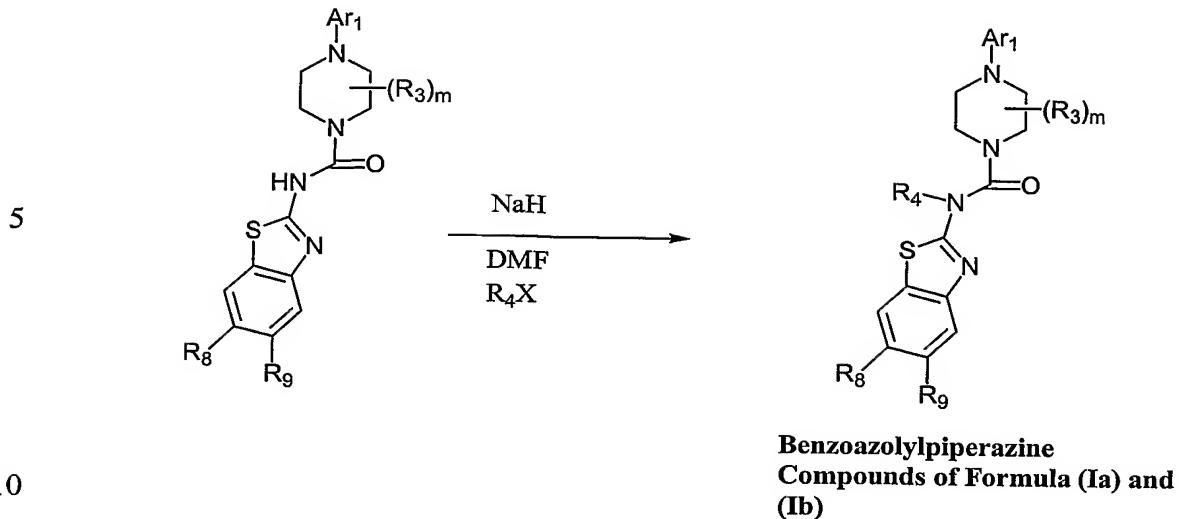
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Scheme D

A compound of formula F1-F5 (about 20 mmol) is reacted with a compound of formula E (about 27.5 mmol) in about 15 mL of DMSO in the presence of triethylamine (about 30 mmol), optionally with heating, for about 24 h to provide a compound of formula 15 A. The compound of formula A is isolated from the reaction mixture and purified. In one embodiment, the compound of formula A is purified using column chromatography or recrystallization.

Compounds of formula E and F are commercially available or can be prepared by methods well known to those skilled in the art. The compound of formula E wherein m is 20 0 and the compound of formula E wherein m is 1 and R₃ is (R)-CH₃ or (S)-CH₃ are commercially available from Sigma-Aldrich, St. Louis, MO (www.sigma-aldrich.com). In one embodiment, X is bromide, chloride, or iodide.

The Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄⁻, and R₄ is -(C₁-C₆)alkyl can be obtained by the following illustrative 25 method shown below in Scheme E.



wherein Ar₁, R₃, R₄, R₈, R₉, and m are defined above for the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) and X is a halogen.

Scheme E

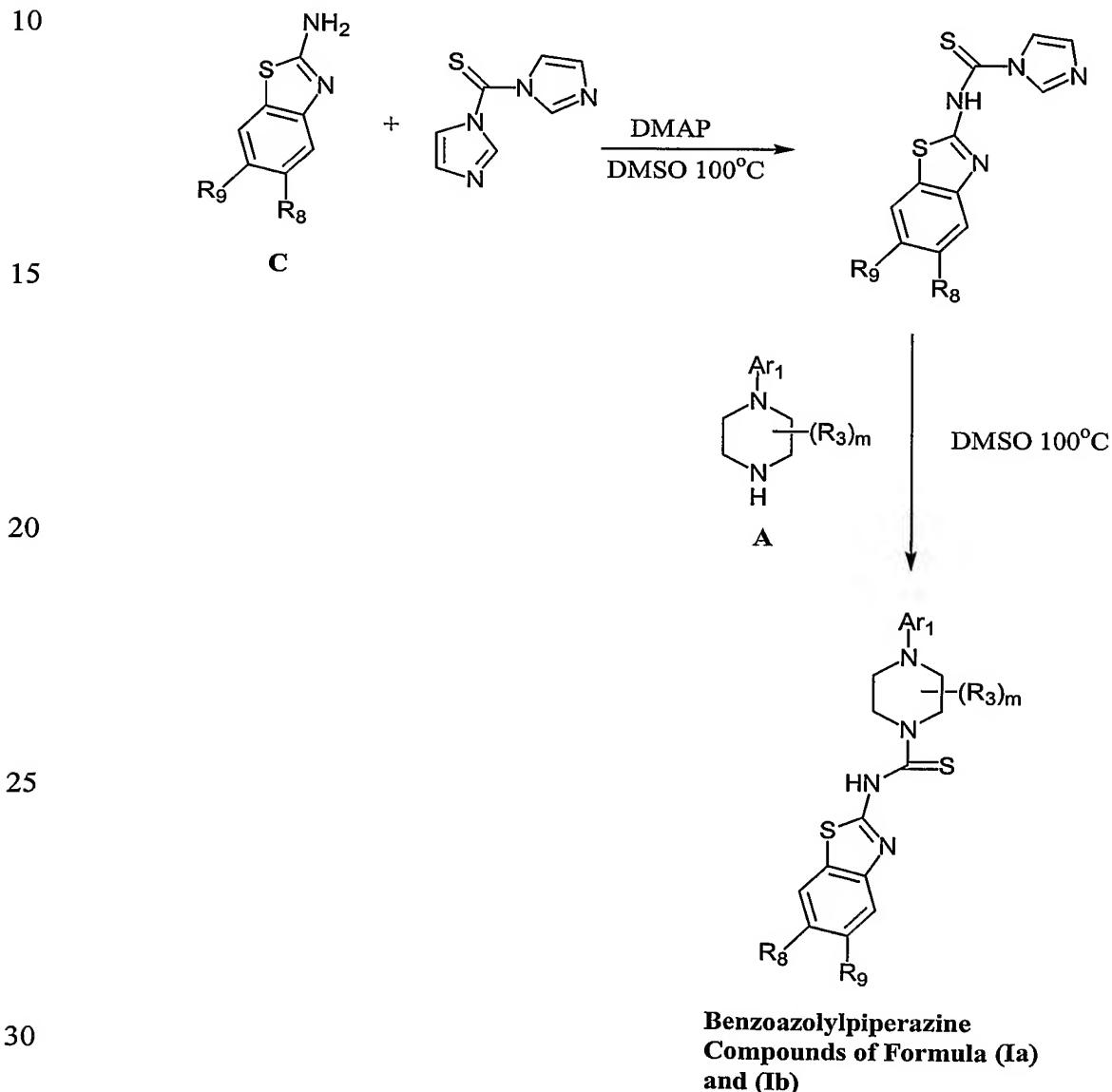
15

To a solution of a Benzoazolylpiperazine compound of formula (Ia) or (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -H (about 1 eq.), obtained as described above in Scheme A, in DMF at 0°C, is added a DMF solution of NaH (about 2 eq.). The resulting reaction mixture is allowed to warm to room temperature over about 1 h. To the resulting mixture is added about 1.2 eq. of an alkyl halide, R₄X, and the resulting reaction mixture allowed to stir until the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -(C₁-C₆)alkyl is formed. The progress of the reaction can be monitored using conventional analytical techniques including, but not limited to, high pressure liquid chromatography (HPLC), column chromatography, thin-layer chromatography (TLC), column chromatography, gas chromatography, mass spectrometry, and nuclear magnetic resonance spectroscopy such as ¹H and ¹³C NMR. The Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -(C₁-C₆)alkyl is then isolated and purified. In one embodiment, the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -(C₁-C₆)alkyl is isolated by removing the solvent under reduced pressure. In another embodiment, the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-,

and R₄ is -(C₁-C₆)alkyl is isolated by extraction. The Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -(C₁-C₆)alkyl can be purified, for example, by column chromatography or recrystallization.

5 4.2.2 METHODS FOR MAKING THE BENZOAZOLYLPIPERAZINE COMPOUNDS OF FORMULA (IA) AND (IB) WHEREIN X IS 1 AND A IS -C(S)-NR₄

The Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(S)-NR₄-, and R₄ is -H can be obtained by the following illustrative method in Scheme F:



wherein Ar₁, R₃, R₈, R₉ and m are defined above for the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib).

Scheme F

5 A Compound of Formula C (about 2 mmol), 1,1'-thiocarbonyldiimidazole (about 2 mmol) (commercially available from Sigma-Aldrich, St. Louis, MO (www.sigma-aldrich.com)), and 4-dimethylaminopyridine (DMAP) (commercially available from Sigma-Aldrich, St. Louis, MO (www.sigma-aldrich.com)) are suspended in DMSO (about 3 mL) at room temperature and the resulting mixture is heated at about 100°C for about 6 h. The
10 resulting reaction mixture is then cooled to room temperature and a compound of Formula A (about 2 mmol) is added to the reaction mixture and the reaction mixture is heated to about 100°C for about 16 h. The solvent is then removed under reduced pressure to provide the Benzoazolylpiperazine Compound of formula (Ia) or (Ib) wherein x is 1, A is -C(S)-NR₄-, and R₄ is -H. The Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) can be purified on
15 a silica column eluted with 5:95 ethyl acetate / hexane.

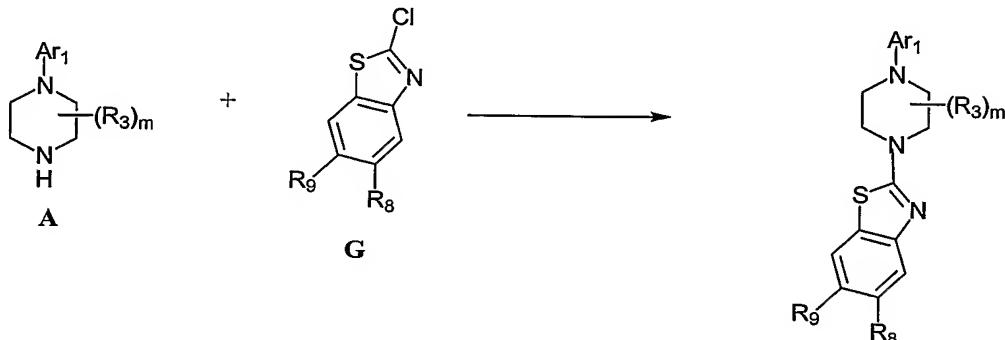
The Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(S)-NR₄-, and R₄ is -(C₁-C₆)alkyl can be obtained by a method analogous to the method used to obtain the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -(C₁-C₆)alkyl as described in Scheme E except that
20 a Benzoazolylpiperazine Compound of formula (Ia) and (Ib) wherein x is 1, A is -C(S)-NR₄-, and R₄ is -H, obtained as described above in Scheme F, is used in place of the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -H.

**4.2.3 METHODS FOR MAKING THE BENZAOAZOLYLPIPERAZINE COMPOUNDS OF FORMULA
(Ia) AND (Ib) WHEREIN X IS 0**

The Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 0 can be obtained by the following illustrative method shown below in Scheme G:

5

10



**Benzoazolylpiperazine Compounds of
Formula (Ia) or (Ib)**

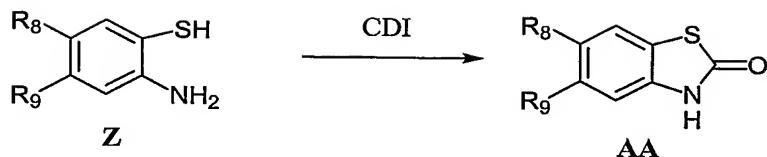
wherein Ar₁, R₃, R₈, R₉, and m are defined above for the Benzoazolylpiperazine Compounds 15 of formula (Ia) and (Ib).

Scheme G

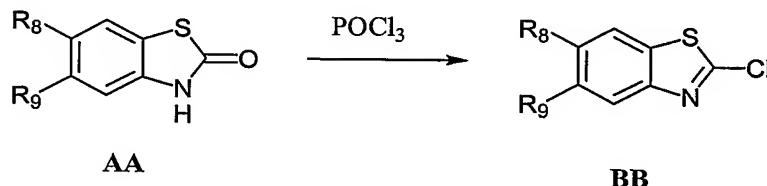
A compound of Formula A (about 1 mmol) and a compound of Formula G (about 1 mmol) are dissolved in DMSO (about 3 mL) and heated at a temperature of between 20 about 140°C and 150°C for about 12 h. The mixture is cooled to room temperature and the solvent removed under reduced pressure to provide a residue that is purified using silica gel 25 flash chromatography (gradient elution from 2:98 methanol:DCM to 6:94 methanol:DCM) to provide the Benzoazolylpiperazine Compound of formula (Ia) or (Ib) wherein x is 0.

The compound of Formula A can be obtained as shown above in Scheme D.

25 The compounds of Formula G are commercially available or can be prepared by procedures well known to those skilled in the art. An illustrative method for preparing compounds of Formula G is shown below in Scheme H.



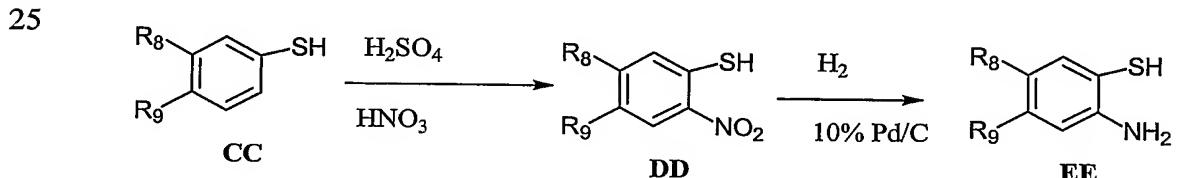
5



10 wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib).

Scheme H

A compound of Formula **Z** (about 5 to about 10 mmol) and carbodiimidazole (CDI) (commercially available from Sigma-Aldrich, St. Louis, MO (www.sigma-aldrich.com)) (about 2 eq) is dissolved in THF (about 50 to about 70 mL) and the resulting reaction mixture is heated at reflux temperature for about 4 hours. The reaction mixture is then concentrated under reduced pressure to provide a residue. Ethyl acetate (about 50 mL) is added to the residue and the resulting insoluble material is collected by filtration and washed with ethyl acetate to provide a compound of Formula **AA**. The compound of Formula **AA** is 15 then reacted with POCl₃ according to the procedure described in *J. Med. Chem.* 40:586-593 (1997) to provide the compound of Formula **BB**. The compounds of Formula **Z** are commercially available or can be prepared by procedures well known to those skilled in the art. An illustrative procedure for obtaining a compound of Formula **Z** is shown below in 20 Scheme I:



wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula 30 (Ia) and (Ib).

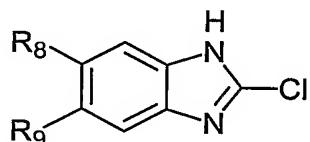
Scheme I

Thiol **CC** (about 12 mmol) is dissolved in concentrated sulfuric acid (about 10 mL) at 0°C and the resulting solution cooled to a temperature of about -13°C to about -15°C. About 1 mL of 70% nitric acid is added to the resulting solution over a time period of about 30 min. and the resulting reaction mixture allowed to stir for about 2 h at a temperature of 5 between about -13°C to about -15°C. The reaction mixture is then poured into ice water (about 100 mL), neutralized with 5% to 10% aqueous sodium hydroxide, and extracted with about 50 mL of chloroform. The chloroform layer is separated from the aqueous layer and removed under reduced pressure to provide a residue that is purified using flash chromatography (silica column and chloroform eluant) to provide a compound of Formula 10 **DD**. The compound of Formula **DD** is dissolved in ethanol (about 50 mL) and hydrogenated for about 12 h at room temperature using 10% palladium on carbon as a catalyst. The catalyst is removed by filtration and the ethanol is removed under reduced pressure to provide a residue that is purified using flash chromatography (silica gel eluted with 20:1 dichloromethane:methanol) to provide the compound of Formula **EE**. The compounds of 15 **Formula CC** are commercially available or can be prepared by procedures well known to those skilled in the art.

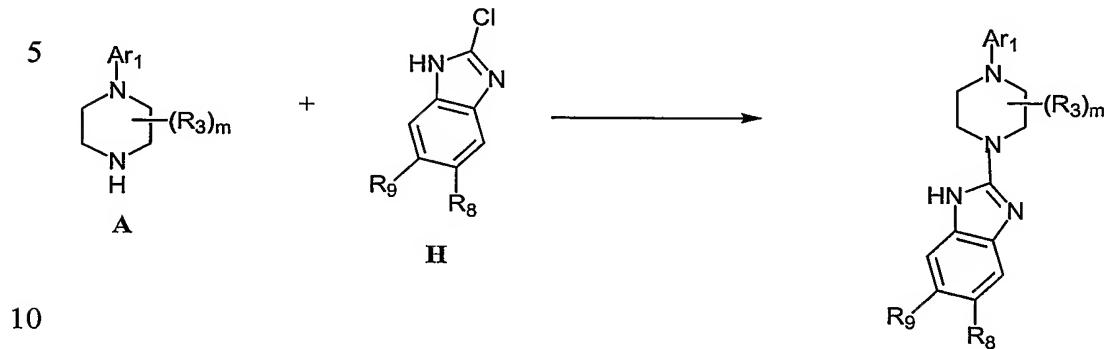
4.2.4 METHODS FOR MAKING THE BENZOAZOYLPIPERAZINE COMPOUNDS OF FORMULA (IIA) AND (IIB) WHEREIN X IS 0

20 The Benzoazolylpiperazine Compounds of formula (IIa) wherein R₁₀ is -H and formula (IIb) wherein x is 0 and R₁₀ is -H can be obtained by a method analogous to that used to obtain the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 0 as described above in section 4.2.3, Scheme **G** except that a compound of Formula **H**, shown below,

25

**H**

wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula (IIa) and (IIb), is used in place of the compound of Formula G as illustrated below in Scheme J:



**Benzoazolylpiperazine Compounds
of Formula (IIa) or (IIb)**

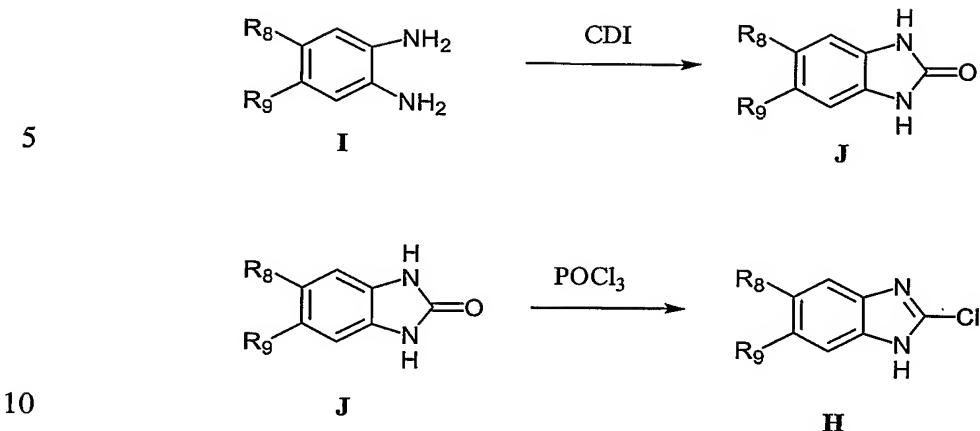
wherein Ar₁, R₃, R₈, R₉, and m are defined above for the Benzoazolylpiperazine Compounds 15 of formula (IIa) and (IIb).

Scheme J

A compound of Formula A (about 1 mmol) and a compound of Formula H (about 1 mmol) are dissolved in toluene or p-xylene in a sealed tube and heated at a 20 temperature of between about 140°C and 150°C for about 3 days. The mixture is cooled to room temperature and the solvent removed under reduced pressure to provide a residue that is purified using flash chromatography (silica gel with a gradient elution from 2% methanol:dichloromethane to 6% methanol:dichloromethane) to provide the Benzoazolylpiperazine Compound of formula (IIa) and formula (IIb) wherein x is 0.

The compound of Formula A can be obtained as shown above in Scheme D.

The compounds of Formula H are commercially available or can be prepared by procedures well known to those skilled in the art. An illustrative method for preparing the compound of Formula H is shown below in Scheme K:

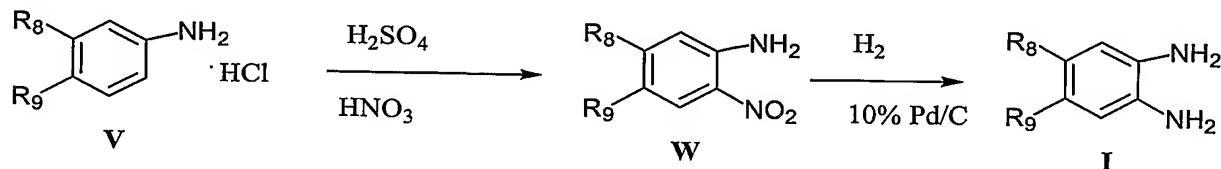


wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula (IIa) and (IIb).

Scheme K

15

A compound of Formula I (about 5 to about 10 mmol) and carbodiimide (CDI) (commercially available from Sigma-Aldrich, St. Louis, MO (www.sigma-aldrich.com)) (about 2 eq) is dissolved in THF (about 50 to about 70 mL) and the resulting reaction mixture is heated at reflux temperature for about 4 hours. The reaction mixture is 20 then concentrated under reduced pressure to provide a residue. Ethyl acetate (about 50 mL) is added to the residue and the resulting insoluble material is collected by filtration and washed with ethyl acetate to provide a compound of Formula J. The compound of Formula J is then reacted with POCl₃ according to the procedure described in *J. Med. Chem.* 40:586-593 (1997) to provide the compound of Formula H. The compounds of Formula I are 25 commercially available or can be prepared by procedures well known to those skilled in the art. An illustrative procedure for obtaining a compound of Formula I is shown below in Scheme L:

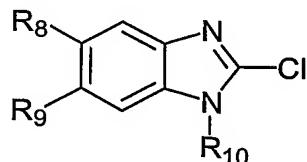


wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula (IIa) and (IIb).

Scheme L

5 Aniline hydrochloride V (about 12 mmol) is dissolved in concentrated sulfuric acid (about 10 mL) at 0°C and the resulting solution cooled to a temperature of about -13°C to about -15°C. About 1 mL of 70% nitric acid is added to the resulting solution over a time period of about 30 min. and the resulting reaction mixture allowed to stir for about 2 h at a temperature of between about -13°C to about -15°C. The reaction mixture is then poured into
 10 ice water (about 100 mL), neutralized with 5% to 10% aqueous sodium hydroxide and extracted with about 50 mL of chloroform. The chloroform is separated from the aqueous layer and removed under reduced pressure to provide a residue that is purified using flash chromatography (silica column and chloroform eluant) to provide a compound of Formula W. The compound of Formula W is dissolved in ethanol (about 50 mL) and hydrogenated for
 15 about 12 h at room temperature using 10% palladium on carbon as a catalyst. The catalyst is removed by filtration and the ethanol is removed under reduced pressure to provide a residue that is purified using flash chromatography (silica gel eluted with 20:1 dichloromethane:methanol) to provide the compound of Formula I. The compounds of Formula V are commercially available or can be prepared by procedures well known to those
 20 skilled in the art.

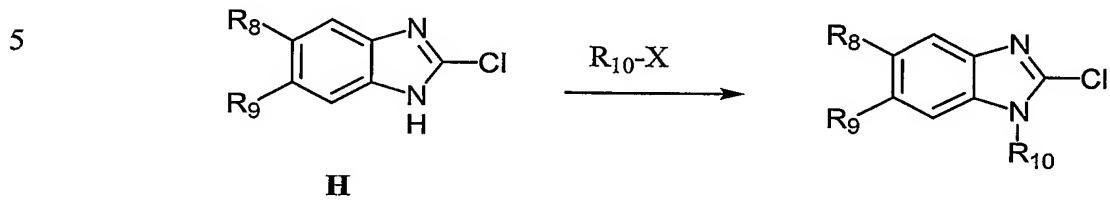
The Benzoazolylpiperazine Compounds of formula (IIa) wherein R₁₀ is -(C₁-C₄)alkyl and formula (IIb) wherein x is 0 and R₁₀ is -(C₁-C₄)alkyl can be obtained by a method analogous to that used to obtain the Benzoazolylpiperazine Compounds of formula (IIa) and (IIb) wherein x is 0 and R₁₀ is -H, as described above in Scheme J, except that a compound of
 25 Formula K, shown below



30

K

wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula (IIa) and (IIb) and R₁₀ is a -(C₁-C₆)alkyl is used in place of the compound of Formula H. The compound of Formula K can be obtained as described below in Scheme M



- 10 wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula (IIa) and (IIb), R₁₀ is a -(C₁-C₆)alkyl, and X is a halogen.

Scheme M

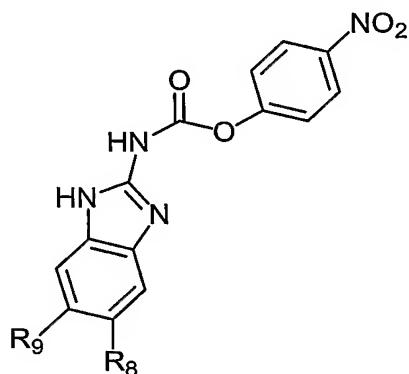
- NaH (about 2 eq) is added to a solution of a compound of Formula H in DMF
 15 at 0°C and the resulting mixture is allowed to stir and to warm to room temperature over a period of about one hour. An alkyl halide, R₁₀-X, (about 1.2 eq) is then added to the solution and the resulting reaction mixture allowed to stir until the compound of Formula K is produced. In one embodiment, the alkyl halide is an alkyl iodide. The formation of the compound of Formula K can be monitored by analytical methods well known to those skilled
 20 in the art including, but not limited to, liquid chromatography, column chromatography, gas chromatography, thin-layer chromatography, mass spectrometry, and nuclear magnetic resonance spectroscopy such as ¹H and ¹³C NMR. Water is then added to the reaction mixture to produce a precipitate of the compound of Formula K which is filtered, collected, and dried.
 25 The compound of Formula H can be obtained as described above in Scheme K.

4.2.5 METHODS FOR MAKING THE BENZOAZOLYLPiperazine COMPOUNDS OF FORMULA (IIb) WHEREIN X IS 1 AND A IS -C(O)-NR₄

- 30 The Benzoazolylpiperazine Compounds of formula (IIb) wherein x is 1, A is

-C(O)-NR₄-, R₄ is -H, and R₁₀ is -H can be obtained by a method analogous to that used to obtain the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -H as described above in Scheme A except that a compound of Formula L, shown below,

5



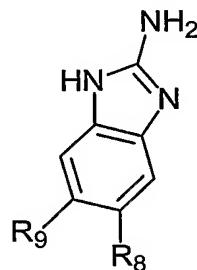
10

L

wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula (IIb), is used in place of the compound of Formula B.

The Compound of Formula L can be obtained by a method analogous to that used to obtain the compound of Formula B as described in section 4.2.1, Scheme B, except that a compound of Formula M, shown below,

20

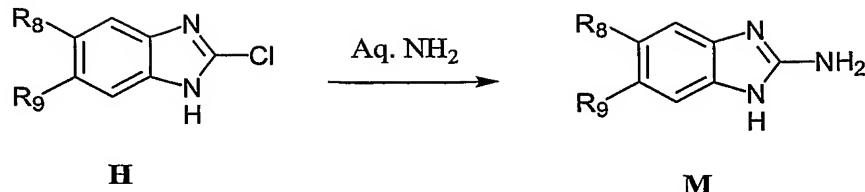


25

M

wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula (IIb), is used in place of the compound of Formula C. Compounds of Formula M are commercially available or can be prepared by procedures well known to those skilled in the art. An illustrative procedure for obtaining a compound of Formula M is shown below in

30 Scheme N:



5

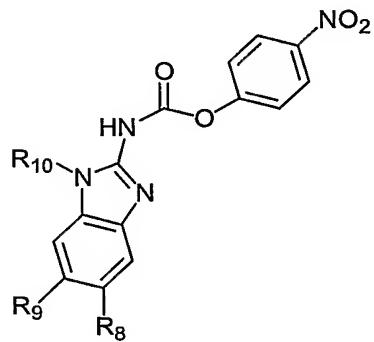
wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula (IIb)

Scheme N

A compound of Formula **H** (about 1 mmol), prepared as described above in Scheme **K**, is dissolved in excess aqueous ammonia in a sealed tube and heated at a temperature of between about 140°C and 150°C for about 3 days. The mixture is cooled to room temperature and the solvent removed under reduced pressure to provide a residue. In another embodiment, the mixture is cooled to room temperature, extracted with an organic solvent, the organic phase separated from the aqueous phase, and the organic solvent removed under reduced pressure to provide a residue. The residue is then purified to provide the compound of Formula **M**. In one embodiment, the residue is purified by recrystallization. In another embodiment, the residue is purified using flash chromatography.

The Benzoazolylpiperazine Compounds of formula (IIb) wherein x is 1, A is -C(O)-NR₄⁻, R₄ is -H, and R₁₀ is -(C₁-C₄)alkyl can be obtained by a method analogous to that used to obtain the Benzoazolylpiperazine Compounds of formula (IIb) wherein x is 1, A is -C(O)-NR₄⁻, R₄ is -H, and R₁₀ is -H except that a compound of Formula **N**, shown below,

25



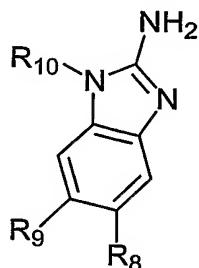
30

N

wherein R₈, R₉, and R₁₀ are defined above for the Benzoazolylpiperazine Compounds of formula (IIb), is used in place of the Compound of Formula L. The compound of Formula N can be obtained by a method analogous to that used to obtain the compound of Formula L except that a compound of Formula O, shown below,

5

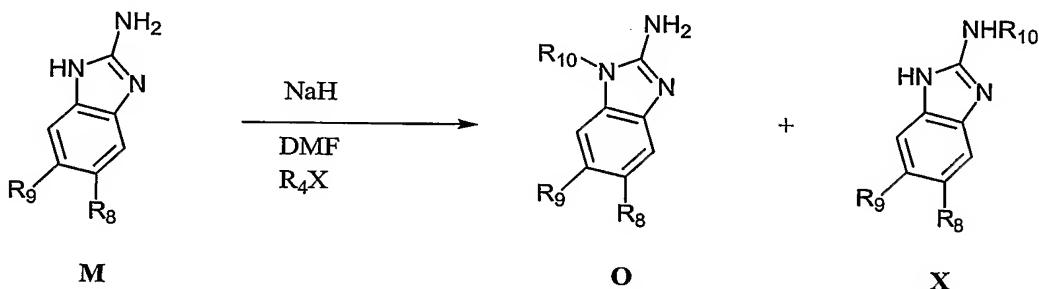
10

**O**

wherein R₈, R₉, and R₁₀ are defined above for the Benzoazolylpiperazine Compounds of formula (IIb), is used in place of the compound of Formula M. The compound of Formula O can be obtained as shown below in Scheme N:

15

20



wherein R₈, R₉, and R₁₀ are defined above for the Benzoazolylpiperazine Compounds of formula (IIb).

Scheme N

NaH (about 2 eq) is added to a solution of a compound of Formula M in DMF at 0°C and the resulting mixture is allowed to stir and to warm to room temperature over a period of about one hour. An alkyl halide, R₁₀-X, (about 1 eq.) is then added to the solution and the resulting reaction mixture allowed to stir until a mixture of a compound of Formula O and a compound of Formula X is produced. In one embodiment, the alkyl halide is an alkyl iodide. The formation of the compound of Formula O and the compound of Formula X can be monitored by analytical methods well known to those skilled in the art including, but not limited to, those described above. Water is then added to the reaction mixture to produce a

precipitate of the compound of Formula **O** and the compound of Formula **X** which are collected by filtration. The compound of Formula **O** and the compound of Formula **X** are then separated to provide the compound of Formula **O**. The compound of Formula **O** and the compound of Formula **X** can be separated by analytical methods well known to those skilled 5 in the art including, but not limited to, column chromatography, preparative TLC, preparative HPLC, and preparative GC.

The Benzoazolylpiperazine Compounds of formula (IIb) wherein x is 1, A is -C(O)-NR₄-, R₄ is -(C₁-C₆)alkyl, and R₁₀ is -H can be obtained by a method analogous to that used to obtain the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, 10 A is -C(O)-NR₄-, and R₄ is -(C₁-C₆)alkyl as shown above in Scheme E except that the Benzoazolylpiperazine Compounds of formula (IIb) wherein x is 1, A is -C(O)-NR₄-, R₄ is -H, and R₁₀ is -H, prepared as described above, is used in place of the Benzoazolylpiperazine compound of formula (Ia) or (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -H.

The Benzoazolylpiperazine Compounds of formula (IIb) wherein x is 1, A is -C(O)-NR₄-, R₄ is -(C₁-C₆)alkyl, and R₁₀ is -(C₁-C₄)alkyl can be obtained by a method analogous to that used to obtain the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -(C₁-C₆)alkyl as shown above in Scheme E except that the Benzoazolylpiperazine Compounds of formula (IIb) wherein x is 1, A is -C(O)-NR₄-, R₄ is -H, and R₁₀ is -(C₁-C₆)alkyl, prepared as described above, is used in place 20 of the Benzoazolylpiperazine compound of formula (Ia) or (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -H.

4.2.6 METHODS FOR MAKING THE BENZOAZOLYLPiperazine

COMPOUNDS OF FORMULA (IIb) WHEREIN X IS 1 AND A IS -C(S)-NR₄-

25 The Benzoazolylpiperazine Compounds of formula (IIb) wherein x is 1, A is -C(S)-NR₄-, R₄ is -H, and R₁₀ is -H can be obtained by a method analogous to that used to obtain the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1 and A is -C(S)-NR₄-, and R₄ is -H as described above in Scheme F except that a compound of Formula M is used in place of the compound of Formula C. The compound of Formula M 30 can be obtained as described above.

The Benzoazolylpiperazine Compounds of formula (IIb) wherein x is 1, A is

-C(S)-NR₄-, R₄ is -H, and R₁₀ is -(C₁-C₄)alkyl can be obtained by a method analogous to that used to obtain the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(S)-NR₄-, and R₄ is -H, as described in section 4.2.2, Scheme F, except that a compound of Formula O is used in place of the compound of Formula C. The compound of

5 Formula O can be obtained as described above.

The Benzoazolylpiperazine Compounds of formula (IIb) wherein x is 1, A is -C(S)-NR₄-, R₄ is -(C₁-C₆)alkyl, and R₁₀ is -H can be obtained by a method analogous to that used to obtain the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -(C₁-C₆)alkyl as described above in Scheme E except that the 10 Benzoylpiperazine Compound of Formula (IIa) wherein A is -C(S)-NR₄-, R₄ is -H, and R₁₀ is -H, prepared as described above, is used in place of the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -H.

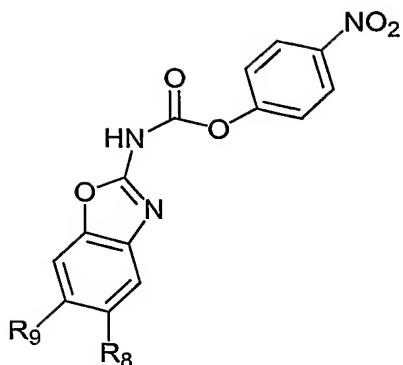
The Benzoazolylpiperazine Compounds of formula (IIb) wherein x is 1, A is -C(S)-NR₄-, R₄ is -(C₁-C₆)alkyl, and R₁₀ is -(C₁-C₄)alkyl can be obtained by a method 15 analogous to that used to obtain the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -(C₁-C₆)alkyl as described above in Scheme E except that the Benzoylpiperazine Compound of Formula (IIa) wherein A is -C(S)-NR₄-, R₄ is -H, and R₁₀ is -(C₁-C₄)alkyl, prepared as described above, is used in place of the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, 20 and R₄ is -H.

4.2.7 METHODS FOR MAKING THE BENZOAZOLYLPPIPERAZINE

COMPOUNDS OF FORMULA (IIIa) AND (IIIb) WHEREIN X IS 1 AND A IS -C(O)-NR₄

The Benzoazolylpiperazine Compounds of formula (IIIa) and (IIIb) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -H can be obtained by a method analogous to that used to 25 obtain the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1 and A is -C(O)-NR₄ as described in section 4.2.1, Scheme A, except that a compound of Formula P, shown below,

5

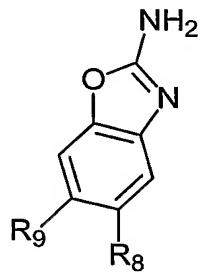


10 wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula (IIIa) and (IIIb), is used in place of the compound of Formula **B**.

The Compound of Formula **P** can be obtained by a method analogous to that used to obtain the compound of Formula **B** as described above in Scheme **B** except that a compound of Formula **Q**, shown below,

15

20

**Q**

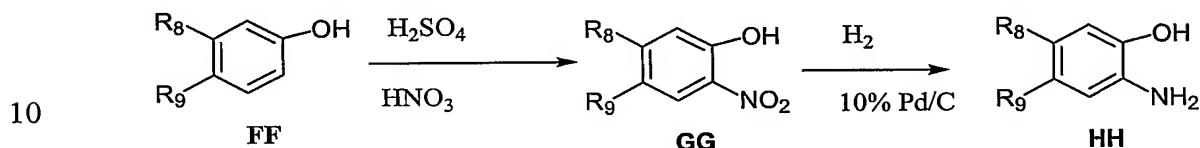
wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula (IIIa) and (IIIb), is used in place of the compound of Formula **C**. The compounds of Formula 25 **Q** are commercially available or can be prepared by procedures well known to those skilled in the art. The compounds of Formula **Q** can be obtained by a method analogous to that used to obtain the compound of Formula **BB**, as described in Scheme **H**, except that a compound of Formula **HH**, shown below,

30



5 is used in place of a compound of Formula Z.

An illustrative procedure for obtaining a compound of Formula **HH** is shown below in Scheme **O**:



wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula (IIIa) and (IIIb).

Scheme O

15

Phenol **FF** (about 12 mmol) is dissolved in concentrated sulfuric acid (about 10 mL) at 0°C and the resulting solution cooled to a temperature of about -13°C to about -15°C. About 1 mL of 70% nitric acid is added to the resulting solution over a time period of about 30 min. and the resulting reaction mixture allowed to stir for about 2 h at a temperature of between about -13°C to about -15°C. The reaction mixture is then poured into ice water (about 100 mL), neutralized with 5% to 10% aqueous sodium hydroxide, and extracted with about 50 mL of chloroform. The chloroform is separated from the aqueous layer and removed under reduced pressure to provide a residue that is purified using flash chromatography (silica column and chloroform eluant) to provide a compound of Formula **GG**

The compound of Formula **GG** is dissolved in ethanol (about 50 mL) and hydrogenated for about 12 h at room temperature using 10% palladium on carbon as a catalyst. The catalyst is removed by filtration and the ethanol is removed under reduced pressure to provide a residue that is purified using flash chromatography (silica gel eluted with 20:1 dichloromethane:methanol) to provide the compound of Formula **HH**. The compounds of **FF** are commercially available or can be prepared by procedures well known to those skilled in the art.

The Benzoazolylpiperazine Compounds of formula (IIIa) and (IIIb) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -(C₁-C₆)alkyl can be obtained by a method analogous to the method used to obtain the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -(C₁-C₆)alkyl as shown above in Scheme E except 5 that the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -H is replaced with a Benzoazolylpiperazine Compounds of formula (IIIa) and (IIIb) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -H, obtained as described above.

4.2.8 METHODS FOR MAKING THE BENZOAZOLYLPIPERAZINE

10 COMPOUNDS OF FORMULA (IIIa) AND (IIIb) WHEREIN X IS 1 AND A IS -C(S)-NR₄

The Benzoazolylpiperazine Compounds of formula (IIIa) and (IIIb) wherein x is 1, A is -C(S)-NR₄-, and R₄ is -H can be obtained by a method analogous to that used to obtain the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1 and A is -C(S)-NR₄-, and R₄ is -H as described above in Scheme F except that a compound of 15 Formula Q is used in place of the compound of Formula C. The compound of Formula Q can be obtained as described above.

The Benzoazolylpiperazine Compounds of formula (IIIa) and (IIIb) wherein x is 1, A is -C(S)-NR₄-, and R₄ is -(C₁-C₆)alkyl can be obtained by a method analogous to the method used to obtain the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) 20 wherein x is 1, A is -C(O)-NR₄-, and R₄ is -(C₁-C₆)alkyl as described in Scheme E except that a Benzoazolylpiperazine Compound of formula (IIIa) and (IIIb) wherein x is 1, A is -C(S)-NR₄-, and R₄ is -H, obtained as described above, is used in place of the Benzoazolylpiperazine Compounds of formula (Ia) and (Ib) wherein x is 1, A is -C(O)-NR₄-, and R₄ is -H.

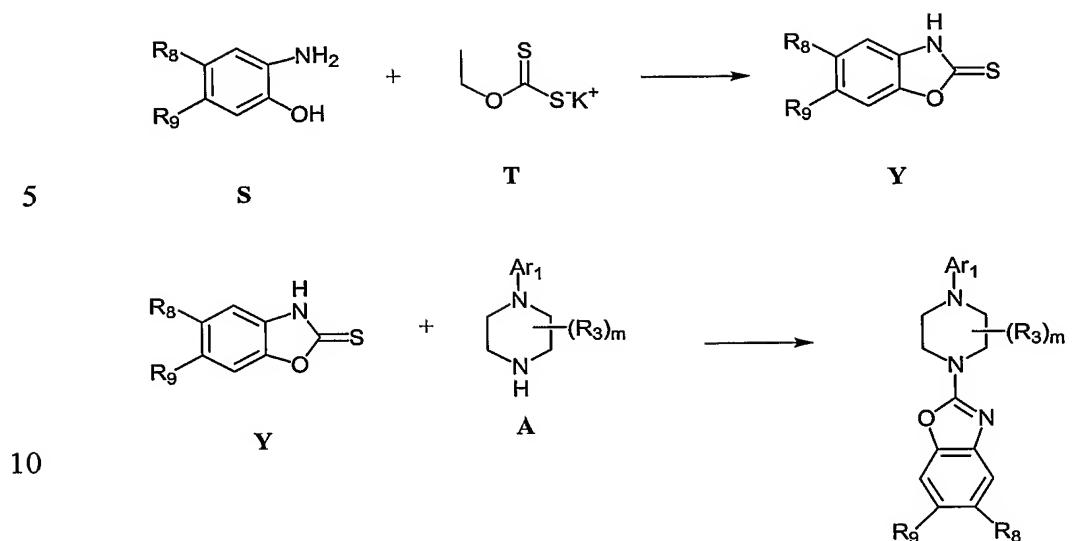
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4.2.9 METHODS FOR MAKING THE BENZOAZOLYLPIPERAZINE

COMPOUNDS OF FORMULA (IIIa) AND (IIIb) WHEREIN X IS 0

The Benzoazolylpiperazine Compounds of formula (IIIa) and (IIIb) wherein x is 0 can be obtained by the following illustrative method shown in Scheme P.

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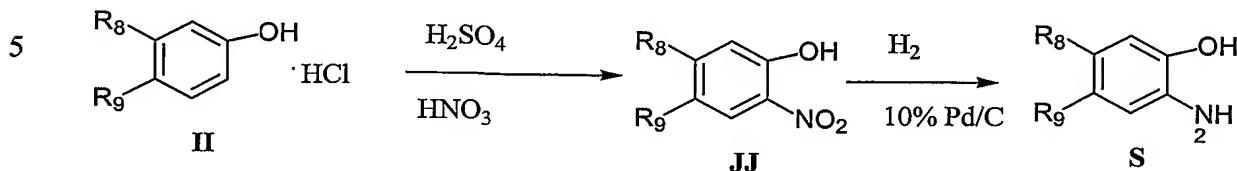
Benzoazolylpiperazine Compounds of Formula (IIIa) and (IIIb)

15 wherein Ar₁, R₃, R₈, R₉, and m are above for the Benzoazolylpiperazine Compounds of formula (IIIa) and (IIIb)

Scheme P

A compound of Formula S (about 15 to about 20 mmol) and a compound of
20 Formula T (about 1 eq.) are dissolved in ethanol (about 30 to about 40 mL) and the resulting
reaction mixture heated at reflux temperature for about 5 h. The reaction mixture is
concentrated under reduced pressure to provide a residue that is diluted with water (about 30
mL) and acidified with acetic acid to a pH value of about 6. The aqueous mixture is then
extracted with ethyl acetate, the ethyl acetate dried (Na_2SO_4), and the solvent removed under
25 reduced pressure to provide a compound of Formula Y which is used without further
purification. The compound of Formula Y (about 1 mmol) and a compound of Formula A
(about 1 eq.) are dissolved in toluene or p-xylene (about 0.5. mL to about 1 mL) and the
reaction mixture heated in a sealed tube at a temperature of about 150°C for about 24 h. The
reaction mixture is concentrated under reduced pressure to provide a residue. The resulting
30 residue can be purified using flash chromatography (silica gel, 5:95 methanol:DCM) to
provide the Benzoazolylpiperazine Compounds of formula (IIIa) and (IIIb) wherein x is 0.

The compounds of Formula S are commercially available or can be prepared by procedures well known to those skilled in the art. An illustrative procedure for obtaining a compound of Formula S is shown below in Scheme Q:



wherein R₈ and R₉ are defined above for the Benzoazolylpiperazine Compounds of formula (IIIa) and (IIIb).

10

Scheme Q

Phenol **II** (about 12 mmol) is dissolved in concentrated sulfuric acid (about 10 mL) at 0°C and the resulting solution cooled to a temperature of about -13°C to about -15°C. About 1 mL of 70% nitric acid is added to the resulting solution over a time period of about 15 30 min. and the resulting reaction mixture allowed to stir for about 2 h at a temperature of between about -13°C to about -15°C. The reaction mixture is then poured into ice water (about 100 mL), neutralized with 5% to 10% aqueous sodium hydroxide and extracted with about 50 mL of chloroform. The chloroform is separated from the aqueous layer and removed under reduced pressure to provide a residue that is purified using flash chromatography (silica column and chloroform eluant) to provide a compound of Formula **JJ**. The compound of Formula **JJ** is dissolved in ethanol (about 50 mL) and hydrogenated for about 12 h at room temperature using 10% palladium on carbon as a catalyst. The catalyst is removed by filtration and the ethanol is removed under reduced pressure to provide a residue that is purified using flash chromatography (silica gel eluted with 20:1 20 dichloromethane:methanol) to provide the compound of Formula **S**. The compounds of Formula **S** are commercially available or can be prepared by procedures well known to those skilled in the art.

The compound of Formula **T** is commercially available from Sigma-Aldrich, St. Louis, MO (www.sigma-aldrich.com).

30

The compounds of Formula **A** can be obtained as described above.

Suitable aprotic organic solvents for use in the illustrative methods include, but are not limited to, DCM, DMSO, chloroform, toluene, benzene, acetonitrile, carbon tetrachloride, pentane, hexane, ligroin, and diethylether. In one embodiment, the aprotic organic solvent is DCM.

5 Certain Benzoazolylpiperazine Compounds can have one or more asymmetric centers and therefore exist in different enantiomeric and diastereomeric forms. A Benzoazolylpiperazine Compound can be in the form of an optical isomer or a diastereomer. Accordingly, the invention encompasses Benzoazolylpiperazine Compounds and their uses as described herein in the form of their optical isomers, diasteriomers, and mixtures thereof,
10 including a racemic mixture.

In addition, one or more hydrogen, carbon or other atoms of a Benzoazolylpiperazine Compound can be replaced by an isotope of the hydrogen, carbon or other atoms. Such compounds, which are encompassed by the present invention, are useful as research and diagnostic tools in metabolism pharmacokinetic studies and in binding assays.

15

4.3 THERAPEUTIC USES OF THE BENZOAZOLYLPiperazine COMPOUNDS

In accordance with the invention, the Benzoazolylpiperazine Compounds are administered to an animal in need of treatment or prevention of pain, UI, an ulcer, IBD, IBS, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, a seizure,
20 a pruritic condition, psychosis, a cognitive disorder, a memory deficit, restricted brain function, Huntington's chorea, ALS, dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia, or depression.

In one embodiment, an effective amount of a Benzoazolylpiperazine Compound can be used to treat or prevent any condition treatable or preventable by inhibiting
25 VR1. Examples of conditions that are treatable or preventable by inhibiting VR1 include, but are not limited to, pain, UI, an ulcer, IBD, and IBS.

In another embodiment, an effective amount of a Benzoazolylpiperazine Compound can be used to treat or prevent any condition treatable or preventable by inhibiting mGluR5. Examples of conditions that are treatable or preventable by inhibiting mGluR5
30 include, but are not limited to, pain, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, a pruritic condition, and psychosis.

In another embodiment, an effective amount of a Benzoazolylpiperazine Compound can be used to treat or prevent any condition treatable or preventable by inhibiting mGluR1. Examples of conditions that are treatable or preventable by inhibiting mGluR1 include, but are not limited to, pain, UI, an addictive disorder, Parkinson's disease, 5 parkinsonism, anxiety, epilepsy, stroke, a seizure, a pruritic condition, psychosis, a cognitive disorder, a memory deficit, restricted brain function, Huntington's chorea, ALS, dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia, and depression.

The Benzoazolylpiperazine Compounds can be used to treat or prevent acute or chronic pain. Examples of pain treatable or preventable using the Benzoazolylpiperazine 10 Compounds include, but are not limited to, cancer pain, central pain, labor pain, myocardial infarction pain, pancreatic pain, colic pain, post-operative pain, headache pain, muscle pain, pain associated with intensive care, arthritic pain, and pain associated with a periodontal disease, including gingivitis and periodontitis.

The pain to be treated or prevented can be associated with inflammation 15 associated with an inflammatory disease, which can arise where there is an inflammation of the body tissue, and which can be a local inflammatory response and/or a systemic inflammation. For example, the Benzoazolylpiperazine Compounds can be used to treat, or prevent pain associated with inflammatory disease including, but not limited to: organ transplant rejection; reoxygenation injury resulting from organ transplantation (*see Grupp et 20 al., J. Mol. Cell Cardiol. 31:297-303 (1999)*) including, but not limited to, transplantation of the heart, lung, liver, or kidney; chronic inflammatory diseases of the joints, including arthritis, rheumatoid arthritis, osteoarthritis and bone diseases associated with increased bone resorption; inflammatory bowel diseases, such as ileitis, ulcerative colitis, Barrett's syndrome, and Crohn's disease; inflammatory lung diseases, such as asthma, adult respiratory distress 25 syndrome, and chronic obstructive airway disease; inflammatory diseases of the eye, including corneal dystrophy, trachoma, onchocerciasis, uveitis, sympathetic ophthalmitis and endophthalmitis; chronic inflammatory disease of the gum, including gingivitis and periodontitis; tuberculosis; leprosy; inflammatory diseases of the kidney, including uremic complications, glomerulonephritis and nephrosis; inflammatory disease of the skin, including 30 scleroderma, psoriasis and eczema; inflammatory diseases of the central nervous system, including chronic demyelinating diseases of the nervous system, multiple sclerosis,

AIDS-related neurodegeneration and Alzheimer's disease, infectious meningitis, encephalomyelitis, Parkinson's disease, Huntington's disease, amyotrophic lateral sclerosis and viral or autoimmune encephalitis; autoimmune diseases, including Type I and Type II diabetes mellitus; diabetic complications, including, but not limited to, diabetic cataract, 5 glaucoma, retinopathy, nephropathy (such as microalbuminuria and progressive diabetic nephropathy), polyneuropathy, mononeuropathies, autonomic neuropathy, gangrene of the feet, atherosclerotic coronary arterial disease, peripheral arterial disease, nonketotic hyperglycemic-hyperosmolar coma, foot ulcers, joint problems, and a skin or mucous membrane complication (such as an infection, a shin spot, a candidal infection or necrobiosis 10 lipoidica diabetorum); immune-complex vasculitis, and systemic lupus erythematosus (SLE); inflammatory disease of the heart, such as cardiomyopathy, ischemic heart disease hypercholesterolemia, and arteriosclerosis; as well as various other diseases that can have significant inflammatory components, including preeclampsia, chronic liver failure, brain and spinal cord trauma, and cancer. The Benzoazolylpiperazine Compounds can also be used for 15 inhibiting, treating, or preventing pain associated with inflammatory disease that can, for example, be a systemic inflammation of the body, exemplified by gram-positive or gram negative shock, hemorrhagic or anaphylactic shock, or shock induced by cancer chemotherapy in response to pro-inflammatory cytokines, *e.g.*, shock associated with pro-inflammatory cytokines. Such shock can be induced, *e.g.*, by a chemotherapeutic agent 20 that is administered as a treatment for cancer.

The Benzoazolylpiperazine Compounds can be used to treat or prevent UI. Examples of UI treatable or preventable using the Benzoazolylpiperazine Compounds include, but are not limited to, urge incontinence, stress incontinence, overflow incontinence, neurogenic incontinence, and total incontinence.

25 The Benzoazolylpiperazine Compounds can be used to treat or prevent an ulcer. Examples of ulcers treatable or preventable using the Benzoazolylpiperazine Compounds include, but are not limited to, a duodenal ulcer, a gastric ulcer, a marginal ulcer, an esophageal ulcer, or a stress ulcer.

The Benzoazolylpiperazine Compounds can be used to treat or prevent IBD, 30 including Crohn's disease and ulcerative colitis.

The Benzoazolylpiperazine Compounds can be used to treat or prevent IBS. Examples of IBS treatable or preventable using the Benzoazolylpiperazine Compounds include, but are not limited to, spastic-colon-type IBS and constipation-predominant IBS.

The Benzoazolylpiperazine Compounds can be used to treat or prevent an

- 5 addictive disorder, including but not limited to, an eating disorder, an impulse-control disorder, an alcohol-related disorder, a nicotine-related disorder, an amphetamine-related disorder, a cannabis-related disorder, a cocaine-related disorder, an hallucinogen-related disorder, an inhalant-related disorders, and an opioid-related disorder, all of which are further sub-classified as listed below.

10 Eating disorders include, but are not limited to, Bulimia Nervosa, Nonpurging Type; Bulimia Nervosa, Purging Type; Anorexia; and Eating Disorder not otherwise specified (NOS).

Impulse control disorders include, but are not limited to, Intermittent Explosive Disorder, Kleptomania, Pyromania, Pathological Gambling, Trichotillomania, and

- 15 Impulse Control Disorder not otherwise specified (NOS).

Alcohol-related disorders include, but are not limited to, Alcohol-Induced Psychotic Disorder with delusions, Alcohol Abuse, Alcohol Intoxication, Alcohol Withdrawal, Alcohol Intoxication Delirium, Alcohol Withdrawal Delirium, Alcohol-Induced Persisting Dementia, Alcohol-Induced Persisting Amnestic Disorder, Alcohol Dependence,

20 Alcohol-Induced Psychotic Disorder with hallucinations, Alcohol-Induced Mood Disorder, Alcohol-Induced Anxiety Disorder, Alcohol-Induced Sexual Dysfunction, Alcohol-Induced Sleep Disorder, Alcohol-Related Disorder not otherwise specified (NOS), Alcohol Intoxication, and Alcohol Withdrawal.

Nicotine-related disorders include, but are not limited to, Nicotine

25 Dependence, Nicotine Withdrawal, and Nicotine-Related Disorder not otherwise specified (NOS).

Amphetamine-related disorders include, but are not limited to, Amphetamine Dependence, Amphetamine Abuse, Amphetamine Intoxication, Amphetamine Withdrawal, Amphetamine Intoxication Delirium, Amphetamine-Induced Psychotic Disorder with

30 delusions, Amphetamine-Induced Psychotic Disorders with hallucinations, Amphetamine-Induced Mood Disorder, Amphetamine-Induced Anxiety Disorder,

Amphetamine-Induced Sexual Dysfunction, Amphetamine-Induced Sleep Disorder, Amphetamine Related Disorder not otherwise specified (NOS), Amphetamine Intoxication, and Amphetamine Withdrawal.

Cannabis-related disorders include, but are not limited to, Cannabis

- 5 Dependence, Cannabis Abuse, Cannabis Intoxication, Cannabis Intoxication Delirium, Cannabis-Induced Psychotic Disorder with delusions, Cannabis-Induced Psychotic Disorder with hallucinations, Cannabis-Induced Anxiety Disorder, Cannabis Related Disorder not otherwise specified (NOS), and Cannabis Intoxication.

Cocaine-related disorders include, but are not limited to, Cocaine Dependence,

- 10 Cocaine Abuse, Cocaine Intoxication, Cocaine Withdrawal, Cocaine Intoxication Delirium, Cocaine-Induced Psychotic Disorder with delusions, Cocaine-Induced Psychotic Disorders with hallucinations, Cocaine-Induced Mood Disorder, Cocaine-Induced Anxiety Disorder, Cocaine-Induced Sexual Dysfunction, Cocaine-Induced Sleep Disorder, Cocaine Related Disorder not otherwise specified (NOS), Cocaine Intoxication, and Cocaine Withdrawal.

- 15 Hallucinogen-related disorders include, but are not limited to, Hallucinogen Dependence, Hallucinogen Abuse, Hallucinogen Intoxication, Hallucinogen Withdrawal, Hallucinogen Intoxication Delirium, Hallucinogen-Induced Psychotic Disorder with delusions, Hallucinogen-Induced Psychotic Disorders with hallucinations, Hallucinogen-Induced Mood Disorder, Hallucinogen-Induced Anxiety Disorder,

- 20 Hallucinogen-Induced Sexual Dysfunction, Hallucinogen-Induced Sleep Disorder, Hallucinogen Related Disorder not otherwise specified (NOS), Hallucinogen Intoxication, and Hallucinogen Persisting Perception Disorder (Flashbacks).

Inhalant-related disorders include, but are not limited to, Inhalant Dependence,

Inhalant Abuse, Inhalant Intoxication, Inhalant Intoxication Delirium, Inhalant-Induced

- 25 Psychotic Disorder with delusions, Inhalant-Induced Psychotic Disorder with hallucinations, Inhalant-Induced Anxiety Disorder, Inhalant Related Disorder not otherwise specified (NOS), and Inhalant Intoxication.

Opioid-related disorders include, but are not limited to, Opioid Dependence,

Opioid Abuse, Opioid Intoxication, Opioid Intoxication Delirium, Opioid-Induced Psychotic

- 30 Disorder with delusions, Opioid-Induced Psychotic Disorder with hallucinations,

Opioid-Induced Anxiety Disorder, Opioid Related Disorder not otherwise specified (NOS), Opioid Intoxication, and Opioid Withdrawal.

The Benzoazolylpiperazine Compounds can be used to treat or prevent Parkinson's disease and parkinsonism and the symptoms associated with Parkinson's disease 5 and parkinsonism, including but not limited to, bradykinesia, muscular rigidity, resting tremor, and impairment of postural balance.

The Benzoazolylpiperazine Compounds can be used to treat or prevent generalized anxiety or severe anxiety and the symptoms associated with anxiety, including but not limited to, restlessness; tension; tachycardia; dyspnea; depression, including chronic 10 "neurotic" depression; panic disorder; agoraphobia and other specific phobias; eating disorders; and personality disorders.

The Benzoazolylpiperazine Compounds can be used to treat or prevent epilepsy, including but not limited to, partial epilepsy, generalized epilepsy, and the symptoms associated with epilepsy, including but not limited to, simple partial seizures, 15 jacksonian seizures, complex partial (psychomotor) seizures, convulsive seizures (grand mal or tonic-clonic seizures), petit mal (absence) seizures, and status epilepticus.

The Benzoazolylpiperazine Compounds can be used to treat or prevent strokes, including but not limited to, ischemic strokes and hemorrhagic strokes.

The Benzoazolylpiperazine Compounds can be used to treat or prevent a 20 seizure, including but not limited to, infantile spasms, febrile seizures, and epileptic seizures.

The Benzoazolylpiperazine Compounds can be used to treat or prevent a pruritic condition, including but not limited to, pruritus caused by dry skin, scabies, dermatitis, herpetiformis, atopic dermatitis, *pruritus vulvae et ani*, miliaria, insect bites, pediculosis, contact dermatitis, drug reactions, urticaria, urticarial eruptions of pregnancy, 25 psoriasis, lichen planus, lichen simplex chronicus, exfoliative dermatitis, folliculitis, bullous pemphigoid, or fiberglass dermatitis.

The Benzoazolylpiperazine Compounds can be used to treat or prevent psychosis, including but not limited to, schizophrenia, including paranoid schizophrenia, hebephrenic or disorganized schizophrenia, catatonic schizophrenia, undifferentiated 30 schizophrenia, negative or deficit subtype schizophrenia, and non-deficit schizophrenia; a delusional disorder, including erotomanic subtype delusional disorder, grandiose subtype

delusional disorder, jealous subtype delusional disorder, persecutory subtype delusional disorder, and somatic subtype delusional disorder; and brief psychosis.

The Benzoazolylpiperazine Compounds can be used to treat or prevent a cognitive disorder, including but not limited to, delirium and dementia such as multi-infarct 5 dementia, dementia pugilistica, dementia caused by AIDS, and dementia caused by Alzheimer's disease.

The Benzoazolylpiperazine Compounds can be used to treat or prevent a memory deficiency, including but not limited to, dissociative amnesia and dissociative fugue.

The Benzoazolylpiperazine Compounds can be used to treat or prevent 10 restricted brain function, including but not limited to, that caused by surgery or an organ transplant, restricted blood supply to the brain, a spinal cord injury, a head injury, hypoxia, cardiac arrest, or hypoglycemia.

The Benzoazolylpiperazine Compounds can be used to treat or prevent Huntington's chorea.

15 The Benzoazolylpiperazine Compounds can be used to treat or prevent ALS.

The Benzoazolylpiperazine Compounds can be used to treat or prevent retinopathy, including but not limited to, arteriosclerotic retinopathy, diabetic arteriosclerotic retinopathy, hypertensive retinopathy, non-proliferative retinopathy, and proliferative retinopathy.

20 The Benzoazolylpiperazine Compounds can be used to treat or prevent a muscle spasm.

The Benzoazolylpiperazine Compounds can be used to treat or prevent a migraine including, but not limited to, migraine without aura ("common migraine"), migraine with aura ("classic migraine"), migraine without headache, basilar migraine, familial 25 hemiplegic migraine, migrainous infarction, and migraine with prolonged aura.

The Benzoazolylpiperazine Compounds can be used to treat or prevent vomiting, including but not limited to, nausea vomiting, dry vomiting (retching), and regurgitation.

The Benzoazolylpiperazine Compounds can be used to treat or prevent 30 dyskinesia, including but not limited to, tardive dyskinesia and biliary dyskinesia.

The Benzoazolylpiperazine Compounds can be used to treat or prevent depression, including but not limited to, major depression and bipolar disorder.

Applicants believe that the Benzoazolylpiperazine Compounds are antagonists for VR1.

5 The invention also relates to methods for inhibiting VR1 function in a cell comprising contacting a cell capable of expressing VR1 with an effective amount of a Benzoazolylpiperazine Compound. This method can be used *in vitro*, for example, as an assay to select cells that express VR1 and, accordingly, are useful as part of an assay to select compounds useful for treating or preventing pain, UI, an ulcer, IBD, or IBS. The method is
10 also useful for inhibiting VR1 function in a cell *in vivo*, in an animal, a human in one embodiment, by contacting a cell, in an animal, with an effective amount of a Benzoazolylpiperazine Compound. In one embodiment, the method is useful for treating or preventing pain in an animal. In another embodiment, the method is useful for treating or preventing UI in an animal. In another embodiment, the method is useful for treating or
15 preventing an ulcer in an animal. In another embodiment, the method is useful for treating or preventing IBD in an animal. In another embodiment, the method is useful for treating or preventing IBS in an animal.

Examples of tissue comprising cells capable of expressing VR1 include, but are not limited to, neuronal, brain, kidney, urothelium, and bladder tissue. Methods for
20 assaying cells that express VR1 are well known in the art.

Applicants believe that the Benzoazolylpiperazine Compounds are antagonists for mGluR5.

The invention also relates to methods for inhibiting mGluR5 function in a cell comprising contacting a cell capable of expressing mGluR5 with an amount of a Benzoazolylpiperazine Compound effective to inhibit mGluR5 function in the cell. This
25 method can be used *in vitro*, for example, as an assay to select cells that express mGluR5 and, accordingly, are useful as part of an assay to select compounds useful for treating or preventing pain, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, a pruritic condition, or psychosis. The method is also useful for inhibiting mGluR5 function in a cell *in*
30 *vivo*, in an animal, a human in one embodiment, by contacting a cell, in an animal, with an amount of a Benzoazolylpiperazine Compound effective to inhibit mGluR5 function in the

cell. In one embodiment, the method is useful for treating or preventing pain in an animal in need thereof. In another embodiment, the method is useful for treating or preventing an addictive disorder in an animal in need thereof. In another embodiment, the method is useful for treating or preventing Parkinson's disease in an animal in need thereof. In another 5 embodiment, the method is useful for treating or preventing parkinsonism in an animal in need thereof. In another embodiment, the method is useful for treating or preventing anxiety in an animal in need thereof. In another embodiment, the method is useful for treating or preventing a pruritic condition in an animal in need thereof. In another embodiment, the method is useful for treating or preventing psychosis in an animal in need thereof.

10 Examples of cells capable of expressing mGluR5 are neuronal and glial cells of the central nervous system, particularly the brain, especially in the nucleus accumbens. Methods for assaying cells that express mGluR5 are well known in the art.

Applicants believe that the Benzoazolylpiperazine Compounds are antagonists for mGluR1.

15 The invention also relates to methods for inhibiting mGluR1 function in a cell comprising contacting a cell capable of expressing mGluR1 with an amount of a Benzoazolylpiperazine Compound effective to inhibit mGluR1 function in the cell. This method can be used *in vitro*, for example, as an assay to select cells that express mGluR1 and, accordingly, are useful as part of an assay to select compounds useful for treating or 20 preventing pain, UI, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, a seizure, a pruritic condition, psychosis, a cognitive disorder, a memory deficit, restricted brain function, Huntington's chorea, ALS, dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia, or depression. The method is also useful for inhibiting mGluR1 function in a cell *in vivo*, in an animal, a human in one embodiment, by 25 contacting a cell, in an animal, with an amount of a Benzoazolylpiperazine Compound effective to inhibit mGluR1 function in the cell. In one embodiment, the method is useful for treating or preventing pain in an animal in need thereof. In another embodiment, the method is useful for treating or preventing UI in an animal in need thereof. In another embodiment, the method is useful for treating or preventing an addictive disorder in an animal in need 30 thereof. In another embodiment, the method is useful for treating or preventing Parkinson's disease in an animal in need thereof. In another embodiment, the method is useful for

treating or preventing parkinsonism in an animal in need thereof. In another embodiment, the method is useful for treating or preventing anxiety in an animal in need thereof. In another embodiment, the method is useful for treating or preventing epilepsy in an animal in need thereof. In another embodiment, the method is useful for treating or preventing stroke in an animal in need thereof. In another embodiment, the method is useful for treating or preventing a seizure in an animal in need thereof. In another embodiment, the method is useful for treating or preventing a pruritic condition in an animal in need thereof. In another embodiment, the method is useful for treating or preventing psychosis in an animal in need thereof. In another embodiment, the method is useful for treating or preventing a cognitive disorder in an animal in need thereof. In another embodiment, the method is useful for treating or preventing a memory deficit in an animal in need thereof. In another embodiment, the method is useful for treating or preventing restricted brain function in an animal in need thereof. In another embodiment, the method is useful for treating or preventing Huntington's chorea in an animal in need thereof. In another embodiment, the method is useful for treating or preventing ALS in an animal in need thereof. In another embodiment, the method is useful for treating or preventing dementia in an animal in need thereof. In another embodiment, the method is useful for treating or preventing retinopathy in an animal in need thereof. In another embodiment, the method is useful for treating or preventing a muscle spasm in an animal in need thereof. In another embodiment, the method is useful for treating or preventing a migraine in an animal in need thereof. In another embodiment, the method is useful for treating or preventing vomiting in an animal in need thereof. In another embodiment, the method is useful for treating or preventing dyskinesia in an animal in need thereof. In another embodiment, the method is useful for treating or preventing depression in an animal in need thereof.

Examples of cells capable of expressing mGluR1 include, but are not limited to, cerebellar Purkinje neuron cells, Purkinje cell bodies (punctate), cells of spine(s) of the cerebellum; neurons and neurophil cells of olfactory-bulb glomeruli; cells of the superficial layer of the cerebral cortex; hippocampus cells; thalamus cells; superior colliculus cells; and spinal trigeminal nucleus cells. Methods for assaying cells that express mGluR1 are well known in the art.

**4.3.1 THERAPEUTIC/PROPHYLACTIC ADMINISTRATION
AND COMPOSITIONS OF THE INVENTION**

Due to their activity, the Benzoazolylpiperazine Compounds are advantageously useful in veterinary and human medicine. As described above, the

5 Benzoazolylpiperazine Compounds are useful for treating or preventing pain, UI, an ulcer, IBD, IBS, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, a seizure, a pruritic condition, psychosis, a cognitive disorder, a memory deficit, restricted brain function, Huntington's chorea, ALS, dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia, or depression in an animal in need thereof.

10 When administered to an animal, the Benzoazolylpiperazine Compounds can be administered as a component of a composition that comprises a pharmaceutically acceptable vehicle. The present compositions, which comprise a Benzoazolylpiperazine Compound, can be administered orally. The Benzoazolylpiperazine Compounds of the invention can also be administered by any other convenient route, for example, by infusion or

15 bolus injection, by absorption through epithelial or mucocutaneous linings (*e.g.*, oral, rectal, and intestinal mucosa, *etc.*) and can be administered together with another biologically active agent. Administration can be systemic or local. Various delivery systems are known, *e.g.*, encapsulation in liposomes, microparticles, microcapsules, capsules, *etc.*, and can be used to administer the Benzoazolylpiperazine Compound.

20 Methods of administration include, but are not limited to, intradermal, intramuscular, intraperitoneal, intravenous, subcutaneous, intranasal, epidural, oral, sublingual, intracerebral, intravaginal, transdermal, rectal, by inhalation, or topical, particularly to the ears, nose, eyes, or skin. The mode of administration can be left to the discretion of the practitioner. In most instances, administration will result in the release of

25 the Benzoazolylpiperazine Compounds into the bloodstream.

In specific embodiments, it can be desirable to administer the Benzoazolylpiperazine Compounds locally. This can be achieved, for example, and not by way of limitation, by local infusion during surgery, topical application, *e.g.*, in conjunction with a wound dressing after surgery, by injection, by means of a catheter, by means of a

30 suppository or enema, or by means of an implant, said implant being of a porous, non-porous, or gelatinous material, including membranes, such as sialastic membranes, or fibers.

In certain embodiments, it can be desirable to introduce the Benzoazolylpiperazine Compounds into the central nervous system or gastrointestinal tract by any suitable route, including intraventricular, intrathecal, and epidural injection, and enema. Intraventricular injection can be facilitated by an intraventricular catheter, for example, 5 attached to a reservoir, such as an Ommaya reservoir.

Pulmonary administration can also be employed, e.g., by use of an inhaler or nebulizer, and formulation with an aerosolizing agent, or via perfusion in a fluorocarbon or synthetic pulmonary surfactant. In certain embodiments, the Benzoazolylpiperazine Compounds can be formulated as a suppository, with traditional binders and excipients such 10 as triglycerides.

In another embodiment, the Benzoazolylpiperazine Compounds can be delivered in a vesicle, in particular a liposome (see Langer, *Science* 249:1527-1533 (1990) and Treat *et al.*, *Liposomes in the Therapy of Infectious Disease and Cancer* 317-327 and 353-365 (1989)).

15 In yet another embodiment, the Benzoazolylpiperazine Compounds can be delivered in a controlled-release system or sustained-release system (see, e.g., Goodson, in *Medical Applications of Controlled Release*, *supra*, vol. 2, pp. 115-138 (1984)). Other controlled- or sustained-release systems discussed in the review by Langer, *Science* 249:1527-1533 (1990) can be used. In one embodiment, a pump can be used (Langer, 20 *Science* 249:1527-1533 (1990); Sefton, *CRC Crit. Ref. Biomed. Eng.* 14:201 (1987); Buchwald *et al.*, *Surgery* 88:507 (1980); and Saudek *et al.*, *N. Engl. J. Med.* 321:574 (1989)). In another embodiment, polymeric materials can be used (see *Medical Applications of Controlled Release* (Langer and Wise eds., 1974); *Controlled Drug Bioavailability, Drug Product Design and Performance* (Smolen and Ball eds., 1984); Ranger and Peppas, *J. 25 Macromol. Sci. Rev. Macromol. Chem.* 23:61 (1983); Levy *et al.*, *Science* 228:190 (1985); During *et al.*, *Ann. Neurol.* 25:351 (1989); and Howard *et al.*, *J. Neurosurg.* 71:105 (1989)). In yet another embodiment, a controlled- or sustained-release system can be placed in proximity of a target of the Benzoazolylpiperazine Compounds, e.g., the spinal column, brain, or gastrointestinal tract, thus requiring only a fraction of the systemic dose.

30 In one embodiment, the pharmaceutically acceptable vehicle is an excipient. Such a pharmaceutical excipient can be a liquid, such as water or an oil, including those of

petroleum, animal, vegetable, or synthetic origin, such as peanut oil, soybean oil, mineral oil, sesame oil and the like. The pharmaceutical excipients can be saline, gum acacia, gelatin, starch paste, talc, keratin, colloidal silica, urea and the like. In addition, auxiliary, stabilizing, thickening, lubricating, and coloring agents can be used. In one embodiment, the

5 pharmaceutically acceptable excipients are sterile when administered to an animal. Water is a particularly useful excipient when the Benzoazolylpiperazine Compound is administered intravenously. Saline solutions and aqueous dextrose and glycerol solutions can also be employed as liquid excipients, particularly for injectable solutions. Suitable pharmaceutical excipients also include starch, glucose, lactose, sucrose, gelatin, malt, rice, flour, chalk, silica
10 gel, sodium stearate, glycerol monostearate, talc, sodium chloride, dried skim milk, glycerol, propylene, glycol, water, ethanol and the like. The present compositions, if desired, can also contain minor amounts of wetting or emulsifying agents, or pH buffering agents.

The present compositions can take the form of solutions, suspensions, emulsion, tablets, pills, pellets, capsules, capsules containing liquids, powders,
15 sustained-release formulations, suppositories, emulsions, aerosols, sprays, suspensions, or any other form suitable for use. In one embodiment, the composition is in the form of a capsule (see e.g., U.S. Patent No. 5,698,155). Other examples of suitable pharmaceutical excipients are described in *Remington's Pharmaceutical Sciences* 1447-1676 (Alfonso R. Gennaro ed., 19th ed. 1995), incorporated herein by reference.

20 In one embodiment, the Benzoazolylpiperazine Compounds are formulated in accordance with routine procedures as a composition adapted for oral administration to human beings. Compositions for oral delivery can be in the form of tablets, lozenges, aqueous or oily suspensions, granules, powders, emulsions, capsules, syrups, or elixirs, for example. Orally administered compositions can contain one or more agents, for example,
25 sweetening agents such as fructose, aspartame or saccharin; flavoring agents such as peppermint, oil of wintergreen, or cherry; coloring agents; and preserving agents, to provide a pharmaceutically palatable preparation. Moreover, where in tablet or pill form, the compositions can be coated to delay disintegration and absorption in the gastrointestinal tract thereby providing a sustained action over an extended period of time. Selectively permeable
30 membranes surrounding an osmotically active driving compound are also suitable for orally administered compositions. In these latter platforms, fluid from the environment surrounding

the capsule is imbibed by the driving compound, which swells to displace the agent or agent composition through an aperture. These delivery platforms can provide an essentially zero order delivery profile as opposed to the spiked profiles of immediate release formulations. A time-delay material such as glycerol monostearate or glycerol stearate can also be used. Oral 5 compositions can include standard excipients such as mannitol, lactose, starch, magnesium stearate, sodium saccharin, cellulose, and magnesium carbonate. In one embodiment, the excipients are of pharmaceutical grade.

In another embodiment, the Benzoazolylpiperazine Compounds can be formulated for intravenous administration. Typically, compositions for intravenous 10 administration comprise sterile isotonic aqueous buffer. Where necessary, the compositions can also include a solubilizing agent. Compositions for intravenous administration can optionally include a local anesthetic such as lignocaine to lessen pain at the site of the injection. Generally, the ingredients are supplied either separately or mixed together in unit dosage form, for example, as a dry lyophilized powder or water free concentrate in a 15 hermetically sealed container such as an ampule or sachette indicating the quantity of active agent. Where the Benzoazolylpiperazine Compounds are to be administered by infusion, they can be dispensed, for example, with an infusion bottle containing sterile pharmaceutical grade water or saline. Where the Benzoazolylpiperazine Compounds are administered by injection, an ampule of sterile water for injection or saline can be provided so that the ingredients can 20 be mixed prior to administration.

The Benzoazolylpiperazine Compounds can be administered by controlled-release or sustained-release means or by delivery devices that are well known to those of ordinary skill in the art. Examples include, but are not limited to, those described in U.S. Patent Nos.: 3,845,770; 3,916,899; 3,536,809; 3,598,123; 4,008,719; 5,674,533; 5,059,595; 25 5,591,767; 5,120,548; 5,073,543; 5,639,476; 5,354,556; and 5,733,566, each of which is incorporated herein by reference. Such dosage forms can be used to provide controlled- or sustained-release of one or more active ingredients using, for example, hydropropylmethyl cellulose, other polymer matrices, gels, permeable membranes, osmotic systems, multilayer coatings, microparticles, liposomes, microspheres, or a combination thereof to provide the 30 desired release profile in varying proportions. Suitable controlled- or sustained-release formulations known to those of ordinary skill in the art, including those described herein, can

be readily selected for use with the active ingredients of the invention. The invention thus encompasses single unit dosage forms suitable for oral administration such as, but not limited to, tablets, capsules, gelcaps, and caplets that are adapted for controlled- or sustained-release.

Controlled- or sustained-release pharmaceutical compositions can have a

5 common goal of improving drug therapy over that achieved by their non-controlled or non-sustained counterparts. In one embodiment, a controlled- or sustained-release composition comprises a minimal amount of a Benzoazolylpiperazine Compound to cure or control the condition in a minimum amount of time. Advantages of controlled- or sustained-release compositions include extended activity of the drug, reduced dosage frequency, and increased
10 patient compliance. In addition, controlled- or sustained-release compositions can favorably affect the time of onset of action or other characteristics, such as blood levels of the Benzoazolylpiperazine Compound, and can thus reduce the occurrence of adverse side effects.

Controlled- or sustained-release compositions can initially release an amount

15 of a Benzoazolylpiperazine Compound that promptly produces the desired therapeutic or prophylactic effect, and gradually and continually release other amounts of the Benzoazolylpiperazine Compound to maintain this level of therapeutic or prophylactic effect over an extended period of time. To maintain a constant level of the Benzoazolylpiperazine Compound in the body, the Benzoazolylpiperazine Compound can be released from the
20 dosage form at a rate that will replace the amount of Benzoazolylpiperazine Compound being metabolized and excreted from the body. Controlled- or sustained-release of an active ingredient can be stimulated by various conditions, including but not limited to, changes in pH, changes in temperature, concentration or availability of enzymes, concentration or availability of water, or other physiological conditions or compounds.

25 The amount of the Benzoazolylpiperazine Compound that is effective in the treatment or prevention of pain, UI, an ulcer, IBD, IBS, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, a seizure, a pruritic condition, psychosis, a cognitive disorder, a memory deficit, restricted brain function, Huntington's chorea, ALS, dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia, or depression and
30 can be determined by standard clinical techniques. In addition, *in vitro* or *in vivo* assays can optionally be employed to help identify optimal dosage ranges. The precise dose to be

employed will also depend on the route of administration, and the seriousness of the condition being treated and should be decided according to the judgment of the practitioner and each patient's circumstances in view of, e.g., published clinical studies. Suitable effective dosage amounts, however, range from about 10 micrograms to about 2500 milligrams about 5 every 4 h, although they are typically about 100 mg or less. In one embodiment, the effective dosage amount ranges from about 0.01 milligrams to about 100 milligrams of a Benzoazolylpiperazine Compound about every 4 h, in another embodiment, about 0.020 milligrams to about 50 milligrams about every 4 h, and in another embodiment, about 0.025 milligrams to about 20 milligrams about every 4 h. The effective dosage amounts described 10 herein refer to total amounts administered; that is, if more than one Benzoazolylpiperazine Compound is administered, the effective dosage amounts correspond to the total amount administered.

Where a cell capable of expressing VR1, mGluR5, or mGluR1 is contacted with a Benzoazolylpiperazine Compound *in vitro*, the amount effective for inhibiting the 15 receptor function in a cell will typically range from about 0.01 µg/L to about 5 mg/L, in one embodiment, from about 0.01 µg/L to about 2.5 mg/L, in another embodiment, from about 0.01 µg/L to about 0.5 mg/L, and in another embodiment, from about 0.01 µg/L to about 0.25 mg/L of a solution or suspension of a pharmaceutically acceptable carrier or excipient. In one embodiment, the volume of solution or suspension is from about 1 µL to about 1 mL. In 20 another embodiment, the volume of solution or suspension is about 200 µL.

Where a cell capable of expressing VR1, mGluR5, or mGluR1 is contacted with a Benzoazolylpiperazine Compound *in vivo*, the amount effective for inhibiting the receptor function in a cell will typically range from about 0.01 mg to about 100 mg/kg of body weight per day, in one embodiment, from about 0.1 mg to about 50 mg/kg body weight 25 per day, and in another embodiment, from about 1 mg to about 20 mg/kg of body weight per day.

The Benzoazolylpiperazine Compounds can be assayed *in vitro* or *in vivo* for the desired therapeutic or prophylactic activity prior to use in humans. Animal model systems can be used to demonstrate safety and efficacy.

30 The present methods for treating or preventing pain, UI, an ulcer, IBD, IBS, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, a seizure, a

pruritic condition, psychosis, a cognitive disorder, a memory deficit, restricted brain function, Huntington's chorea, ALS, dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia, or depression in an animal in need thereof can further comprise administering to the animal being administered a Benzoazolylpiperazine Compound another therapeutic agent.

5 In one embodiment, the other therapeutic agent is administered in an effective amount.

The present methods for inhibiting VR1 function in a cell capable of expressing VR1 can further comprise contacting the cell with an effective amount of another therapeutic agent.

The present methods for inhibiting mGluR5 function in a cell capable of expressing mGluR5 can further comprise contacting the cell with an effective amount of another therapeutic agent.

The present methods for inhibiting mGluR1 function in a cell capable of expressing mGluR1 can further comprise contacting the cell with an effective amount of another therapeutic agent.

15 The other therapeutic agent includes, but is not limited to, an opioid agonist, a non-opioid analgesic, a non-steroid anti-inflammatory agent, an antimigraine agent, a Cox-II inhibitor, an antiemetic, a β -adrenergic blocker, an anticonvulsant, an antidepressant, a Ca²⁺-channel blocker, an anticancer agent, an agent for treating or preventing UI, an agent for treating or preventing an ulcer, an agent for treating or preventing IBD, an agent for 20 treating or preventing IBS, an agent for treating addictive disorder, an agent for treating Parkinson's disease and parkinsonism, an agent for treating anxiety, an agent for treating epilepsy, an agent for treating a stroke, an agent for treating a seizure, an agent for treating a pruritic condition, an agent for treating psychosis, an agent for treating Huntington's chorea, an agent for treating ALS, an agent for treating a cognitive disorder, an agent for treating a 25 migraine, an agent for treating vomiting, an agent for treating dyskinesia, or an agent for treating depression, and mixtures thereof.

Effective amounts of the other therapeutic agents are well known to those skilled in the art. However, it is well within the skilled artisan's purview to determine the other therapeutic agent's optimal effective-amount range. In one embodiment of the 30 invention, where another therapeutic agent is administered to an animal, the effective amount of the Benzoazolylpiperazine Compound is less than its effective amount would be where the

other therapeutic agent is not administered. In this case, without being bound by theory, it is believed that the Benzoazolylpiperazine Compounds and the other therapeutic agent act synergistically to treat or prevent pain, UI, an ulcer, IBD, IBS, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, a seizure, a pruritic condition, 5 psychosis, a cognitive disorder, a memory deficit, restricted brain function, Huntington's chorea, ALS, dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia, or depression.

Examples of useful opioid agonists include, but are not limited to, alfentanil, allylprodine, alphaprodine, anileridine, benzylmorphine, bezitramide, buprenorphine, 10 butorphanol, clonitazene, codeine, desomorphine, dextromoramide, dezocine, diamorphide, diamorphone, dihydrocodeine, dihydromorphine, dimenoxadol, dimepheptanol, dimethylthiambutene, dioxaphetyl butyrate, dipipanone, eptazocine, ethoheptazine, ethylmethylthiambutene, ethylmorphine, etonitazene fentanyl, heroin, hydrocodone, hydromorphone, hydroxypethidine, isomethadone, ketobemidone, levorphanol, 15 levophenacylmorphan, lofentanil, meperidine, meptazinol, metazocine, methadone, metopon, morphine, myrophine, nalbuphine, narceine, nicomorphine, norlevorphanol, normethadone, nalorphine, normorphine, norpipanone, opium, oxycodone, oxymorphone, papaveretum, pentazocine, phenadoxone, phenomorphan, phenazocine, phenoperidine, piminodine, piritramide, proheptazine, promedol, properidine, propiram, propoxyphene, sufentanil, 20 tilidine, tramadol, pharmaceutically acceptable salts thereof, and mixtures thereof.

In certain embodiments, the opioid agonist is selected from codeine, hydromorphone, hydrocodone, oxycodone, dihydrocodeine, dihydromorphine, morphine, tramadol, oxymorphone, pharmaceutically acceptable salts thereof, and mixtures thereof.

25 Examples of useful non-opioid analgesics include non-steroidal anti-inflammatory agents, such as aspirin, ibuprofen, diclofenac, naproxen, benoxaprofen, flurbiprofen, fenoprofen, flubufen, ketoprofen, indoprofen, piroprofen, carprofen, oxaprozin, pramoprofen, muroprofen, trioxaprofen, suprofen, aminoprofen, tiaprofenic acid, fluprofen, bucloxic acid, indomethacin, sulindac, tolmetin, zomepirac, tiopinac, zidometacin, 30 acemetacin, fentiazac, clidanac, oxpinacl, mefenamic acid, meclofenamic acid, flufenamic acid, niflumic acid, tolfenamic acid, diflurisal, flufenisal, piroxicam, sudoxicam, isoxicam,

and pharmaceutically acceptable salts thereof, and mixtures thereof. Other suitable non-opioid analgesics include the following, non-limiting, chemical classes of analgesic, antipyretic, nonsteroidal anti-inflammatory drugs: salicylic acid derivatives, including aspirin, sodium salicylate, choline magnesium trisalicylate, salsalate, diflunisal, 5 salicylsalicylic acid, sulfasalazine, and olsalazine; para-aminophenol derivatives including acetaminophen and phenacetin; indole and indene acetic acids, including indomethacin, sulindac, and etodolac; heteroaryl acetic acids, including tolmetin, diclofenac, and ketorolac; anthranilic acids (fenamates), including mefenamic acid and meclofenamic acid; enolic acids, including oxicams (piroxicam, tenoxicam), and pyrazolidinediones (phenylbutazone, 10 oxyphenthartazone); and alkanones, including nabumetone. For a more detailed description of the NSAIDs, see *Paul A. Insel, Analgesic-Antipyretic and Anti-inflammatory Agents and Drugs Employed in the Treatment of Gout, in Goodman & Gilman's The Pharmacological Basis of Therapeutics* 617-57 (Perry B. Molinhoff and Raymond W. Ruddon eds., 9th ed 1996) and Glen R. Hanson, *Analgesic, Antipyretic and Anti-Inflammatory Drugs in 15 Remington: The Science and Practice of Pharmacy Vol II* 1196-1221 (A.R. Gennaro ed. 19th ed. 1995) which are hereby incorporated by reference in their entireties.

Examples of useful Cox-II inhibitors and 5-lipoxygenase inhibitors, as well as combinations thereof, are described in U.S. Patent No. 6,136,839, which is hereby incorporated by reference in its entirety. Examples of useful Cox-II inhibitors include, but are 20 not limited to, rofecoxib and celecoxib.

Examples of useful antimigraine agents include, but are not limited to, alapropride, dihydroergotamine, dolasetron, ergocornine, ergocorninine, ergocryptine, ergot, ergotamine, flumedroxone acetate, fonazine, lisuride, lomerizine, methysergide oxetorone, pizotyline, and mixtures thereof.

25 The other therapeutic agent can also be an agent useful for reducing any potential side effects of a Benzoazolylpiperazine Compounds. For example, the other therapeutic agent can be an antiemetic agent. Examples of useful antiemetic agents include, but are not limited to, metoclopramide, domperidone, prochlorperazine, promethazine, chlorpromazine, trimethobenzamide, ondansetron, granisetron, hydroxyzine, acetylleucine, 30 monoethanolamine, alizapride, azasetron, benzquinamide, bietanautine, bromopride, buclizine, clebopride, cyclizine, dimenhydrinate, diphenidol, dolasetron, meclizine,

methallatal, metopimazine, nabilone, oxyperndyl, pipamazine, scopolamine, sulpiride, tetrahydrocannabinol, thiethylperazine, thioproperazine, tropisetron, and mixtures thereof.

Examples of useful β -adrenergic blockers include, but are not limited to, acebutolol, alprenolol, amosulabol, arotinolol, atenolol, befunolol, betaxolol, bevantolol, 5 bisoprolol, bopindolol, bucumolol, bufetolol, bufuralol, bunitrolol, bupranolol, butidrine hydrochloride, butofilolol, carazolol, carteolol, carvedilol, celiprolol, cetamolol, cloranolol, dilevalol, epanolol, esmolol, indenolol, labetalol, levobunolol, mepindolol, metipranolol, metoprolol, moprolol, nadolol, nadoxolol, nebivalol, nifenalol, nipradilol, oxprenolol, penbutolol, pindolol, practolol, pronethalol, propranolol, sotalol, sulfinalol, talinolol, 10 tertatolol, tilisolol, timolol, toliprolol, and xibenolol.

Examples of useful anticonvulsants include, but are not limited to, acetylpheneturide, albutoin, aloidone, aminoglutethimide, 4-amino-3-hydroxybutyric acid, atrolactamide, beclamide, buramate, calcium bromide, carbamazepine, cinromide, clomethiazole, clonazepam, decimemide, diethadione, dimethadione, doxenitroin, eterobarb, 15 ethadione, ethosuximide, ethotoin, felbamate, fluoresone, gabapentin, 5-hydroxytryptophan, lamotrigine, magnesium bromide, magnesium sulfate, mephenytoin, mephobarbital, metharbital, methetoin, methsuximide, 5-methyl-5-(3-phenanthryl)-hydantoin, 3-methyl-5-phenylhydantoin, narcobarbital, nimetazepam, nitrazepam, oxcarbazepine, paramethadione, phenacemide, phenetharbial, pheneturide, phenobarbital, phensuximide, 20 phenylmethylbarbituric acid, phenytoin, phethenylate sodium, potassium bromide, pregabaline, primidone, progabide, sodium bromide, solanum, strontium bromide, suclofenide, sulthiame, tetrantoin, tiagabine, topiramate, trimethadione, valproic acid, valpromide, vigabatrin, and zonisamide.

Examples of useful antidepressants include, but are not limited to, binedaline, 25 caroxazone, citalopram, dimethazan, fencamine, indalpine, indeloxazine hydrochloride, nefopam, nomifensine, oxitriptan, oxypertine, paroxetine, sertraline, thiazesim, trazodone, benmoxine, iproclozide, iproniazid, isocarboxazid, nialamide, octamoxin, phenelzine, cotinine, rolicyprine, rolipram, maprotiline, metralindole, mianserin, mirtazepine, adinazolam, amitriptyline, amitriptylinoxide, amoxapine, butriptyline, clomipramine, 30 demexiptiline, desipramine, dibenzepin, dimetacrine, dothiepin, doxepin, fluacizine, imipramine, imipramine N-oxide, iprindole, lofepramine, melitracen, metapramine,

nortriptyline, noxiptilin, opipramol, pizotyline, propizepine, protriptyline, quinupramine, tianeptine, trimipramine, adrafinil, benactyzine, bupropion, butacetin, dioxadrol, duloxetidine, etoperidone, febarbamate, femoxetine, fenpentadiol, fluoxetine, fluvoxamine, hematoporphyrin, hypericin, levophacetoperane, medifoxamine, milnacipran, minaprine, 5 moclobemide, nefazodone, oxaflozane, piberaline, prolintane, pyrisuccideanol, ritanserin, roxindole, rubidium chloride, sulpiride, tandospirone, thozalinone, tofenacin, toloxatone, tranylcypromine, L-tryptophan, venlafaxine, viloxazine, and zimeldine.

Examples of useful Ca²⁺-channel blockers include, but are not limited to, bepridil, clentiazem, diltiazem, fendiline, gallopamil, mibepradil, prenylamine, semotiadil, 10 terodiline, verapamil, amlodipine, aranidipine, barnidipine, benidipine, cilnidipine, efondipine, elgodipine, felodipine, isradipine, lacidipine, lercanidipine, manidipine, nicardipine, nifedipine, nilvadipine, nimodipine, nisoldipine, nitrendipine, cinnarizine, flunarizine, lidoflazine, lomerizine, bencyclane, etafenone, fantofarone, and perhexiline.

Examples of useful anticancer agents include, but are not limited to, acivicin, 15 aclarubicin, acodazole hydrochloride, acronine, adozelesin, aldesleukin, altretamine, ambomycin, ametantrone acetate, aminoglutethimide, amsacrine, anastrozole, anthramycin, asparaginase, asperlin, azacitidine, azetepa, azotomycin, batimastat, benzodepa, bicalutamide, bisantrene hydrochloride, bisnafide dimesylate, bizelesin, bleomycin sulfate, brequinar sodium, bropirimine, busulfan, cactinomycin, calusterone, caracemide, carbetimer, 20 carboplatin, carmustine, carubicin hydrochloride, carzelesin, cedefingol, chlorambucil, cirolemycin, cisplatin, cladribine, crisnatol mesylate, cyclophosphamide, cytarabine, dacarbazine, dactinomycin, daunorubicin hydrochloride, decitabine, dexormaplatin, dezaguanine, dezaguanine mesylate, diaziquone, docetaxel, doxorubicin, doxorubicin hydrochloride, droloxitene, droloxitene citrate, dromostanolone propionate, duazomycin, 25 edatrexate, eflornithine hydrochloride, elsamitrucin, enloplatin, enpromate, epipropidine, epirubicin hydrochloride, erbulazole, esorubicin hydrochloride, estramustine, estramustine phosphate sodium, etanidazole, etoposide, etoposide phosphate, etoprime, fadrozole hydrochloride, fazarabine, fenretinide, floxuridine, fludarabine phosphate, fluorouracil, flurocitabine, fosquidone, fostriecin sodium, gemcitabine, gemcitabine hydrochloride, 30 hydroxyurea, idarubicin hydrochloride, ifosfamide, ilmofosine, interleukin II (including recombinant interleukin II or rIL2), interferon alfa-2a, interferon alfa-2b, interferon alfa-n1 ,

interferon alfa-n3, interferon beta-I a, interferon gamma-I b, iproplatin, irinotecan hydrochloride, lanreotide acetate, letrozole, leuprolide acetate, liarozole hydrochloride, lometrexol sodium, lomustine, losoxantrone hydrochloride, masoprolol, maytansine, mechlorethamine hydrochloride, megestrol acetate, melengestrol acetate, melphalan, 5 menogaril, mercaptopurine, methotrexate, methotrexate sodium, metoprine, meturedepa, mitindomide, mitocarcin, mitocromin, mitogillin, mitomalcin, mitomycin, mitosper, mitotane, mitoxantrone hydrochloride, mycophenolic acid, nocodazole, nogalamycin, ormaplatin, oxisuran, paclitaxel, pegaspargase, peliomycin, pentamustine, peplomycin sulfate, perfosfamide, pipobroman, piposulfan, piroxantrone hydrochloride, plicamycin, 10 plomestane, porfimer sodium, porfiromycin, prednimustine, procarbazine hydrochloride, puromycin, puromycin hydrochloride, pyrazofurin, riboprime, rogletimide, safingol, safingol hydrochloride, semustine, simtrazene, sparfosate sodium, sparsomycin, spirogermanium hydrochloride, spiromustine, spiroplatin, streptonigrin, streptozocin, sulofenur, talisomycin, tecogalan sodium, tegafur, teloxantrone hydrochloride, temoporfin, teniposide, teroxirone, 15 testolactone, thiamiprime, thioguanine, thiotapec, tiazofurin, tirapazamine, toremifene citrate, trestolone acetate, triciribine phosphate, trimetrexate, trimetrexate glucuronate, triptorelin, tubulozole hydrochloride, uracil mustard, uredepa, varepotide, verteporfin, vinblastine sulfate, vincristine sulfate, vindesine, vindesine sulfate, vinepidine sulfate, vinglycinate sulfate, vinleurosine sulfate, vinorelbine tartrate, vinrosidine sulfate, vinzolidine sulfate, 20 vorozole, zeniplatin, zinostatin, zorubicin hydrochloride.

Examples of other anti-cancer drugs include, but are not limited to,

20-epi-1,25 dihydroxyvitamin D3; 5-ethynyluracil; abiraterone; aclarubicin; acylfulvene; adecyfenol; adozelesin; aldesleukin; ALL-TK antagonists; altretamine; ambamustine; amidox; amifostine; aminolevulinic acid; amrubicin; amsacrine; anagrelide; anastrozole; 25 andrographolide; angiogenesis inhibitors; antagonist D; antagonist G; antarelix; anti-dorsalizing morphogenetic protein-1; antiandrogen, prostatic carcinoma; antiestrogen; antineoplaston; antisense oligonucleotides; aphidicolin glycinate; apoptosis gene modulators; apoptosis regulators; apurinic acid; ara-CDP-DL-PTBA; arginine deiminase; asulacrine; atamestane; atrimustine; axinastatin 1; axinastatin 2; axinastatin 3; azasetron; azatoxin; 30 azatyrosine; baccatin III derivatives; balanol; batimastat; BCR/ABL antagonists; benzochlorins; benzoylstaurosporine; beta lactam derivatives; beta-alethine; betaclamycin B;

betulinic acid; bFGF inhibitor; bicalutamide; bisantrene; bisaziridinylspermine; bisnafide; bistratene A; bizelesin; breflate; bropirimine; budotitane; buthionine sulfoximine; calcipotriol; calphostin C; camptothecin derivatives; canarypox IL-2; capecitabine; carboxamide-amino-triazole; carboxyamidotriazole; CaRest M3; CARN 700; cartilage
5 derived inhibitor; carzelesin; casein kinase inhibitors (ICOS); castanospermine; cecropin B; cetrorelix; chlorlins; chloroquinoxaline sulfonamide; cicaprost; cis-porphyrin; cladribine; clomifene analogues; clotrimazole; collismycin A; collismycin B; combretastatin A4; combretastatin analogue; conagenin; crambescidin 816; crisnatol; cryptophycin 8; cryptophycin A derivatives; curacin A; cyclopentanthraquinones; cycloplatam; cypemycin;
10 cytarabine ocfosfate; cytolytic factor; cytostatin; dacliximab; decitabine; dehydrodidemnin B; deslorelin; dexamethasone; dexifosfamide; dexrazoxane; dexverapamil; diaziquone; didemnin B; didox; diethylnorspermine; dihydro-5-azacytidine; dihydrotaxol, 9-; dioxamycin; diphenyl spiromustine; docetaxel; docosanol; dolasetron; doxifluridine; droloxifene; dronabinol; duocarmycin SA; ebselen; ecomustine; edelfosine; edrecolomab; eflornithine;
15 elemene; emitefur; epirubicin; epristeride; estramustine analogue; estrogen agonists; estrogen antagonists; etanidazole; etoposide phosphate; exemestane; fadrozole; fazarabine; fenretinide; filgrastim; finasteride; flavopiridol; flezelastine; fluasterone; fludarabine; fluorodaunorunicin hydrochloride; forfenimex; formestane; fostriecin; fotemustine; gadolinium texaphyrin; gallium nitrate; galocitabine; ganirelix; gelatinase inhibitors; gemcitabine; glutathione
20 inhibitors; hepsulfam; heregulin; hexamethylene bisacetamide; hypericin; ibandronic acid; idarubicin; idoxifene; idramantone; ilmofosine; ilomastat; imidazoacridones; imiquimod; immunostimulant peptides; insulin-like growth factor-1 receptor inhibitor; interferon agonists; interferons; interleukins; iobenguane; iododoxorubicin; ipomeanol, 4-; iroplact; irsogladine; isobengazole; isohomohalicondrin B; itasetron; jasplakinolide; kahalalide F;
25 lamellarin-N triacetate; lanreotide; leinamycin; lenograstim; lentinan sulfate; leptolstatin; letrozole; leukemia inhibiting factor; leukocyte alpha interferon; leuprolide+estrogen+progesterone; leuprorelin; levamisole; liarozole; linear polyamine analogue; lipophilic disaccharide peptide; lipophilic platinum compounds; lissoclinamide 7; lobaplatin; lombricine; lometrexol; lonidamine; losoxantrone; lovastatin; loxoribine;
30 luritotecan; lutetium texaphyrin; lysofylline; lytic peptides; maitansine; mannostatin A; marimastat; masoprolol; maspin; matrilysin inhibitors; matrix metalloproteinase inhibitors;

menogaril; merbarone; meterelin; methioninase; metoclopramide; MIF inhibitor; mifepristone; miltefosine; mirimostim; mismatched double stranded RNA; mitoguazone; mitolactol; mitomycin analogues; mitonafide; mitotoxin fibroblast growth factor-saporin; mitoxantrone; mofarotene; molgramostim; monoclonal antibody, human chorionic
5 gonadotrophin; monophosphoryl lipid A+myobacterium cell wall sk; mopidamol; multiple drug resistance gene inhibitor; multiple tumor suppressor 1-based therapy; mustard anticancer agent; mycaperoxide B; mycobacterial cell wall extract; myriaporone; N-acetyldinaline; N-substituted benzamides; nafarelin; nagrestip; naloxone+pentazocine; napavin; naphterpin; nartograstim; nedaplatin; nemorubicin; neridronic acid; neutral endopeptidase; nilutamide;
10 nisamycin; nitric oxide modulators; nitroxide antioxidant; nitrullyn; O6-benzylguanine; octreotide; okicenone; oligonucleotides; onapristone; ondansetron; ondansetron; oracin; oral cytokine inducer; ormaplatin; osaterone; oxaliplatin; oxaunomycin; paclitaxel; paclitaxel analogues; paclitaxel derivatives; palauamine; palmitoylrhizoxin; pamidronic acid; panaxytriol; panomifene; parabactin; pazelliptine; pegaspargase; peldesine; pentosan
15 polysulfate sodium; pentostatin; pentrozole; perflubron; perfosfamide; perillyl alcohol; phenazinomycin; phenylacetate; phosphatase inhibitors; picibanil; pilocarpine hydrochloride; pirarubicin; piritrexim; placetin A; placetin B; plasminogen activator inhibitor; platinum complex; platinum compounds; platinum-triamine complex; porfimer sodium; porfiromycin; prednisone; propyl bis-acridone; prostaglandin J2; proteasome inhibitors; protein A-based
20 immune modulator; protein kinase C inhibitor; protein kinase C inhibitors, microalgal; protein tyrosine phosphatase inhibitors; purine nucleoside phosphorylase inhibitors; purpurins; pyrazoloacridine; pyridoxylated hemoglobin polyoxyethylene conjugate; raf antagonists; raltitrexed; ramosetron; ras farnesyl protein transferase inhibitors; ras inhibitors; ras-GAP inhibitor; retelliptine demethylated; rhenium Re 186 etidronate; rhizoxin;
25 ribozymes; RII retinamide; rogelimide; rohitukine; romurtide; roquinimex; rubiginone B1; ruboxyl; safingol; saintopin; SarCNU; sarcophytol A; sargramostim; Sdi 1 mimetics; semustine; senescence derived inhibitor 1; sense oligonucleotides; signal transduction inhibitors; signal transduction modulators; single chain antigen binding protein; sizofiran; sobuzoxane; sodium borocaptate; sodium phenylacetate; solverol; somatomedin binding
30 protein; sonermin; sparfosic acid; spicamycin D; spiromustine; splenopentin; spongistatin 1; squalamine; stem cell inhibitor; stem-cell division inhibitors; stipiamide; stromelysin

inhibitors; sulfinosine; superactive vasoactive intestinal peptide antagonist; suradista; suramin; swainsonine; synthetic glycosaminoglycans; tallimustine; tamoxifen methiodide; tauromustine; tazarotene; tecogalan sodium; tegafur; tellurapyrylium; telomerase inhibitors; temoporfin; temozolamide; teniposide; tetrachlorodecaoxide; tetrazomine; thaliblastine; thiocoraline; thrombopoietin; thrombopoietin mimetic; thymalfasin; thymopoietin receptor agonist; thymotrinan; thyroid stimulating hormone; tin ethyl etiopurpurin; tirapazamine; titanocene bichloride; topsentin; toremifene; totipotent stem cell factor; translation inhibitors; tretinoin; triacetyluridine; triciribine; trimetrexate; triptorelin; tropisetron; turosteride; tyrosine kinase inhibitors; tyrphostins; UBC inhibitors; ubenimex; urogenital sinus-derived growth inhibitory factor; urokinase receptor antagonists; vapreotide; variolin B; vector system, erythrocyte gene therapy; velaresol; veramine; verdins; verteporfin; vinorelbine; vinxaltine; vitaxin; vorozole; zanoterone; zeniplatin; zilascorb; and zinostatin stimalamer.

Examples of useful therapeutic agents for treating or preventing UI include, but are not limited to, propantheline, imipramine, hyoscyamine, oxybutynin, and dicyclomine.

Examples of useful therapeutic agents for treating or preventing an ulcer include, antacids such as aluminum hydroxide, magnesium hydroxide, sodium bicarbonate, and calcium bicarbonate; sucraflate; bismuth compounds such as bismuth subsalicylate and bismuth subcitrate; H₂ antagonists such as cimetidine, ranitidine, famotidine, and nizatidine; H⁺, K⁺ - ATPase inhibitors such as omeprazole, iansoprazole, and lansoprazole; carbenoxolone; misprostol; and antibiotics such as tetracycline, metronidazole, timidazole, clarithromycin, and amoxicillin.

Examples of useful therapeutic agents for treating or preventing IBD include, but are not limited to, anticholinergic drugs; diphenoxylate; loperamide; deodorized opium tincture; codeine; broad-spectrum antibiotics such as metronidazole; sulfasalazine; olsalazine; mesalamine; prednisone; azathioprine; mercaptopurine; and methotrexate.

Examples of useful therapeutic agents for treating or preventing IBS include, but are not limited to, propantheline; muscarine receptor antagonists such as pirenzapine, methoctramine, ipratropium, tiotropium, scopolamine, methscopolamine, homatropine, homatropine methylbromide, and methantheline; and antidiarrheal drugs such as diphenoxylate and loperamide.

Examples of useful therapeutic agents for treating or preventing an addictive disorder include, but are not limited to, methadone, desipramine, amantadine, fluoxetine, buprenorphine, an opiate agonist, 3-phenoxyypyridine, levomethadyl acetate hydrochloride, and serotonin antagonists.

5 Examples of useful therapeutic agents for treating or preventing Parkinson's disease and parkinsonism include, but are not limited to, carbidopa/levodopa, pergolide, bromocriptine, ropinirole, pramipexole, entacapone, tolcapone, selegiline, amantadine, and trihexyphenidyl hydrochloride.

10 Examples of useful therapeutic agents for treating or preventing anxiety include, but are not limited to, benzodiazepines, such as alprazolam, brotizolam, chlordiazepoxide, clobazam, clonazepam, clorazepate, demoxepam, diazepam, estazolam, flumazenil, flurazepam, halazepam, lorazepam, midazolam, nitrazepam, nordazepam, oxazepam, prazepam, quazepam, temazepam, and triazolam; non-benzodiazepine agents, such as buspirone, gepirone, ipsapirone, tiospirone, zolpicone, zolpidem, and zaleplon;

15 tranquilizers, such as barbituates, *e.g.*, amobarbital, aprobarbital, butabarbital, butalbital, mephobarbital, methohexital, pentobarbital, phenobarbital, secobarbital, and thiopental; and propanediol carbamates, such as meprobamate and tybamate.

20 Examples of useful therapeutic agents for treating or preventing epilepsy include, but are not limited to, carbamazepine, ethosuximide, gabapentin, lamotrigine, phenobarbital, phenytoin, primidone, valproic acid, trimethadione, benzodiazepines, gabapentin, lamotrigine, γ -vinyl GABA, acetazolamide, and felbamate.

25 Examples of useful therapeutic agents for treating or preventing stroke include, but are not limited to, anticoagulants such as heparin, agents that break up clots such as streptokinase or tissue plasminogen activator, agents that reduce swelling such as mannitol or corticosteroids, and acetylsalicylic acid.

Examples of useful therapeutic agents for treating or preventing a seizure include, but are not limited to, carbamazepine, ethosuximide, gabapentin, lamotrigine, phenobarbital, phenytoin, primidone, valproic acid, trimethadione, benzodiazepines, gabapentin, lamotrigine, γ -vinyl GABA, acetazolamide, and felbamate.

30 Examples of useful therapeutic agents for treating or preventing a pruritic condition include, but are not limited to, naltrexone; nalmefene; danazol; tricyclics such as

amitriptyline, imipramine, and doxepin; antidepressants such as those given below, menthol; camphor; phenol; pramoxine; capsaicin; tar; steroids; and antihistamines.

Examples of useful therapeutic agents for treating or preventing psychosis include, but are not limited to, phenothiazines such as chlorpromazine hydrochloride, 5 mesoridazine besylate, and thordiazine hydrochloride; thioxanthenes such as chloroprothixene and thiothixene hydrochloride; clozapine; risperidone; olanzapine; quetiapine; quetiapine fumarate; haloperidol; haloperidol decanoate; loxapine succinate; molindone hydrochloride; pimozide; and ziprasidone.

Examples of useful therapeutic agents for treating or preventing Huntington's 10 chorea include, but are not limited to, haloperidol and pimozide.

Examples of useful therapeutic agents for treating or preventing ALS include, but are not limited to, baclofen, neurotrophic factors, riluzole, tizanidine, benzodiazepines such as clonazepam and dantrolene.

Examples of useful therapeutic agents for treating or preventing cognitive 15 disorders include, but are not limited to, agents for treating or preventing dementia such as tacrine; donepezil; ibuprofen; antipsychotic drugs such as thioridazine and haloperidol; and antidepressant drugs such as those given below.

Examples of useful therapeutic agents for treating or preventing a migraine 20 include, but are not limited to, sumatriptan; methysergide; ergotamine; caffeine; and beta-blockers such as propranolol, verapamil, and divalproex.

Examples of useful therapeutic agents for treating or preventing vomiting include, but are not limited to, 5-HT₃ receptor antagonists such as ondansetron, dolasetron, granisetron, and tropisetron; dopamine receptor antagonists such as prochlorperazine, thiethylperazine, chlorpromazine, metoclopramide, and domperidone; glucocorticoids such as 25 dexamethasone; and benzodiazepines such as lorazepam and alprazolam.

Examples of useful therapeutic agents for treating or preventing dyskinesia include, but are not limited to, reserpine and tetrabenazine.

Examples of useful therapeutic agents for treating or preventing depression include, but are not limited to, tricyclic antidepressants such as amitriptyline, amoxapine, 30 bupropion, clomipramine, desipramine, doxepin, imipramine, maprotilin, nefazadone, nortriptyline, protriptyline, trazodone, trimipramine, and venlafaxine; selective serotonin

reuptake inhibitors such as fluoxetine, fluvoxamine, paroxetine, and sertraline; monoamine oxidase inhibitors such as isocarboxazid, pargyline, phenelzine, and tranylcypromine; and psychostimulants such as dextroamphetamine and methylphenidate.

A Benzoazolylpiperazine Compound and the other therapeutic agent can act 5 additively or, in one embodiment, synergistically. In one embodiment, a Benzoazolylpiperazine Compound is administered concurrently with another therapeutic agent. In one embodiment, a composition comprising an effective amount of a Benzoazolylpiperazine Compound and an effective amount of another therapeutic agent can be administered. Alternatively, a composition comprising an effective amount of a 10 Benzoazolylpiperazine Compound and a different composition comprising an effective amount of another therapeutic agent can be concurrently administered. In another embodiment, an effective amount of a Benzoazolylpiperazine Compound is administered prior or subsequent to administration of an effective amount of another therapeutic agent. In this embodiment, the Benzoazolylpiperazine Compound is administered while the other 15 therapeutic agent exerts its therapeutic effect, or the other therapeutic agent is administered while the Benzoazolylpiperazine Compound exerts its preventative or therapeutic effect for treating or preventing a Condition in an animal.

A composition of the invention is prepared by a method comprising admixing a Benzoazolylpiperazine Compound and a pharmaceutically acceptable carrier or excipient. 20 Admixing can be accomplished using methods well known for admixing a compound (or salt) and a pharmaceutically acceptable vehicle. In one embodiment, the Benzoazolylpiperazine Compound is present in the composition in an effective amount.

4.3.2 Kits

25 The invention encompasses kits that can simplify the administration of a Benzoazolylpiperazine Compound to an animal.

A typical kit of the invention comprises a unit dosage form of a Benzoazolylpiperazine Compound. In one embodiment, the unit dosage form is a container, which can be sterile, containing an effective amount of a Benzoazolylpiperazine Compound 30 and a pharmaceutically acceptable vehicle. The kit can further comprise a label or printed instructions instructing the use of the Benzoazolylpiperazine Compound to treat pain, UI, an

ulcer, IBD, IBS, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, a seizure, a pruritic condition, psychosis, a cognitive disorder, a memory deficit, restricted brain function, Huntington's chorea, ALS, dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia, or depression. The kit can also further comprise a unit

5 dosage form of another therapeutic agent, for example, a container containing an effective amount of the other therapeutic agent. In one embodiment, the kit comprises a container containing an effective amount of a Benzoazolylpiperazine Compound and an effective amount of another therapeutic agent. Examples of other therapeutic agents include, but are not limited to, those listed above.

10 Kits of the invention can further comprise a device that is useful for administering the unit dosage forms. Examples of such a device includes, but are not limited to, a syringe, a drip bag, a patch, an inhaler, and an enema bag.

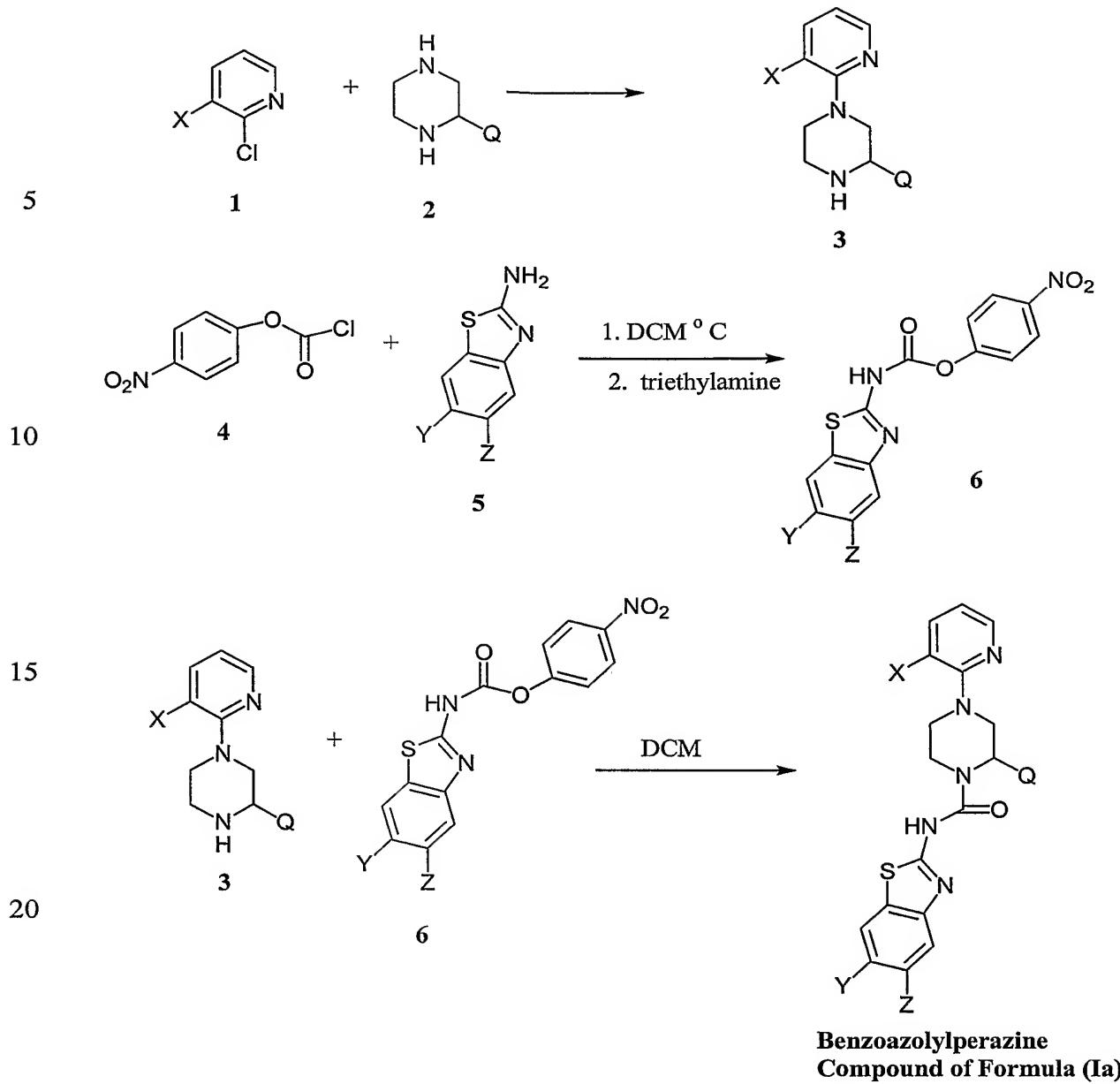
The following examples are set forth to assist in understanding the invention and should not, of course, be construed as specifically limiting the invention described and 15 claimed herein. Such variations of the invention, including the substitution of all equivalents now known or later developed, which would be within the purview of those skilled in the art, and changes in formulation or minor changes in experimental design, are to be considered to fall within the scope of the invention incorporated herein.

20

5. EXAMPLES

5.1. Example 1: Synthesis of Benzoazolylpiperazine Compounds of Formula (Ia) AAM, AAS, AAQ, AAP, AYF, AYD, AZW, AZZ, AYH, AYE, AYI, AYK, AYG, AYC, AZA, AZD, AYN, and AYM

25



25 A solution of of 2-chloro-3-X-pyridine **1** (about 0.5M - about 1 M) and 1 eq. of 2-Q-piperazine **2** in DMSO was heated to about 140°C with stirring for about 2 to 4 h. The resulting reaction mixture was then cooled to room temperature and the DMSO was removed under reduced pressure to provide compound **3**.

30 In a separate flask a solution of 0.75 eq. of chloroformate **4** in dichloromethane (DCM) (0.04M) was cooled to 0°C and 0.75 eq. of 5-Z-6-Y-benzothiazol-2-ylamine **5** was slowly added to the cooled solution of chloroformate **4**. The resulting

reaction mixture was stirred at 0°C for 5 min. and then 5 eq. of triethylamine was added to the reaction mixture. The reaction mixture was then warmed to room temperature and concentrated under reduced pressure at 40°C to provide compound 6.

Compound 6 was dissolved in DCM (0.1M) and 1 eq. of 3 as a 1 M solution

5 in DCM was added to the solution of compound 6 at room temperature and the resulting reaction mixture was allowed to stir for about 10 min. The reaction mixture was then concentrated under reduced pressure at 40°C to provide the Benzoazolylpiperazine Compound of formula (Ia). The Benzoazolylpiperazine Compound of formula (Ia) was purified using a silica gel column eluted with 5:95 ethyl acetate / hexane.

10 Table XXIII lists the Benzoazolylpiperazine Compounds that were prepared according to the method of Example 1.

Table XXIII

Benzoazolylpiperazine Compound	X	Q	Y	Z
15	AAM	-Cl	-H	-Cl
	AAS	-Cl	-H	-OCH ₂ CH ₃
	AAQ	-Cl	-H	-CF ₃
	AAP	-Cl	-H	-CH ₃
	AYF	-Cl	(R)-CH ₃	-Br
20	AYD	-Cl	(R)-CH ₃	-H
	AZW	-CF ₃	(R)-CH ₃	-Cl
	AZZ	-CF ₃	(R)-CH ₃	-CH ₃
	AYH	-Cl	(R)-CH ₃	-CH ₃
	AYE	-Cl	(R)-CH ₃	-Cl
25	AYI	-Cl	(R)-CH ₃	-CF ₃
	AYK	-Cl	(R)-CH ₃	-OCH ₂ CH ₃
	AYG	-Cl	(R)-CH ₃	-F
	AYC	-Cl	(R)-CH ₃	-CH ₃
	AZA	-CH ₃	(R)-CH ₃	-Cl
30	AZD	-CH ₃	(R)-CH ₃	-CH ₃

AYN	-Cl	(R)-CH ₃	-CH(CH ₃) ₂	-H
AYM	-Cl	(R)-CH ₃	-C(CH ₃) ₃	-H

(R)-CH₃ means that the carbon atom to which the methyl group is attached is in the (R) configuration.

5

The identity of Compound AAM was confirmed using H¹ NMR.

Compound AAM: ¹H NMR (400 MHz, CDCl₃), δ 8.24-8.19 (m, 1H), 7.77-7.76 (m, 1H), 7.67-7.64 (m, 1H), 7.57-7.54 (m, 1H), 7.38-7.36 (m, 1H), 6.95-6.90 (m, 1H), 3.77-3.75 (m, 4H), 3.45-3.42 (m, 4H).

10

The identity of Compound AAS was confirmed using H¹ NMR.

Compound AAS: ¹H NMR (400 MHz, CDCl₃), δ 10.17 (s, 1H), 8.19-8.15 (m, 1H), 7.61-7.58 (m, 1H), 7.51-7.46 (m, 1H), 7.28-7.22 (m, 1H), 6.98-6.95 (m, 1H), 6.89-6.86 (m, 1H), 4.11-4.04 (m, 2H), 3.77-3.71 (m, 4H), 3.37-3.34 (m, 4H), 1.43 (t, 3H).

15

The identity of Compound AAQ was confirmed using H¹ NMR.

Compound AAQ: ¹H NMR (400MHz, CDCl₃): δ 8.22-8.19 (m, 1H), 8.09-8.05 (m, 1H), 7.76-7.71 (m, 1H), 7.66-7.64 (m, 2H), 6.94-6.91 (m, 1H), 3.80-3.75 (m, 4H), 3.47-3.45 (m, 4H).

20

The identity of Compound AAP was confirmed using H¹ NMR.

Compound AAP: ¹H NMR (CDCl₃), δ 8.22-8.20 (m, 1H), 7.65-7.63 (m, 1H), 7.57-7.55 (m, 1H), 7.52-7.48 (m, 1H), 7.22-7.18 (m, 1H), 6.92-6.87 (m, 1H), 3.78-3.76 (m, 4H), 3.45-3.42 (m, 4H), 2.46 (s, 3H).

25

The identity of Compound AYF was confirmed using H¹ NMR.

Compound AYF: ¹H NMR (CDCl₃), δ 8.23-8.20 (m, 1H), 7.93-7.90 (m, 1H), 7.67-7.62 (m, 1H), 7.54-7.50 (m, 2H), 6.95-6.91 (m, 1H), 4.45 (bs, 1H), 4.11-4.05 (m, 1H), 3.86-3.76 (m, 2H), 3.57-3.46 (m, 1H), 3.12-3.06 (m, 1H), 3.02-2.94 (m, 1H), 1.50 (d, 3H), 30 J=6.8).

The identity of Compound **AYD** was confirmed using H^1 NMR and mass spectrometry.

Compound **AYD**: 1H NMR ($CDCl_3$), δ 8.83 (br, 1H), 8.24-8.20 (m, 1H), 7.81-7.74 (m, 1H), 7.68-7.59 (m, 2H), 7.48-7.38 (m, 1H), 7.33-7.24 (m, 2H + $CHCl_3$), 6.96-6.87 (m, 1H), 4.55-4.43 (m, 1H), 4.17-4.06 (m, 1H), 3.89-3.75 (m, 2H), 3.58-3.42 (m, 1H), 3.16-2.89 (m, 1H), 1.45 (d, 3H, $J = 6.8$ Hz).

(M+1) m/z: 388.0.

The identity of Compound **AZW** was confirmed using H^1 NMR.

Compound **AZW**: 1H NMR ($CDCl_3$), δ 8.49-8.45 (m, 1H), 7.94-7.90 (m, 1H), 7.57-7.54 (m, 1H), 7.52-7.46 (m, 1H), 7.22-7.18 (m, 1H), 7.11-7.06 (m, 1H), 4.46 (bs, 1H), 4.09-4.00 (m, 1H), 3.52-3.42 (m, 2H), 3.38-3.33 (m, 1H), 3.25-3.19 (m, 1H), 3.04-2.96 (m, 1H), 1.39 (d, 3H, $J=6.8$).

The identity of Compound **AZZ** was confirmed using H^1 NMR.

Compound **AZZ**: 1H NMR ($CDCl_3$), δ 8.50-8.46 (m, 1H), 7.94-7.91 (m, 1H), 7.55 (bs, 1H), 7.51-7.47 (m, 1H), 7.21-7.17 (m, 1H), 7.11-7.06 (m, 1H), 4.45 (bs, 1H), 4.09-4.01 (m, 1H), 3.53-3.45 (m, 2H), 3.41-3.34 (m, 1H), 3.26-3.20 (m, 1H), 3.07-2.95 (m, 1H), 2.46 (s, 3H), 1.38 (d, 3H, $J=6.7$).

The identity of Compound **AYH** was confirmed using H^1 NMR.

Compound **AYH**: 1H NMR ($CDCl_3$), δ 8.71 (bs, 1H), 8.24-8.20 (m, 1H), 7.67-7.62 (m, 1H), 7.58 (bs, 1H), 7.55-7.49 (m, 1H), 7.25-7.19 (m, 1H), 6.94-6.89 (m, 1H), 4.46 (bs, 1H), 4.14-4.06 (m, 1H), 3.86-3.74 (M, 2H), 3.56-3.43 (m, 1H), 3.13-3.05 (m, 1H), 3.03-2.95 (m, 1H), 2.47 (s, 3H), 1.64 (s, 3H), 1.47 (d, 3H, $J=7.0$).

The identity of Compound **AYE** was confirmed using H^1 NMR.

Compound **AYE**: 1H NMR ($CDCl_3$), δ 8.37 (bs, 1H), 8.24-8.21 (m, 1H), 7.77-7.75 (m, 1H), 7.67-7.64 (m, 1H), 7.61-7.57 (m, 1H), 7.39-7.35 (m, 1H), 6.95-6.90 (m, 1H), 4.40 (bs, 1H), 4.15-4.01 (m, 1H), 3.90-3.77 (m, 1H), 3.58-3.47 (m, 1H), 3.14-3.07 (m, 1H), 3.05-2.96 (m, 1H), 1.51 (d, 3H, $J=6.8$).

The identity of Compound **AYI** was confirmed using H¹ NMR.

Compound **AYI**: ¹H NMR (CDCl₃), δ9.31 (bs, 1H), 8.22-8.19 (m, 1H), 8.08 (bs, 1H), 7.76-7.70 (m, 1H), 7.68-7.61 (m, 2H), 6.94-6.89 (m, 1H), 4.46 (bs, 1H), 4.11-4.02 (m, 1H), 3.85-3.74 (m, 2H), 3.59-3.48 (m, 1H), 3.12-3.05 (m, 1H), 3.02-2.92 (m, 1H), 1.49 5 (d, 3H, J=6.8).

The identity of Compound **AYK** was confirmed using H¹ NMR.

Compound **AYK**: ¹H NMR (CDCl₃), δ9.40 (bs, 1H), 8.22-8.18 (m, 1H), 7.64-7.60 (m, 1H), 7.57-7.51 (m, 1H), 7.30-7.25 (m, 1H+CHCl₃), 7.03-6.97 (m, 1H), 6.93-6.88 (m, 10 1H), 4.45 (bs, 1H), 4.14-4.00 (m, 3H), 3.81-3.69 (m, 2H), 3.53-3.43 (m, 1H), 3.09-3.02 (m, 1H), 3.00-2.91 (m, 1H), 1.48-1.43 (m, 6H).

The identity of Compound **AYG** was confirmed using H¹ NMR.

Compound **AYG**: ¹H NMR (CDCl₃), δ8.41 (bs, 1H), 8.24-8.20 (m, 1H), 7.68-15 7.56 (m, 2H), 7.52-7.46 (m, 1H), 7.18-7.11 (m, 1H), 6.95-6.90 (m, 1H). 4.41 (bs, 1H), 4.09-4.02 (m, 1H), 3.89-3.77 (m, 2H), 3.58-3.49 (m, 1H), 3.14-3.07 (m, 1H), 3.05-2.96 (m, 1H), 1.5 (d, 3H, J=6.8).

The identity of Compound **AYC** was confirmed using H¹ NMR.

Compound **AYC**: ¹H NMR (CDCl₃), δ8.23-8.19 (m, 1H), 7.65-7.61 (m, 1H), 7.52 (bs, 1H), 7.40 (bs, 1H), 6.93-6.88 (m, 1H), 4.50 (bs, 1H), 4.17-4.06 (m, 1H), 3.84-3.73 (m, 2H), 3.56-3.44 (m, 1H), 3.11-3.03 (m, 1H), 3.01-2.92 (m, 1H), 2.36 (s, 6H), 1.48 (d., 3H, J=6.8).

25 The identity of Compound **AZA** was confirmed using H¹ NMR.

Compound **AZA**: ¹H NMR (CDCl₃), δ8.93 (bs, 1H), 8.17-8.14 (m, 1H), 8.00-7.96 (m, 1H), 7.77 (bs, 1H), 7.60-7.53 (m, 1H), 7.41-7.33 (m, 1H), 4.49 (bs, 1H), 4.16-4.06 (m, 1H), 4.00-3.94 (m, 2H), 3.57-3.46 (m, 1H), 3.19-3.11 (m, 1H), 3.07-2.98 (m, 1H), 1.70 (s, 3H), 1.47 (d, 3H, J=6.8).

30

The identity of Compound **AZD** was confirmed using H¹ NMR.

Compound **AZD**: ^1H NMR (CDCl_3), δ 8.68 (bs, 1H), 8.21-8.18 (m, 1H), 7.61-7.43 (m, 3H), 7.24-7.19 (m, 1H), 6.94-6.90 (m, 1H), 4.45 (bs, 1H), 4.13-4.04 (m, 1H), 3.54-3.41 (m, 2H), 3.37-3.32 (m, 1H), 3.12-3.04 (m, 1H), 3.64-2.90 (m, 1H), 2.46 (s, 3H), 2.35 (s, 3H), 1.48 (d, 3H, $J=6.8$).

5

The identity of Compound **AYN** was confirmed using H^1 NMR.

Compound **AYN**: ^1H NMR (CDCl_3), δ 8.20-8.18 (m, 1H), 7.64-7.59 (m, 1H), 7.58-7.50 (m, 1H), 7.29-7.25 (m, 1H + CHCl_3), 6.91-6.87 (m, 1H), 4.49 (bs, 1H), 4.14-4.05 (m, 1H), 3.79-3.68 (m, 2H), 3.07-2.89 (m, 3H), 1.44 (d, 3H, $J=6.8$), 1.31 (d, 3H, $=7.0$).

10

The identity of Compound **AYM** was confirmed using H^1 NMR.

Compound **AYM**: ^1H NMR (CDCl_3), δ 8.24-8.20 (m, 1H), 7.76 (bs, 1H), 7.66-7.62 (m, 1H), 7.55-7.52 (m, 1H), 7.49-7.43 (m, 1H), 6.94-6.89 (m, 1H), 4.46 (bs, 1H), 4.16-4.07 (m, 1H), 3.87-3.73 (m, 2H), 3.56-3.45 (m, 1H), 3.14-3.05 (m, 1H), 3.04-2.91 (m, 1H), 1.49 (d, 3H, $J=6.8$), 1.40 (s, 9H).:

5.2. Example 2: Synthesis of Benzoazolylpiperazine Compounds of Formula (Ib) **BDJ** and **BDG**

Compounds **BDJ** and **BDG** were prepared by a method analogous to that used in Example 1 except that 2, 3-dichloropyrazine was used in place of 2-chloro-3-X-pyridine **1**. In the preparation of Compound **BDJ**, the 2-Q-piperazine **2** was (R)-2-methylpiperidine and the 5-Z-6-Y-benzothiazol-2-ylamine **5** was 6-methyl benzothiazol-2-ylamine. In the preparation of Compound **BDG**, the 2-Q-piperazine **2** was (R)-2-methylpiperidine and the 5-Z-6-Y-benzothiazol-2-ylamine **5** was 6-chloro benzothiazol-2-ylamine.

25

The identity of Compound **BDJ** was confirmed using H^1 NMR.

Compound **BDJ**: ^1H NMR (CDCl_3), δ 8.16-8.13 (m, 1H), 7.96-7.93 (m, 1H), 7.56 (bs, 1H), 7.47 (bs, 1H), 7.22-7.18 (m, 1H), 4.56 (bs, 1H), 4.19-4.13 (m, 1H), 3.94-3.85 (m, 2H), 3.49-3.41 (m, 1H), 3.13-3.06 (m, 1H), 3.01-2.94 (m, 1H), 2.45 (s, 3H), 1.41 (d, 3H, $J=6.9$).

The identity of Compound **BDG** was confirmed using H^1 NMR.

Compound **BDG**: ^1H NMR (CDCl_3), δ 8.66 (bs, 1H), 8.17-8.15 (m, 1H), 8.00-7.97 (m, 1H), 7.76 (bs, 1H), 7.59-7.54 (m, 1H), 7.40-7.35 (m, 1H), 4.47 (bs, 1H), 4.16-4.07 (m, 1H), 4.02-3.92 (m, 2H), 3.57-3.48 (m, 1H), 3.20-3.13 (m, 1H), 3.09-2.98 (m, 1H), 1.48 (d, 3H, $J=6.8$).

5

5.3. Example 3: Synthesis of Benzoazolylpiperazine Compounds of Formula (Ib) BIL, BII, and BJE

Compounds **BIL**, **BII**, and **BJE** were prepared by a method analogous to that used in Example 1 except that 4, 5-dichlorothiadiazole was used in place of 2-chloro-3-X-10 pyridine **1** to make Compounds **BIL** and **BII** and 4-methyl-5-chlorothiadiazole was used to make Compound **BJE**. In the preparation of Compound **BIL**, the 2-Q-piperazine **2** was (R)-2-methylpiperidine and the 5-Z-6-Y-benzothiazol-2-ylamine **5** was 6-methyl benzothiazol-2-ylamine. In the preparation of Compounds **BII**, and **BJE** the 2-Q-piperazine **2** was (R)-2-methylpiperidine and the 5-Z-6-Y-benzothiazol-2-ylamine **5** was 6-chloro benzothiazol-2-15 ylamine.

The identity of Compound **BIL** was confirmed using ^1H NMR.

Compound **BIL**: ^1H NMR (CDCl_3), δ 7.54 (bs, 1H), 7.49-7.42 (m, 1H), 7.24-7.17 (m, 1H), 4.55 (bs, 1H), 4.24-4.15 (m, 1H), 4.02-3.89 (m, 2H), 3.54-3.39 (m, 1H), 3.21-20 3.12 (m, 1H), 3.11-3.02 (m, 1H), 2.46 (s, 3H), 1.46 (d, 3H, $J=6.8$).

The identity of Compound **BII** was confirmed using ^1H NMR.

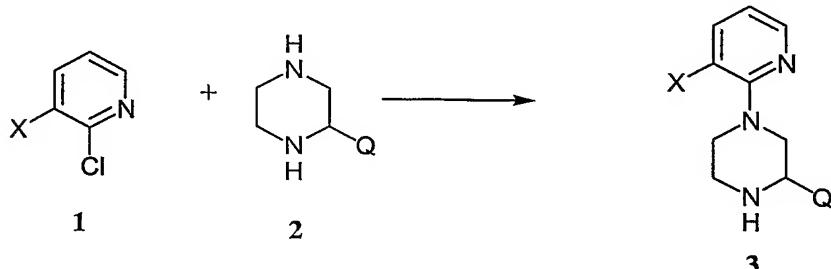
Compound **BII**: ^1H NMR (CDCl_3), δ 8.64 (bs, 1H), 7.75 (bs, 1H), 7.58-7.51 (m, 1H), 7.41-7.34 (m, 1H), 4.50 (bs, 1H), 4.18-4.06 (m, 1H), 4.01-3.92 (m, 2H), 3.56-3.44 25 (m, 1H), 3.21-3.13 (m, 1H), 3.12-3.04 (m, 1H), 1.48 (d, 3H, $J=6.8$).

The identity of Compound **BJE** was confirmed using ^1H NMR.

Compound **BJE**: ^1H NMR (CDCl_3), δ 8.59 (bs, 1H), 7.73 (bs, 1H), 7.53-7.47 (m, 1H), 7.41-7.34 (m, 1H), 4.55 (bs, 1H), 4.23-4.14 (m, 1H), 3.59-3.46 (m, 1H), 3.43-3.38 30 (m, 1H), 3.37-3.28 (m, 1H), 3.11-3.02 (m, 1H), 3.00-2.90 (m, 1H), 2.65 (s, 3H), 1.61 (d, 3H, $J=6.8$).

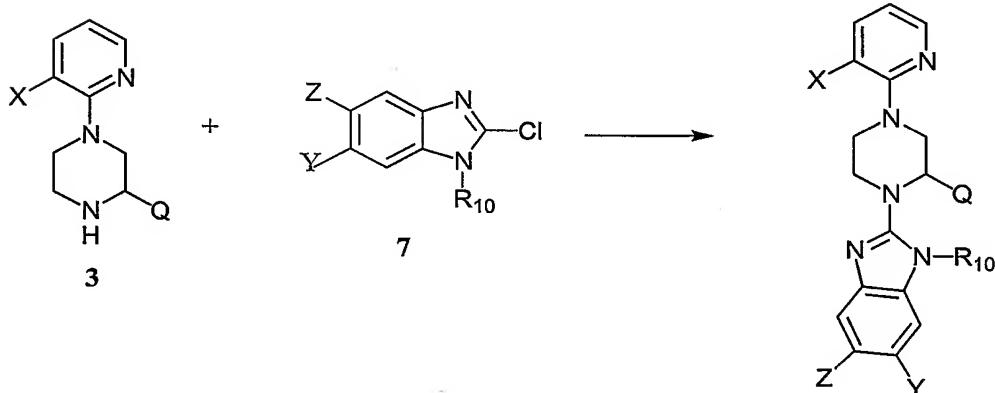
5.4. Example 4: Synthesis of Benzoazolylpiperazine Compound of Formula (IIa) and (IIb) CBG, CAW, CRU, CSE, DIS, DJC, DIQ, CSE, EAA, DZU, CTA, CTW, CRW, and CSB

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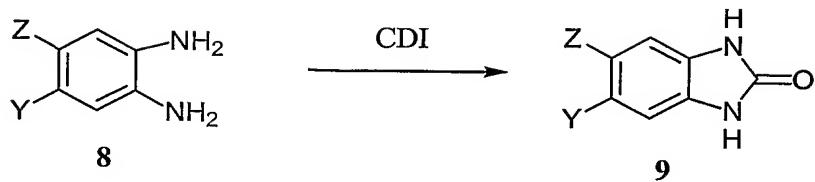
20

Benzoazolylpiperazine Compound of Formula (IIa) and (IIb)

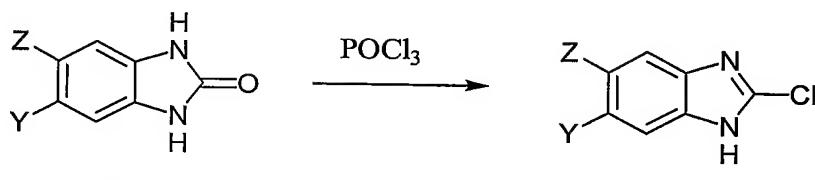
A solution of 2-chloro-3-X-pyridine **1** (about 0.5 M to about 1M) and 1 eq. of 2-Q-piperazine **2** in DMSO was heated to about 140°C with stirring for about 2 to 4 h. The resulting reaction mixture was then cooled to room temperature and the DMSO was removed under reduced pressure to provide compound **3**.

A solution of compound **3** (about 0.25 mmol - about 1 mmol) and 1 eq. of compound **7** in about 3 mL of toluene or xylene was heated at a temperature of between about 140°C and 150°C for about 3 days. The resulting reaction mixture was then concentrated under reduced pressure to provide a residue that was purified using flash chromatography (silica gel, gradient elution 2% methanol:DCM to 6% methanol:DCM).

Compound **7**, wherein R₁₀ is -H was either commercially available or obtained from commercially available compounds **8** as illustrated below



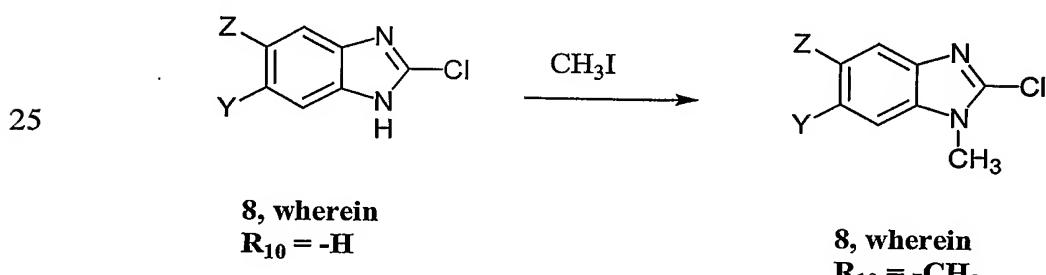
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10

Compound 8 (about 30 mmol) and carbodiimidazole (CDI) (commercially available from Sigma-Aldrich, St. Louis, MO (www.sigma-aldrich.com)) (about 2 eq) was dissolved in THF (about 50 to about 150 mL) and the resulting reaction mixture was heated at reflux temperature for about 4 hours. The reaction mixture was then concentrated under reduced pressure to provide a residue. About 50 to about 100 mL of ethyl acetate or ethyl acetate / hexane (20:80 to about 40:60) was added to the residue and the resulting insoluble material was collected by filtration and washed with ethyl acetate or ethyl acetate / hexane (20:80 to about 40:60) to provide compound 9. Compound 9 was then reacted with POCl_3 according to the procedure described in *J. Med. Chem.* 40:586-593 (1997) to provide compound 7.

Compound 7, wherein R₁₀ is -CH₃ was obtained from compound 7 wherein R₁₀ is -H as illustrated below



NaH (about 2 eq) was added to a solution of a compound of Formula 8
 30 wherein R₁₀ is -H in DMF at 0°C and the resulting mixture was allowed to stir and to warm to room temperature over a period of about one hour. Methyl iodide (about 1.2 eq) was then

added to the solution and the resulting reaction mixture was allowed to stir for several minutes. Water was then added to the reaction mixture to produce a precipitate of compound 8 wherein R₁₀ is -CH₃ which was filtered, collected, and dried.

Table XXIV lists the Benzoazolylpiperazine Compounds that were prepared 5 according to the method of Example 4..

Table XXIV

Benzoazolylpiperazine Compound	R₁₀	Y	Z	X	Q
10	CBG	-H	- <i>tert</i> -butyl	-H	-Cl
	CAW	-H	-CH ₃	-CH ₃	-Cl
	CRU	-H	-CH ₃	-CH ₃	-Cl (R)-CH ₃
	CRU	-H	-CH ₃	-CH ₃	-Cl (S)-CH ₃
	CSE	-H	- <i>tert</i> -butyl	-H	-Cl (R)-CH ₃
15	DIS	-CH ₃	-CH ₃	-CH ₃	-Cl
	DJC	-CH ₃	- <i>tert</i> -butyl	-H	-Cl
	DIQ	-CH ₃	-H	- <i>tert</i> -butyl	-Cl
	CSE	-H	- <i>tert</i> -butyl	-H	-Cl (S)-CH ₃
	EAA	-CH ₃	- <i>tert</i> -butyl	-H	-Cl (R)-CH ₃
20	DZO	-CH ₃	-H	- <i>tert</i> -butyl	-Cl (R)-CH ₃
	CTA	-H	- <i>tert</i> -butyl	-H	-CH ₃ (R)-CH ₃
	CTW	-H	- <i>tert</i> -butyl	-H	-CF ₃ (R)-CH ₃
	CRW	-H	-Cl	-H	-Cl (R)-CH ₃
	CSB	-H	-OCH ₃	-H	-Cl (R)-CH ₃

25 (R)-CH₃ means that the carbon atom to which the methyl group is attached is in the (R) configuration. (S)-CH₃ means that the carbon atom to which the methyl group is attached is in the (S) configuration.

The identity of Compound CBG was confirmed using H¹ NMR and mass 30 spectrometry.

Compound **CBG**: ^1H NMR (CD_3OD), δ 8.21(dd, 1H, J1=1.6Hz, J2=4.8Hz); 7.77(dd, 1H, J1=1.6Hz, J2=7.6Hz); 7.34(d, 1H, J=2Hz); 7.21(d, 1H, J1=0.4Hz, J2=8.4Hz); 7.14(dd, 1H, J1=2Hz, J2=8.4Hz); 7.01(dd, 1H, J1=4.8Hz, J2=7.6Hz); 3.70(m, 4H); 3.49(m, 4H); 1.37(s, 9H).

5 MS: 370.2(M+1).

The identity of Compound **CAW** was confirmed using H^1 NMR and mass spectrometry.

Compound **CAW**: ^1H NMR (CD_3OD), δ 8.25(dd, 1H, J1=1.6Hz, J2=4.8Hz); 10 7.82(dd, 1H, J1=1.6Hz, J2=8Hz); 7.06(dd, 1H, J1=4.8Hz, J2=7.6Hz); 3.82(m, 4H); 3.58(m, 4H); 2.38(s, 6H).

MS: 342.1(M+1).

The identity of Compound **CRU** wherein Q is (R)- CH_3 was confirmed using 15 H^1 NMR and mass spectrometry.

Compound **CRU** wherein Q is (R)- CH_3 : ^1H NMR (CD_3OD), δ 8.25(dd, 1H, J1=1.6Hz, J2=4.8Hz); 7.82(dd, 1H, J1=2Hz, J2=8Hz); 7.07(dd, 1H, J1=4.4Hz, J2=8Hz); 4.30(m 1H); 3.90(m, 4H); 3.26(dd, 1H, J1=13Hz, J2=1.6Hz); 3.17(m, 1H); 2.38(s, 6H); 1.59(d, 3H, J=6.8Hz).

20 MS: 356.1(M+1).

The identity of Compound **CRU** wherein Q is (S)- CH_3 was confirmed using H^1 NMR and mass spectrometry.

Compound **CRU** wherein Q is (S)- CH_3 : ^1H NMR (CD_3OD), δ 8.25(dd, 1H, J1=1.2Hz, J2=4.4Hz); 7.81(dd, 1H, J1=1.6Hz, J2=7.6Hz); 7.07(dd, 1H, J1=4.8Hz, J2=7.6Hz); 4.31(m, 1H); 3.88(m, 4H); 3.26(dd, 1H, J1=3.6Hz, J2=13Hz); 3.16(m, 1H); 2.38(s, 6H); 1.59(d, 3H, J=6.4Hz).

MS: 356.1(M+1).

30 The identity of Compound **CSE** wherein Q is (R)- CH_3 was confirmed using H^1 NMR and mass spectrometry.

Compound CSE wherein Q is (R)-CH₃: ¹H NMR (CD₃OD), δ 8.22(dd, 1H, J1=1.6Hz, J2=4.8Hz); 7.78(dd, 1H, J1=1.6Hz, J2=7.6Hz); 7.33(dd, 1H, J1=0.8Hz, J2=2Hz); 7.19(dd, 1H, J1=0.8Hz, J2=8.4Hz); 7.12(dd, 1H, J1=1.6Hz, J2=8.4Hz); 7.02(dd, 1H, J1=4.8Hz, J2=8Hz); 4.37(m, 1H); 3.84(m, 3H); 3.58(m, 1H); 3.20(dd, 1H, J1=4Hz, J2=12Hz); 3.08(dt, 1H, J1=3.2Hz, J2=12Hz); 1.45(d, 3H, J=6.4Hz); 1.37(s, 9H).
MS: 420(M+36).

The identity of Compound DIS was confirmed using H¹ NMR and mass spectrometry.

Compound DIS: ¹H NMR (CD₃OD), δ 8.23(dd, 1H, J1=1.6Hz, J2=4.8Hz); 7.78(dd, 1H, J1=2Hz, J2=8Hz); 7.27(bs, 1H); 7.14(bs, 1H); 7.02(dd, 1H, J1=4.8Hz, J2=7.6Hz); 3.69(s, 3H); 3.56(m, 4H); 3.45(m, 4H); 2.39(s, 3H); 2.35(s, 3H).
MS: 356.1(M+1).

The identity of Compound DJC was confirmed using H¹ NMR and mass spectrometry.

Compound DJC: ¹H NMR (CD₃OD), δ 8.23(dd, 1H, J1=1.6Hz, J2=4.8Hz); 7.78(dd, 1H, J1=2Hz, J2=8Hz); 7.53(dd, 1H, J1=0.8Hz, J2=2Hz); 7.31(dd, 1H, J1=1.6Hz, J2=8.4Hz); 7.26(dd, 1H, J1=0.4Hz, J2=8.4Hz); 7.02(dd, 1H, J1=4.8Hz, J2=8Hz); 3.70(s, 3H); 3.57(m, 4H); 3.47(m, 4H); 1.39(s, 9H).
MS: 384.1(M+1).

The identity of Compound DIQ was confirmed using H¹ NMR and mass spectrometry.

Compound DIQ: ¹H NMR (CD₃OD), δ 8.23(dd, 1H, J1=1.6Hz, J2=4.8Hz); 7.78(dd, 1H, J1=2Hz, J2=8Hz); 7.41(dd, 1H, J1=0.4Hz, J2=8.4Hz); 7.36(d, 1H, J=1.2Hz); 7.29(dd, 1H, J1=1.6Hz, J2=8.4Hz); 7.02(dd, 1H, J1=4.8Hz, J2=7.6Hz); 3.70(s, 3H); 3.57(m, 4H); 3.47(m, 4H); 1.41(s, 9H).
MS: 384.1(M+1).

The identity of Compound **CSE** wherein Q is (S)-CH₃ was confirmed using H¹ NMR and mass spectrometry.

Compound CSE wherein Q is (S)-CH₃: ¹H NMR (CD₃OD), δ 8.22(dd, 1H, J1=1.6Hz, J2=4.8Hz); 7.78(dd, 1H, J1=1.6Hz, J2=7.6Hz); 7.34(d, 1H, J=1.6Hz); 7.20(d, 1H, J=8.4Hz); 7.13(dd, 1H, J1=2Hz, J2=8.4Hz); 7.02(dd, 1H, J1=4.8Hz, J2=8Hz); 4.36(m, 1H); 3.85(m, 3H); 3.60(dt, 1H, J1=2.8Hz, J2=12Hz); 3.20(dd, 1H, J1=4Hz, J2=12Hz); 3.08(dt, 1H, J1=3.2Hz, J2=13Hz); 1.45(d, 3H, J=6.4Hz); 1.37(s, 9H).

MS: 420(M+36).

10 The identity of Compound **EAA** wherein Q is (R)-CH₃ was confirmed using H¹ NMR and mass spectrometry.

Compound EAA wherein Q is (R)-CH₃: ¹H NMR (DMSO d₆), δ 8.23(dd, 1H, J1=1.6Hz, J2=2.8Hz); 7.63(dd, 1H, J1=1.6Hz, J2=7.6Hz); 7.61(d, 1H, J1=8.4Hz); 7.32(dd, 1H, J=2Hz, J2=8Hz); 7.26(dd, 1H, J1=1.6Hz, J2=8 Hz); 6.90(dd, 1H, J1=4.8Hz, J2=8Hz); 15 3.80(m, 1H); 3.70(s, 3H); 3.69(dd, 1H, J1=2.8Hz, J2=12Hz); 3.63(m, 1H); 3.45(m, 2H); 3.35(m, 1H); 3.24(dd, 1H, J1=7.6Hz, J2=12Hz); 1.43(s, 9H); 1.20(d, 3H, J=6.4Hz).

MS: 398.1(M+1).

20 The identity of Compound **DZO** wherein Q is (R)-CH₃ was confirmed using H¹ NMR and mass spectrometry.

Compound DZO wherein Q is (R)-CH₃: ¹H NMR (DMSO d₆), δ 8.23(dd, 1H, J1=2Hz, J2=4.8Hz); 7.75(d); 7.63(dd, 1H, J1=2 Hz, J2=7.6Hz); 7.32(dd, 1H, J1=2Hz, J2=8.4Hz); 7.20(d, 1H, J=8.4Hz); 6.89(dd, 1H, J1=4.8Hz, J2=7.6Hz); 3.82(m, 1H); 3.68(s, 3H); 3.68(m, 1H); 3.61(m, 1H); 3.48(m, 2H); 3.37(m, 1H); 3.28(dd, 1H, J1=8Hz, J2=12Hz); 25 1.41(s, 9H); 1.22(d, 3H, J=6.4Hz).

MS: 398.3(M+1).

The identity of Compound **CTA** wherein Q is (R)-CH₃ was confirmed using H¹ NMR and mass spectrometry.

30 Compound CTA wherein Q is (R)-CH₃: ¹H NMR (CDCl₃), δ 8.17(d, 1H, J=4.8Hz); 7.44(d, 1H, J=7.6Hz); 7.42(s, 1H); 7.27(d, 1H, J=8.4Hz); 7.13(d, 1H, J=8.4Hz);

6.91(dd, 1H, J1=4.8Hz, J2=7.2Hz); 4.42(m, 1H); 3.97(d, 1H, J=12Hz); 3.62(dt, 1H, J1=3.2Hz, J2=12Hz); 3.47(d, 1H, J=12Hz); 3.33(d, 1H, J=13Hz); 3.18(dd, 1H, J1=3.2Hz, J2=12Hz); 3.06(dt, 1H, J1=2.8Hz, J2=12Hz); 2.32(s, 3H); 1.45(d, 3H, J=6.8Hz); 1.33(s, 9H).
MS: 364.2(M+1).

5

The identity of Compound **CTW** wherein Q is (R)-CH₃ was confirmed using H¹ NMR and mass spectrometry.

Compound **CTW** wherein Q is (R)-CH₃: ¹H NMR (CDCl₃), δ 8.49(d, 1H, J=4.8Hz); 7.93(dd, 1H, J1=1.6 Hz, J2=8.0Hz); 7.42(s, 1H); 7.26(d, 1H, J=8.4Hz); 7.14(dd, 1H, J1=1.6Hz, J2=8.4Hz); 7.08(dd, 1H, J1=4.8Hz, J2=8.0Hz); 4.37(m, 1H); 3.89(d, 1H, J=12Hz); 3.64(dt, 1H, J1=3.2Hz, J2=12Hz); 3.56(d, 1H, J=13Hz); 3.45(d, 1H, J=13Hz); 3.37(dd, 1H, J1=3.6Hz, J2=12Hz); 3.17(dt, 1H, J1=3.2Hz, J2=12Hz); 1.39(d, 3H, J=6.8Hz); 1.35(s, 9H).

MS: 418.2(M+1).

15

The identity of Compound **CRW** wherein Q is (R)-CH₃ was confirmed using H¹ NMR and mass spectrometry.

Compound **CRW** wherein Q is (R)-CH₃: ¹H NMR (CD₃OD), δ 8.21(dd, 1H, J1=1.6Hz, J2=4.8Hz); 7.78(dd, 1H, J1=1.6Hz, J2=7.6Hz); 7.24(s, 1H); 7.20(d, 1H, J=8Hz); 7.02(dd, 1H, J1=4.8Hz, J2=8Hz); 7.01(d, 1H, J=8Hz); 4.36(m, 1H); 3.86(m, 3H); 3.62(dt, 1H, J1=3.2Hz, J2=12Hz); 3.18(dd, 1H, J1=2.8Hz, J2=13Hz); 3.07(dt, 1H, J1=3.2Hz, J2=13Hz); 1.46(d, 3H, J=6.8Hz).

MS: 362.1(M+1).

25

The identity of Compound **CSB** wherein Q is (R)-CH₃ was confirmed using H¹ NMR and mass spectrometry.

Compound **CSB** wherein Q is (R)-CH₃: ¹H NMR (CD₃OD), δ 8.24(dd, 1H, J1=1.8Hz, J2=4.8Hz); 7.80(dd, 1H, J1=1.8Hz, J2=7.9Hz); 4.31(m, 1H); 3.91(m, 2H); 3.80(dt, 1H, J1=3.5Hz, J2=12Hz); 3.25(dd, 1H, J1=3.2Hz, J2=12Hz); 3.15(dt, 1H, J1=4.0Hz, J2=12Hz); 1.56(d, 3H, J=6.6Hz).

MS: 358.1(M+1).

5.5. Example 5: Synthesis of Benzoazolylpiperazine Compound of Formula (IIb) DBM

Compound **DBM** wherein R₃ is (R)-CH₃ was prepared by a method analogous to that used in Example 4 except that 4, 5-dichlorothiadiazole was used in place of 2-chloro-3-X-pyridine **1** and the 2-Q-piperazine **2** was 2-(R)-methylpiperazine and the 5-Z-6-Y-2-5 chloro-1-H-benzoimidazole **7** was 6-*tert*-butyl-2-chloro-1-H-benzoimidazole.

The identity of Compound **DBM** wherein Q is (R)-CH₃ was confirmed using ¹H NMR and mass spectrometry.

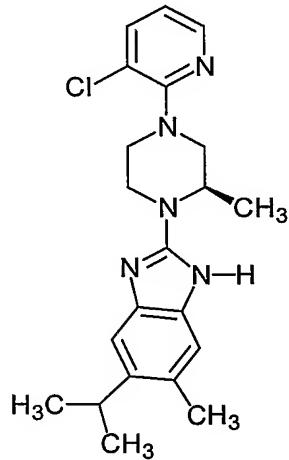
Compound **DBM** wherein Q is (R)-CH₃: ¹H NMR (CD₃OD), δ 7.34(s, 1H); 7.20(d, 1H, J=8.4Hz); 7.13(dd, 1H, J1=1.6Hz, J2=8.4Hz); 4.38(m, 1H); 4.05(bd, 2H, J=12Hz); 3.90(bd, 1H, J=13Hz); 3.58(dt, 1H, J1=3.6Hz, J2=12Hz); 3.27(dd, 1H, J1=3.6Hz, J2=12Hz); 3.20(dt, 1H, J1=3.6Hz, J2=12Hz); 1.43(d, 3H, J=6.4Hz); 1.37(s, 9H).

MS: 391.1(M+1).

5.6. Example 6: Synthesis of Benzoazolylpiperazine Compound of Formula 10

15 Benzoazolylpiperazine compound of Formula **10**

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was prepared by a method analogous to that used in Example 4 using compound **7** wherein Y is -CH₃ and Z is -CH(CH₃)₂ and 2-(R)-methylpiperazine for the 2-Q-piperazine **2**.

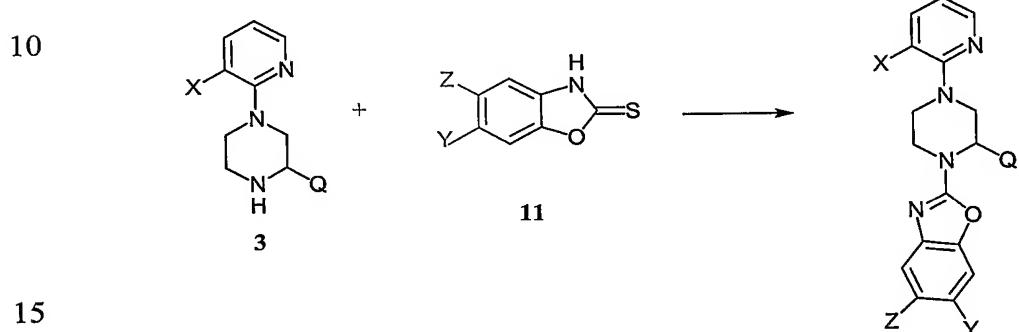
30

The identity of Compound **10** wherein Q is (R)-CH₃ was confirmed using ¹H NMR and mass spectrometry.

Compound 10 wherein Q is (R)-CH₃: ¹H NMR (CD₃OD), δ 8.22(dd, 1H, J1=1.8Hz, J2=4.9Hz); 7.78(dd, 1H, J1=1.6Hz, J2=8.0z); 7.20(s, 1H); 7.04(dd, 1H, J1=4.9Hz, J2=7.7Hz); 4.35(m, 1H); 3.85(m, 3H); 3.62(dt, 1H, J1=3.3Hz, J2=12Hz); 3.21(m, 2H); 3.06(dt, 1H, J1=4.0Hz, J2=13Hz); 2.40(s, 3H); 1.47(d, 3H, J=6.8Hz); 1.27(d, 6H, J=6.8Hz).

5 MS: 384.1(M+1).

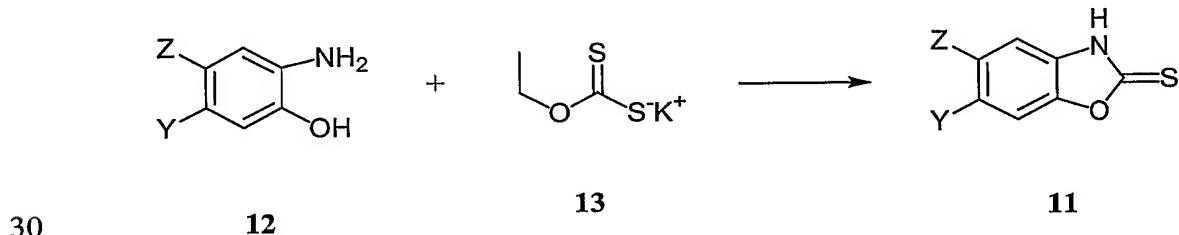
5.7. Example 7: Synthesis of Benzoazolylpiperazine Compound of Formula (IIIa) FUY, and EXG



Benzoazolylpiperazine Compound
of Formula (IIIa)

Compound 3 (about 1 mmol), prepared as described above in Example 5.1 and 20 1 eq. of compound 11 were dissolved in toluene or p-xylene (about 0.5 to about 1 mL) and the resulting reaction mixture was heated in a sealed tube at a temperature of about 150°C for about 24 h. The reaction mixture was then concentrated under reduced pressure to provide a residue. The resulting residue was purified using flash chromatography (silica gel, 5% methanol:DCM) to provide the Benzoazolylpiperazine Compounds of formula (IIIa).

25 Compound 11 was obtained as described below



Compound 12 (about 15 to about 20 mmol) and 1 eq. of compound 13, were dissolved in ethanol (about 30 to about 40 mL) and the resulting reaction mixture heated at reflux

temperature for about 5 h. The reaction mixture was then concentrated under reduced pressure to provide a residue that was diluted with water (about 30 mL) and acidified with acetic acid to a pH value of about 6. The aqueous mixture was extracted with ethyl acetate, the ethyl acetate dried (Na_2SO_4), and the solvent removed under reduced pressure to provide 5 compound 7 that was used without further purification.

Table XXV lists the Benzoazolylpiperazine Compounds that were prepared according to the method of Example 7.

Table XXV

10	Benzoazolylpiperazine Compound	Y	Z	X	Q
	FUY	-H	<i>tert</i> -butyl	-Cl	(R)-CH ₃
	EXG	-H	<i>tert</i> -butyl	-Cl	-H

15 (R)-CH₃ means that the carbon atom to which the methyl group is attached is in the (R) configuration.

The identity of Compound **FUY** was confirmed using H^1 NMR and mass spectrometry.

Compound **FUY**: H^1 NMR (CDCl_3), δ 8.23(dd, 1H, J1=1.6Hz, J2=4.8Hz);

20 7.65(dd, 1H, J1=2Hz, J2=7.6Hz); 7.47(d, 1H, J=2Hz); 7.20(d, 1H, J=8.4Hz); 7.10(dd, 1H, J1=2Hz, J2=8.4Hz); 6.91(dd, 1H, J1=4.8Hz, J2=8Hz); 4.60(m, 1H); 4.60(d, 1H, J=13Hz); 3.84(m, 2H); 3.67(dt, 1H, J1=3.6Hz, J2=13Hz); 3.17(dd, 1H, J1=4Hz, J2=12Hz); 3.08(dt(1H, J1=3.2Hz, J2=12Hz); 1.52(d, 3H, J=6.8Hz); 1.37(s, 9H).

MS: 385.2(M+1).

25

The identity of Compound **EXG** wherein Q is (R)-CH₃ was confirmed using H^1 NMR and mass spectrometry.

Compound **EXG**: H^1 NMR (CDCl_3), δ 8.23(dd, 1H, J1=1.6Hz, J2=4.8Hz);

20 7.65(dd, 1H, J1=2Hz, J2=7.6Hz); 7.46(d, 1H, J=1.6Hz); 7.20(dd, 1H, J1=0.4Hz, J2=8.4Hz); 7.10(dd, 1H, J1=2Hz, J2=8.4Hz); 6.91(dd, 1H, J1=5.2Hz, J2=7.6Hz); 3.88(m, 4H); 3.50(m, 4H); 1.37(s, 9H).

MS: 371.1(M+1).

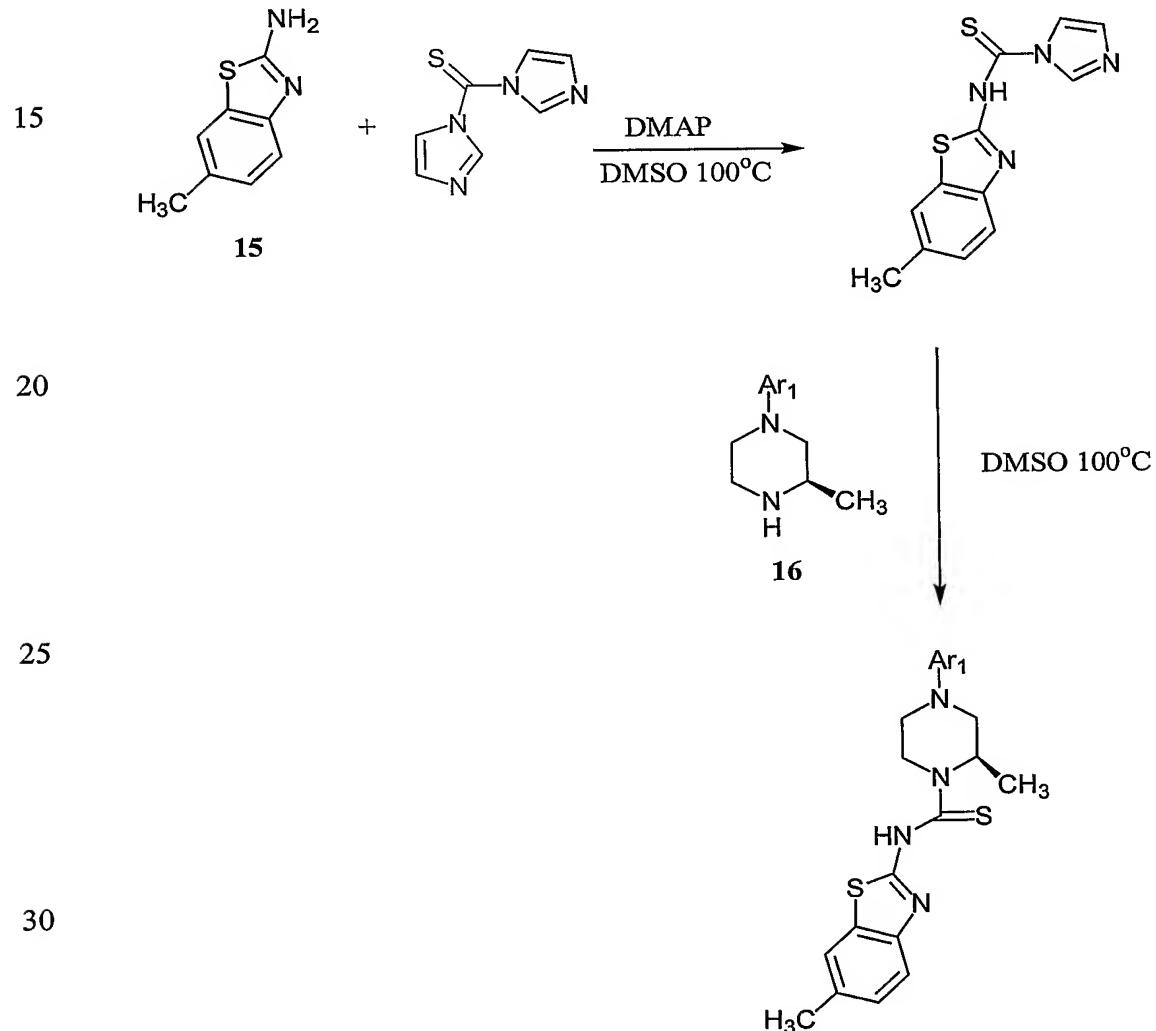
**5.8. Example 8: Synthesis of Benzoazolylpiperazine Compound of Formula (IIIa)
FIU**

Compound FIU was prepared by a method analogous to that used in Example 1 except that 5-chloro-benzooxoazol-2-ylamine was used in place of the 5-Z-6-Y-5 benzothiazol-2-ylamine.

The identity of Compound FIU was confirmed using H^1 NMR.

Compound FIU: ^1H NMR (CDCl_3), δ 11.45 (bs, 1H), 8.23-8.18 (m, 1H), 7.66-7.61 (m, 1H), 7.25-7.21 (m, 1H), 7.18-7.12 (m, 1H), 6.92-6.86 (m, 1H), 5.06-4.71 (m, 1H), 4.67-4.32 (m, 1H), 3.87-3.72 (m, 2H), 3.56-3.29 (m, 1H), 3.07-2.86 (m, 2H), 1.45 (d, 10 3H, $J=6.8$).

5.9. Example 9: Synthesis of Benzoazolylpiperazine Compound of Formula 14



2-Amino-6-methyl-benzothiazole **15** (2.0 mmol, 328 mg) (commercially available from Sigma-Aldrich, St. Louis, MO (www.sigma-aldrich.com)) and 1,1'-thiocarbonyldiimidazole (2.0 mmol, 356 mg) (commercially available from Sigma-Aldrich, St. Louis, MO (www.sigma-aldrich.com)) were suspended in DMSO (3 mL). 4-Dimethyl-5 aminopyridine (30 mg) (commercially available from Sigma-Aldrich, St. Louis, MO (www.sigma-aldrich.com)) was then added to the suspension and the resulting reaction mixture heated to 100°C and stirred at 100°C for about 6 hours. The reaction mixture was then cooled to room temperature and (R)-4-(3-chloro-2-pyridinyl)-2-methylpiperazine (2.0 mmol, 422 mg) (commercially available from Sigma-Aldrich, St. Louis, MO (www.sigma-aldrich.com)) was added to the reaction mixture. The reaction mixture was heated to 100°C and stirred at 100°C for 16 hours. The solvent was then removed under reduced pressure to provide a residue that was purified using flash chromatography on a silica column eluted with ethyl acetate /hexane (gradient elution from 20:80 ethyl acetate /hexane to 10:90 ethyl acetate /hexane) to provide compound **14** as a yellow solid.

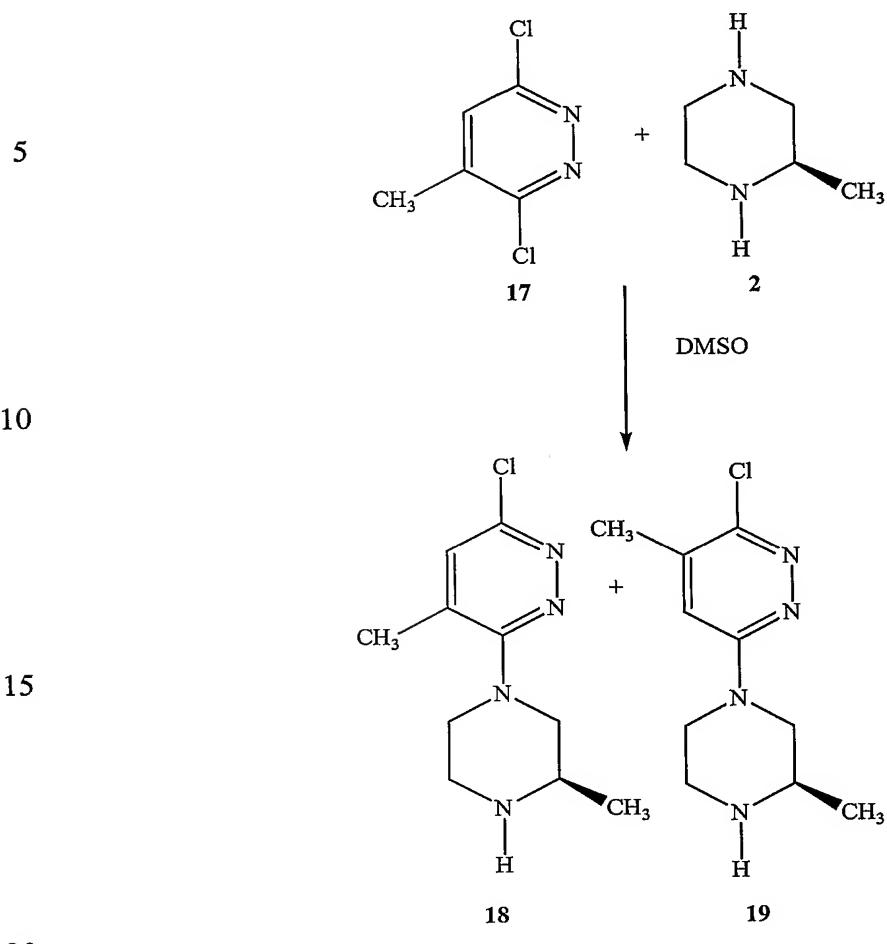
15

The identity of Compound **14** was confirmed using ^1H NMR.

Compound **14**: ^1H NMR (CDCl_3), 8.21 (1H, dd, $J=1.6, 4.7$ Hz), 7.63 (1H, dd, $J=1.6, 7.8$ Hz), 7.40 (1H, d, $J=0.5$ Hz), 7.18 (2H, d, $J=0.5$ Hz), 6.89 (1H, dd, $J=4.7, 7.8$ Hz), 5.62 (1H, br), 5.27 (m, 1H), 3.84 (2H, t, $J=10.6$ Hz), 3.50 (1H, dt, $J=2.9, 15.3$ Hz), 3.08 (1H, dd, $J=3.6, 12.6$ Hz), 3.00 (1H, dt, $J=3.3, 15.3$ Hz), 2.44 (3H, s), 1.48 (3H, d, $J=7.2$ Hz) ppm.

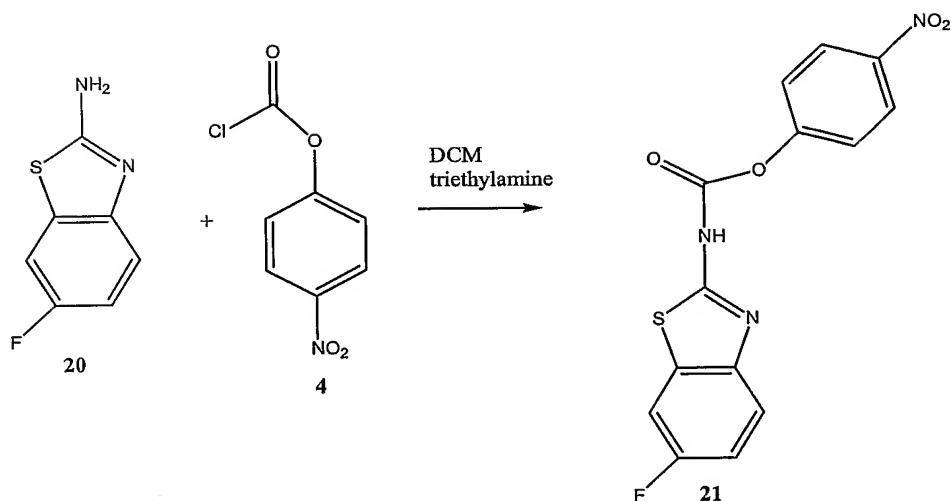
(M+1) m/z : 418.0.

5.10. Example 10: Synthesis of Benzoazolylpiperazine Compound G10



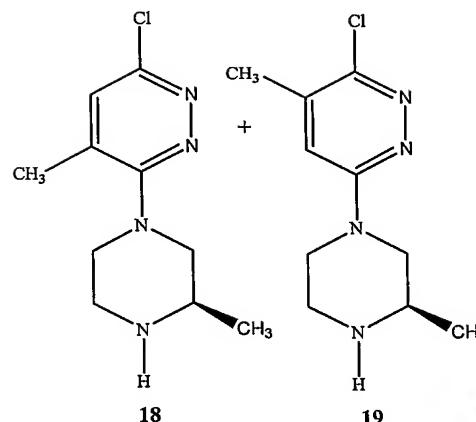
Compound 17 (5 g, 30.7 mmol) and piperazine 2 (3.1 g, 30.7 mmol) were dissolved in 18 mL of DMSO and stirred at 100°C for about 3 h. The reaction mixture was then cooled to room temperature and the solvent removed under reduced pressure to provide a mixture of compounds 18 and 19.

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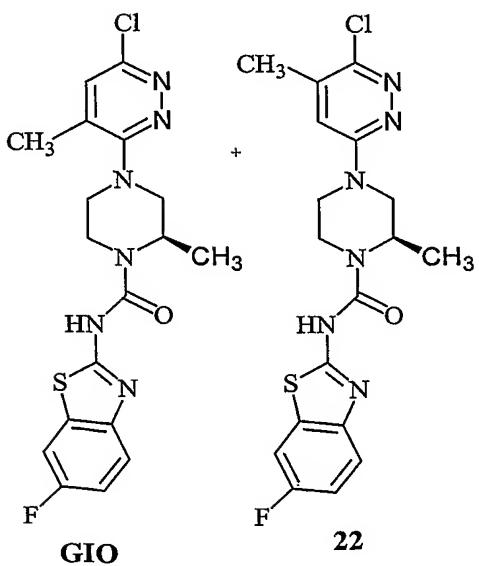
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A solution of 6-fluoro-benzothiazol-2-ylamine **20** (3.7 g, 23.0 mmol) in DCM (15 mL) was added portionwise to a cooled solution of chloroformate **4**. The resulting reaction mixture was stirred for 5 min. and 10 mL of triethylamine was added to the solution. The reaction mixture was then allowed to warm to room temperature and concentrated under reduced pressure at about 40 °C to provide the compound of formula **21**. The compound of formula **21** was redissolved in DCM (30 mL) and to the resulting solution was added the mixture of compounds **18** and **19**, prepared as described above, in DCM (10 mL). The resulting reaction mixture was allowed to stir for 5 min. and the solvent was removed under reduced pressure to provide a residue comprising Compound **GIO** and a Benzoazolylpiperazine Compound of Formula **22**. The residue was purified using a silica gel column eluted with 5:95 ethyl acetate: hexane to provide 0.69 g of Compound **GIO**.

5.11. Example 11: Binding of Benzoazolylpiperazine Compounds to mGluR5

The following assay can be used to demonstrate Benzoazolylpiperazine Compounds that bind to and modulate the activity of mGluR5.

Cell cultures: Primary glial cultures are prepared from cortices of Sprague-Dawley 18 days old embryos. The cortices are dissected and then dissociated by trituration. The resulting cell homogenate is plated onto poly-D-lysine precoated T175 flasks (BIOCOAT, commercially available from Becton Dickinson and Company Inc. of Franklin Lakes, NJ) in Dulbelcco's Modified Eagle's Medium ("DMEM," pH 7.4), buffered with 25 mM HEPES, and supplemented with 15% fetal calf serum ("FCS," commercially available from Hyclone Laboratories Inc. of Omaha, NE), and incubated at 37°C and 5% CO₂. After 24 hours, FCS supplementation is reduced to 10%. On day six, oligodendrocytes and microglia are removed by strongly tapping the sides of the flasks. One day following this purification step, secondary astrocyte cultures are established by subplating onto 96 poly-D-lysine precoated T175 flasks (BIOCOAT) at a density of 65,000 cells/well in DMEM and 10% FCS. After 24 hours, the astrocytes are washed with serum free medium and then cultured in DMEM, without glutamate, supplemented with 0.5% FCS, 20 mM HEPES, 10 ng/mL epidermal growth factor ("EGF"), 1 mM sodium pyruvate, and 1X penicillin/streptomycin at pH 7.5 for 3 to 5 days at 37°C and 5% CO₂. The procedure allows the expression of the mGluR5

receptor by astrocytes, as demonstrated by S. Miller *et al.*, *J. Neuroscience* 15(9):6103-6109 (1995).

Assay Protocol: After 3-5 days incubation with EGF, the astrocytes are washed with 127 mM NaCl, 5 mM KCl, 2 mM MgCl₂, 700 mM NaH₂PO₄, 2 mM CaCl₂, 5 mM NaHCO₃, 5 8 mM HEPES, 10 mM Glucose at pH 7.4 (“Assay Buffer”) and loaded with the dye Fluo-4 (commercially available from Molecular Probes Inc. of Eugene, OR) using 0.1 mL of Assay Buffer containing Fluo-4 (3 mM final). After 90 minutes of dye loading, the cells are then washed twice with 0.2 mL Assay Buffer and resuspended in 0.1 mL of Assay Buffer. The plates containing the astrocytes are then transferred to a Fluorometric Imaging Plate reader 10 (commercially available from Molecular Devices Corporation of Sunnyvale, CA) for the assessment of calcium mobilization flux in the presence of glutamate and in the presence or absence of antagonist. After monitoring fluorescence for 15 seconds to establish a base line, DMSO solutions containing various concentrations of a Benzoazolylpiperazine Compound diluted in Assay Buffer (0.05 mL of 4X dilutions for competition curves) are added to the cell 15 plate and fluorescence is monitored for 2 minutes. 0.05 mL of a 4X glutamate solution (agonist) is then added to each well to provide a final glutamate concentration in each well of 10 mM. Plate fluorescence is then monitored for an additional 60 seconds after agonist addition. The final DMSO concentration in the assay is 1.0%. In each experiment, fluorescence is monitored as a function of time and the data analyzed using Microsoft Excel 20 and GraphPad Prism. Dose-response curves are fit using a non-linear regression to determine IC₅₀ value. In each experiment, each data point is determined two times. The assay results will demonstrate Benzoazolylpiperazine Compounds that bind to and modulate the activity of 25 mGluR5.

25 **5.12. Example 12: In Vivo Assays for Prevention or Treatment of Pain**

Test Animals: Each experiment uses rats weighing between 200-260 g at the start of the experiment. The rats are group-housed and have free access to food and water at all times, except prior to oral administration of a Benzoazolylpiperazine Compound when food is removed for 16 hours before dosing. A control group acts as a comparison to rats 30 treated with a Benzoazolylpiperazine Compound. The control group is administered the carrier for the Benzoazolylpiperazine Compound. The volume of carrier administered to the

control group is the same as the volume of carrier and Benzoazolylpiperazine Compound administered to the test group.

Acute Pain: To assess the actions of the Benzoazolylpiperazine Compounds for the treatment or prevention of acute pain the rat tail flick test can be used. Rats are placed 5 inside a cotton pouch and the tail exposed to a focused beam of radiant heat at a point 3 cm from the tip using a tail flick unit (Model 7360, commercially available from Ugo Basile of Italy). Tail flick latencies are defined as the interval between the onset of the thermal stimulus and the flick of the tail. Animals not responding within 15 seconds are removed from the tail flick unit and assigned a withdrawal latency of 15 seconds. Tail flick latencies 10 are measured immediately before (pre-treatment) and 1, 3, and 6 hours following administration of a Benzoazolylpiperazine Compound. Data are expressed as tail flick latency(s) and the percentage of the maximal possible effect (% MPE), *i.e.*, 15 seconds, is calculated as follows:

$$15 \text{ \% MPE} = \frac{[(\text{post administration latency}) - (\text{pre-administration latency})]}{(15 \text{ s pre-administration latency})} \times 100$$

The rat tail flick test is described in F.E. D'Amour *et al.*, "A Method for Determining Loss of Pain Sensation," *J. Pharmacol. Exp. Ther.* 72:74-79 (1941). The results will demonstrate 20 Benzoazolylpiperazine Compounds that are useful for treating or preventing acute pain.

Acute pain can also be assessed by measuring the animal's response to noxious mechanical stimuli by determining the paw withdrawal threshold (PWT), as described below.

Inflammatory Pain: To assess the actions of the Benzoazolylpiperazine 25 Compounds for the treatment or prevention of inflammatory pain the Freund's complete adjuvant (FCA) model of inflammatory pain is used. FCA-induced inflammation of the rat hind paw is associated with the development of persistent inflammatory mechanical hyperalgesia and provides reliable prediction of the anti-hyperalgesic action of clinically useful analgesic drugs (L. Bartho *et al.*, "Involvement of Capsaicin-sensitive Neurones in 30 Hyperalgesia and Enhanced Opioid Antinociception in Inflammation," *Naunyn-Schmiedeberg's Archives of Pharmacology* 342:666-670 (1990)). The left hind paw of each

animal is administered a 50 μ L intraplantar injection of 100% FCA. 24 hour post injection, the animal is assessed for response to noxious mechanical stimuli by determining the PWT, as described below. Rats are then administered a single injection of 1, 3, 10 or 30 mg/Kg of either a Benzoazolylpiperazine Compound, 30 mg/Kg indomethacin or carrier. Responses to 5 noxious mechanical stimuli are then determined 2, 4, 6, and 24 hours post administration.

Percentage reversal of hyperalgesia for each animal is defined as:

$$[(\text{post administration PWT}) - (\text{pre-administration PWT})]$$

$$\% \text{ Reversal} = \frac{10}{(\text{Baseline pre-administration PWT})} \times 100$$

The results will demonstrate Benzoazolylpiperazine Compounds that are useful for treating or preventing inflammatory pain.

Neuropathic Pain: To assess the actions of the Benzoazolylpiperazine 15 Compounds for the treatment or prevention of neuropathic pain either the Seltzer model or the Chung model can be used.

In the Seltzer model, the partial sciatic nerve ligation model of neuropathic pain is used to produce neuropathic hyperalgesia in rats (Z. Seltzer *et al.*, "A Novel Behavioral Model of Neuropathic Pain Disorders Produced in Rats by Partial Sciatic Nerve 20 Injury," *Pain* 43:205-218 (1990)). Partial ligation of the left sciatic nerve is performed under enflurane/O₂ inhalation anaesthesia. Following induction of anaesthesia, the left thigh of the rat is shaved and the sciatic nerve exposed at high thigh level through a small incision and is carefully cleared of surrounding connective tissues at a site near the trochanter just distal to the point at which the posterior biceps semitendinosus nerve branches off of the common 25 sciatic nerve. A 7-0 silk suture is inserted into the nerve with a 3/8 curved, reversed-cutting mini-needle and tightly ligated so that the dorsal 1/3 to 1/2 of the nerve thickness is held within the ligature. The wound is closed with a single muscle suture (7-0 silk) and a Michelle clip. Following surgery, the wound area is dusted with antibiotic powder. Sham-treated rats undergo an identical surgical procedure except that the sciatic nerve is not manipulated.

30 Following surgery, animals are weighed and placed on a warm pad until they recover from

anesthesia. Animals are then returned to their home cages until behavioral testing begins. The animal is assessed for response to noxious mechanical stimuli by determining PWT, as described below, immediately prior to and 1, 3, and 6 hours after drug administration for both the left rear paw and right rear paw of the animal. Percentage reversal of neuropathic
5 hyperalgesia is defined as:

$$\% \text{ reversal} = 100 - [(right \text{ pre-administration PWT} - left \text{ post-administration PWT}) / (right \text{ pre-administration PWT} - left \text{ pre-administration PWT})] \times 100.$$

10 In the Chung model, the spinal nerve ligation model of neuropathic pain is used to produce mechanical hyperalgesia, thermal hyperalgesia and tactile allodynia in rats. Surgery is performed under isoflurane/O₂ inhalation anaesthesia. Following induction of anaesthesia a 3 cm incision is made and the left paraspinal muscles are separated from the spinous process at the L₄ - S₂ levels. The L₆ transverse process is carefully removed with a
15 pair of small rongeurs to identify visually the L₄ - L₆ spinal nerves. The left L₅ (or L₅ and L₆) spinal nerve(s) is isolated and tightly ligated with silk thread. A complete hemostasis is confirmed and the wound is sutured using non-absorbable sutures, such as nylon sutures or stainless steel staples. Sham-treated rats undergo an identical surgical procedure except that the spinal nerve(s) is not manipulated. Following surgery animals are weighed, administered
20 a subcutaneous (s.c.) injection of saline or ringers lactate, the wound area is dusted with antibiotic powder and they are kept on a warm pad until they recover from the anesthesia. Animals are then be returned to their home cages until behavioral testing begins. The animals are assessed for response to noxious mechanical stimuli by determining PWT, as described below, immediately prior to and 1, 3, and 5 hours after being administered a
25 Benzoazolylpiperazine Compound for both the left rear paw and right rear paw of the animal. The animal can also be assessed for response to noxious thermal stimuli or for tactile allodynia, as described below. The Chung model for neuropathic pain is described in S.H. Kim, "An Experimental Model for Peripheral Neuropathy Produced by Segmental Spinal Nerve Ligation in the Rat," *Pain* 50(3):355-363 (1992). The results show demonstrate
30 Benzoazolylpiperazine Compounds that are useful for treating or preventing neuropathic pain.

Response to Mechanical Stimuli as an Assessment of Mechanical

Hyperalgesia: The paw pressure assay can be used to assess mechanical hyperalgesia. For this assay, hind paw withdrawal thresholds (PWT) to a noxious mechanical stimulus are determined using an analgesymeter (Model 7200, commercially available from Ugo Basile of Italy) as described in C. Stein, "Unilateral Inflammation of the Hindpaw in Rats as a Model of Prolonged Noxious Stimulation: Alterations in Behavior and Nociceptive Thresholds," *Pharmacology Biochemistry and Behavior* 31:451-455 (1988). The maximum weight that can be applied to the hind paw is set at 250 g and the end point is taken as complete withdrawal of the paw. PWT is determined once for each rat at each time point and only the affected (ipsilateral) paw is tested.

Response to Thermal Stimuli as an Assessment of Thermal Hyperalgesia: The

plantar test can be used to assess thermal hyperalgesia. For this test, hind paw withdrawal latencies to a noxious thermal stimulus are determined using a plantar test apparatus (commercially available from Ugo Basile of Italy) following the technique described by K. Hargreaves *et al.*, "A New and Sensitive Method for Measuring Thermal Nociception in Cutaneous Hyperalgesia," *Pain* 32(1):77-88 (1988). The maximum exposure time is set at 32 seconds to avoid tissue damage and any directed paw withdrawal from the heat source is taken as the end point. Three latencies are determined at each time point and averaged. Only the affected (ipsilateral) paw is tested.

Assessment of Tactile Allodynia: To assess tactile allodynia, rats are placed in clear, plexiglass compartments with a wire mesh floor and allowed to habituate for a period of at least 15 minutes. After habituation, a series of von Frey monofilaments are presented to the plantar surface of the left (operated) foot of each rat. The series of von Frey monofilaments consists of six monofilaments of increasing diameter, with the smallest diameter fiber presented first. Five trials are conducted with each filament with each trial separated by approximately 2 minutes. Each presentation lasts for a period of 4-8 seconds or until a nociceptive withdrawal behavior is observed. Flinching, paw withdrawal or licking of the paw are considered nociceptive behavioral responses.

5.13 Example 13: *In Vivo* Assays for Prevention or Treatment of Anxiety

The elevated plus maze test or the shock-probe burying test can be used to assess the anxiolytic activity of Benzoazolylpiperazine Compounds in rats or mice.

The Elevated Plus Maze Test: The elevated plus maze consists of a platform with 4 arms, two open and two closed (50x10x50 cm enclosed with an open roof). Rats (or mice) are placed in the center of the platform, at the crossroad of the 4 arms, facing one of the closed arms. Time spent in the open arms vs the closed arms and number of open arm entries during the testing period are recorded. This test is conducted prior to drug administration and again after drug administration. Test results are expressed as the mean time spent in open arms and the mean number of entries into open arms. Known anxiolytic drugs increase both the time spent in open arms and number of open arm entries. The elevated plus maze test is described in D. Treit, "Animal Models for the Study of Anti-anxiety Agents: A Review," *Neuroscience & Biobehavioral Reviews* 9(2):203-222 (1985).

The Shock-Probe Burying Test: For the shock-probe burying test the testing apparatus consists of a plexiglass box measuring 40x30x40 cm, evenly covered with approximately 5 cm of bedding material (odor absorbent kitty litter) with a small hole in one end through which a shock probe (6.5 cm long and 0.5 cm in diameter) is inserted. The plexiglass shock probe is helically wrapped with two copper wires through which an electric current is administered. The current is set at 2 mA. Rats are habituated to the testing apparatus for 30 min on 4 consecutive days without the shock probe in the box. On test day, rats are placed in one corner of the test chamber following drug administration. The probe is not electrified until the rat touches it with its snout or fore paws, at which point the rat receives a brief 2 mA shock. The 15 min testing period begins once the rat receives its first shock and the probe remains electrified for the remainder of the testing period. The shock elicits burying behavior by the rat. Following the first shock, the duration of time the rat spends spraying bedding material toward or over the probe with its snout or fore paws (burying behavior) is measured as well as the number of contact-induced shocks the rat receives from the probe. Known anxiolytic drugs reduce the amount of burying behavior. In addition, an index of the rat's reactivity to each shock is scored on a 4 point scale. The total time spent immobile during the 15 min testing period is used as an index of general activity. The shock-probe burying test is described in D. Treit, 1985, *supra*. The results of this test

will demonstrate Benzoazolylpiperazine Compounds that are useful for treating or preventing anxiety.

5.14. Example 14: *In Vivo Assays for Prevention or Treatment of an Addictive Disorder*

5 The condition place preference test or drug self-administration test can be used to assess the ability of Benzoazolylpiperazine Compounds to attenuate the rewarding properties of known drugs of abuse.

The Condition Place Preference Test: The apparatus for the conditioned place preference test consists of two large compartments (45x45x30 cm) made of wood with a plexiglass front wall. These two large compartments are distinctly different. Doors at the back of each large compartment lead to a smaller box (36x18x20 cm) box made of wood, painted grey, with a ceiling of wire mesh. The two large compartments differ in terms of shading (white vs black), level of illumination (the plexiglass door of the white compartment is covered with aluminum foil except for a window of 7x7 cm), texture (the white 10 compartment has a 3 cm thick floor board (40x40 cm) with nine equally spaced 5 cm diameter holes and the black has a wire mesh floor), and olfactory cues (saline in the white compartment and 1 mL of 10% acetic acid in the black compartment). On habituation and testing days, the doors to the small box remain open, giving the rat free access to both large compartments.

15

20 The first session that a rat is placed in the apparatus is a habituation session and entrances to the smaller grey compartment remain open giving the rat free access to both large compartments. During habituation, rats generally show no preference for either compartment. Following habituation, rats are given 6 conditioning sessions. Rats are divided into 4 groups: carrier pre-treatment + carrier (control group), 2-Pyrimidinylpiperazine 25 Compound pre-treatment + carrier, carrier pre-treatment + morphine, 2-Pyrimidinylpiperazine Compound pre-treatment + morphine. During each conditioning session the rat is injected with one of the drug combinations and confined to one compartment for 30 min. On the following day, the rat receives a carrier + carrier treatment and is confined to the other large compartment. Each rat receives three conditioning sessions consisting of 3 drug 30 combination-compartment and 3 carrier-compartment pairings. The order of injections and the drug/compartment pairings are counterbalanced within groups. On the test day, rats are

injected prior to testing (30 min to 1 hour) with either morphine or carrier and the rat is placed in the apparatus, the doors to the grey compartment remain open and the rat is allowed to explore the entire apparatus for 20 min. The time spent in each compartment is recorded. Known drugs of abuse increase the time spent in the drug-paired compartment during the 5 testing session. If the Benzoazolylpiperazine Compound blocks the acquisition of morphine conditioned place preference (reward), there will be no difference in time spent in each side in rats pre-treated with a Benzoazolylpiperazine Compound and the group will not be different from the group of rats that was given carrier + carrier in both compartments. Data will be analyzed as time spent in each compartment (drug combination-paired vs carrier- 10 paired). Generally, the experiment is repeated with a minimum of 3 doses of a Benzoazolylpiperazine Compound.

The Drug Self-Administration Test: The apparatus for the drug self-administration test is a standard commercially available operant conditioning chamber. Before drug trials begin rats are trained to press a lever for a food reward. After stable lever 15 pressing behavior is acquired, rats are tested for acquisition of lever pressing for drug reward. Rats are implanted with chronically indwelling jugular catheters for i.v. administration of compounds and are allowed to recover for 7 days before training begins. Experimental sessions are conducted daily for 5 days in 3 hour sessions. Rats are trained to self-administer a known drug of abuse, such as morphine. Rats are then presented with two levers, an 20 “active” lever and an “inactive” lever. Pressing of the active lever results in drug infusion on a fixed ratio 1 (FR1) schedule (*i.e.*, one lever press gives an infusion) followed by a 20 second time out period (signaled by illumination of a light above the levers). Pressing of the inactive lever results in infusion of excipient. Training continues until the total number of morphine infusions stabilizes to within \pm 10% per session. Trained rats are then used to 25 evaluate the effect of Benzoazolylpiperazine Compounds pre-treatment on drug self-administration. On test day, rats are pre-treated with a Benzoazolylpiperazine Compound or excipient and then are allowed to self-administer drug as usual. If the Benzoazolylpiperazine Compound blocks the rewarding effects of morphine, rats pre-treated with the Benzoazolylpiperazine Compound will show a lower rate of responding compared to their 30 previous rate of responding and compared to excipient pre-treated rats. Data is analyzed as the change in number of drug infusions per testing session (number of infusions during test

session – number of infusions during training session). The results will demonstrate Benzoazolylpiperazine Compounds are useful for treating or preventing an addictive disorder.

**5.15. Example 15: Functional Assay for Characterizing
mGluR 1 Antagonistic Properties**

5 Functional assays for the characterization of mGluR 1 antagonistic properties are well known in the art. For example, the following procedure can be used.

A CHO-rat mGluR1 cell line is generated using cDNA encoding rat mGluR1 receptor (M. Masu and S. Nakanishi, *Nature* 349: 760-765 (1991)). The cDNA encoding rat mGluR1 receptor can be obtained from, e.g., Prof. S. Nakanishi (Kyoto, Japan).

10 40,000 CHO-rat mGluR1 cells/well are plated into a Costar 3409, black, clear bottom, 96 well, tissue culture treated plate (commercially available from Fisher Scientific of Chicago, IL) and are incubated in Dulbecco's Modified Eagle's Medium (DMEM, pH 7.4) supplemented with glutamine, 10% FBS, 1% Pen/Strep, and 500 µg/mL Geneticin for about 12 h. The CHO-rat mGluR1 cells are then washed and treated with Optimem medium

15 (commercially available from Invitrogen, Carlsbad, CA) and incubated for a time period ranging from 1 to 4 hours prior to loading the cells with the dye Fluo-4 (commercially available from Molecular Probes Inc., Eugene OR). After incubation, the cell plates are washed with loading buffer (127 mM NaCl, 5 mM KCl, 2 mM MgCl₂, 700 µM, NaH₂PO₄, 2 mM CaCl₂, 5 mM NaHCO₃, 8 mM HEPES, and 10 mM glucose, pH 7.4) and incubated with

20 3 µM Fluo-4 in 0.1 mL loading buffer for 90 min. The cells are then washed twice with 0.2 mL loading buffer, resuspended in 0.1 mL of loading buffer, and transferred to a Fluorometric Imaging Plate Reader (FLIPR) (commercially available from Molecular Devices Corp., Sunnyvale, CA) for measurement of calcium mobilization flux in the presence of glutamate and in the presence or absence of a Benzoazolylpiperazine Compound.

25 To measure calcium mobilization flux, fluorescence is monitored for about 15 s to establish a baseline and DMSO solutions containing various concentrations of a Benzoazolylpiperazine Compound ranging from about 50 µM to about 0.8 nM diluted in loading buffer (0.05 mL of a 4X dilution) are added to the cell plate and fluorescence is monitored for about 2 min. 0.05 mL of a 4X Glutamate solution (agonist) is then added to 30 each well to provide a final glutamate concentration in each well of 10 µM and fluorescence is monitored for about 1 additional min. The final DMSO concentration in the assay is 1%. In

each experiment fluorescence is monitored as a function of time and the data is analyzed using a non-linear regression to determine the IC₅₀ value. In each expereiment each data point is determined twice.

5.16 Example 16: Binding of Benzoazolylpiperazine Compounds to VR1

5 Methods for demonstrating a compound's ability to inhibit VR1 are well known to those skilled in the art, for example, those methods disclosed in U.S. Patent No. 6,239,267 to Duckworth *et al.*; U.S. Patent No. 6,406,908 to McIntyre *et al.*; or U.S. Patent No. 6,335,180 to Julius *et al.* The results of this assay will demonstrate Benzoazolylpiperazine Compounds that bind to and modulate the activity of VR1.

10 Binding of Compound AAQ to VR1: Assay Protocol

Human VR1 cloning. Human spinal cord RNA (commercially available from Clontech, Palo Alto, CA) was used. Reverse transcription was conducted on 1.0 µg total RNA using Thermoscript Reverse Transcriptase (commercially available from Invitrogen, Carlsbad, CA) and oligo dT primers as detailed in its product description. Reverse 15 transcription reactions were incubated at 55°C for 1 h, heat-inactivated at 85°C for 5 min, and RNase H-treated at 37°C for 20 min.

Human VR1 cDNA sequence was obtained by comparison of the human genomic sequence, prior to annotation, to the published rat sequence. Intron sequences were removed and flanking exonic sequences were joined to generate the hypothetical human 20 cDNA. Primers flanking the coding region of human VR1 were designed as follows: forward primer, AAGATCTTCGCTGGTTGCACACTGGGCCACA; and reverse primer, GAAGATCTCGGGGACAGTGACGGTTGGATGT.

PCR of VR1 was performed on one tenth of the reverse transcription reaction mixture using Expand Long Template Polymerase and Expand Buffer 2 in a final volume of 25 50 µL according to the manufacturer's instructions (Roche Applied Sciences, Indianapolis, IN). After denaturation at 94°C for 2 min PCR amplification was performed for 25 cycles at

94°C for 15 sec, 58°C for 30 sec, and 68°C for 3 min followed by a final incubation at 72°C for 7 min to complete the amplification. A PCR product of ~2.8 kb was gel-isolated using a 1.0% agarose, Tris-Acetate gel containing 1.6 µg/mL of crystal violet and purified with a S.N.A.P. UV-Free Gel Purification Kit (commercially available from Invitrogen). The VR1
5 PCR product was cloned into the pIND/V5-His-TOPO vector (commercially available from Invitrogen) according to the manufacturer's instructions. DNA preparations, restriction enzyme digestions, and preliminary DNA sequencing were performed according to standard protocols. Full-length sequencing confirmed the identity of the human VR1.

Generation of inducible cell lines. Unless noted otherwise, cell culture
10 reagents were purchased from Life Technologies of Rockville, MD. HEK293-EcR cells expressing the ecdysone receptor (commercially available from Invitrogen) were cultured in Growth Medium (Dulbecco's Modified Eagles Medium containing 10% fetal bovine serum (commercially available from HYCLONE, Logan, UT), 1x penicillin/streptomycin, 1x glutamine, 1 mM sodium pyruvate and 400 µg/mL Zeocin (commercially available from
15 Invitrogen)). The VR1-pIND constructs were transfected into the HEK293-EcR cell line using Fugene transfection reagent (commercially available from Roche Applied Sciences, Basel; Switzerland). After 48 h, cells were transferred to Selection Medium (Growth Medium containing 300 µg/mL G418 (commercially available from Invitrogen)).
Approximately 3 weeks later individual Zeocin/G418 resistant colonies were isolated and
20 expanded. To identify functional clones, multiple colonies were plated into 96-well plates and expression was induced for 48 h using Selection Medium supplemented with 5 µM ponasterone A ("PonA") (commercially available from Invitrogen). On the day of assay, cells were loaded with Fluo-4 (a calcium-sensitive dye that is commercially available from Molecular Probes, Eugene, OR) and CAP-mediated calcium influx was measured using a
25 Fluorometric Imaging Plate Reader ("FLIPR") (commercially available from Molecular Devices Corp., Sunnyvale, CA) as described below. Functional clones were re-assayed, expanded, and cryopreserved.

pH-Based Assay. Two days prior to performing this assay, cells were seeded on poly-D-lysine-coated 96-well clear-bottom black plates (commercially available from Becton-Dickinson) at 75,000 cells/well in growth media containing 5 μ M PonA (commercially available from Invitrogen) to induce expression. On the day of the assay, the 5 plates were washed with 0.2 mL 1x Hank's Balanced Salt Solution (commercially available from Life Technologies) containing 1.6 mM CaCl₂ and 20 mM HEPES, pH 7.4 ("wash buffer"), and loaded using 0.1 mL of wash buffer containing Fluo-4 (3 μ M final concentration, commercially available from Molecular Probes). After 1 h, the cells were washed twice with 0.2 mL wash buffer and resuspended in 0.05 mL 1x Hank's Balanced Salt 10 Solution (commercially available from Life Technologies) containing 3.5 mM CaCl₂ and 10 mM Citrate, pH 7.4 ("assay buffer"). Plates were then transferred to a FLIPR (commercially available from Molecular Devices) for assay. Compound **AAQ** was diluted in assay buffer, and 50 mL of the resultant solution were added to the cell plates and the solution monitored for two minutes. The final concentration of Compound **AAQ** ranged from about 50 pM to 15 about 3 μ M. Agonist buffer (wash buffer titrated with 1N HCl to provide a solution having a pH of 5.5 when mixed 1:1 with assay buffer) (0.1 mL) was then added to each well, and the plates were incubated for 1 additional min. Data were collected over the entire time course and analyzed using Excel and Graph Pad Prism. Compound **AAQ** when assayed according to this protocol had an IC₅₀ of 261.8 \pm 75.1 (n = 6).

20 *Capsaicin-based Assay.* Two days prior to performing this assay, cells were seeded in poly-D-lysine-coated 96-well clear-bottom black plates (50,000 cells/well) in growth media containing 5 μ M PonA (commercially available from Invitrogen) to induce expression. On the day of the assay, the plates were washed with 0.2 mL 1x Hank's Balanced Salt Solution (commercially available from Life Technologies) containing 1 mM CaCl₂ and 25 20 mM HEPES, pH 7.4, and cells were loaded using 0.1 mL of wash buffer containing Fluo-4 (3 μ M final). After one h, the cells were washed twice with 0.2 mL of wash buffer and resuspended in 0.1 mL of wash buffer. The plates were transferred to a FLIPR (commercially

available from Molecular Devices) for assay. 50 μ L of Compound **AAQ** diluted with assay buffer were added to the cell plates and incubated for 2 min. The final concentration of Compound **AAQ** ranged from about 50 pM to about 3 μ M. Human VR1 was activated by the addition of 50 μ L of capsaicin (400 nM), and the plates were incubated for an additional 3 5 min. Data were collected over the entire time course and analyzed using Excel and GraphPad Prism. Compound **AAQ** when assayed according to this protocol had an IC₅₀ of 50.7 \pm 14.7 (n = 3).

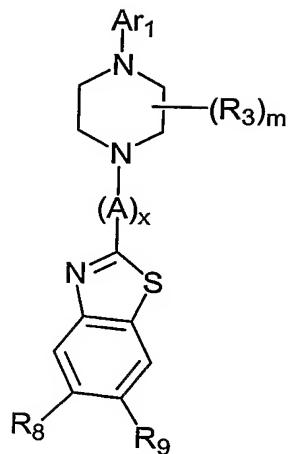
The results of the pH-based assay and the capsaicin-based assay demonstrate that Compound **AAQ**, an illustrative Benzoazolylpiperazine Compound, binds to and 10 modulates the activity of human VR1 and, accordingly, is useful for treating or preventing pain, UI, an ulcer, IBD, or IBS.

The present invention is not to be limited in scope by the specific embodiments disclosed in the examples which are intended as illustrations of a few aspects of the invention and any embodiments that are functionally equivalent are within the scope of 15 this invention. Indeed, various modifications of the invention in addition to those shown and described herein will become apparent to those skilled in the art and are intended to fall within the scope of the appended claims.

A number of references have been cited, the entire disclosures of which are incorporated herein by reference.

What is claimed is:

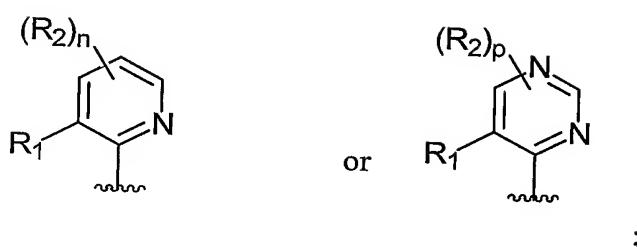
1. A compound of formula:



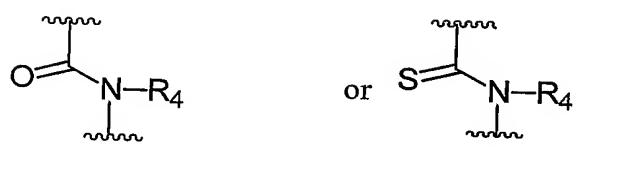
(Ia)

or a pharmaceutically acceptable salt thereof, wherein

Ar₁ is



A is



R₁ is -Cl, -Br, -I, -(C₁-C₆)alkyl, -NO₂, -CN, -OH, -OCH₃, -NH₂, -C(halo)₃, -CH(halo)₂, or -CH₂(halo);

each R² is independently:

25 (a) -halo, -CN, -OH, -O(C₁-C₆)alkyl, -NO₂, or -NH₂;

(b) $-(C_1-C_{10})$ alkyl, $-(C_2-C_{10})$ alkenyl, $-(C_2-C_{10})$ alkynyl, $-(C_3-C_{10})$ cycloalkyl, $-(C_8-C_{14})$ bicycloalkyl, $-(C_8-C_{14})$ tricycloalkyl, $-(C_5-C_{10})$ cycloalkenyl, $-(C_8-C_{14})$ bicycloalkenyl, $-(C_8-C_{14})$ tricycloalkenyl, -(3- to 7-membered)heterocycle, or -(7- to 10-membered)bicycloheterocycle, each of which is unsubstituted or substituted with one or more R₅ groups; or

(c) -phenyl, -naphthyl, $-(C_{14})$ aryl, or -(5- to 10-membered)heteroaryl, each of which is unsubstituted or substituted with one or more R₆ groups;

each R₃ is independently:

10 (a) -halo, -CN, -OH, $-O(C_1-C_6)$ alkyl, -NO₂, or -NH₂;
 (b) $-(C_1-C_{10})$ alkyl, $-(C_2-C_{10})$ alkenyl, $-(C_2-C_{10})$ alkynyl, $-(C_3-C_{10})$ cycloalkyl, $-(C_8-C_{14})$ bicycloalkyl, $-(C_8-C_{14})$ tricycloalkyl, $-(C_5-C_{10})$ cycloalkenyl, $-(C_8-C_{14})$ bicycloalkenyl, $-(C_8-C_{14})$ tricycloalkenyl, -(3- to 7-membered)heterocycle, or -(7- to 10-membered)bicycloheterocycle, each of which is unsubstituted or substituted with one or more R₅ groups; or

(c) -phenyl, -naphthyl, $-(C_{14})$ aryl or -(5- to 10-membered)heteroaryl, each of which is unsubstituted or substituted with one or more R₆ groups;

R₄ is -H or $-(C_1-C_6)$ alkyl;

20 each R₅ is independently -CN, -OH, -halo, -N₃, -NO₂, $-N(R_7)_2$, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₆ is independently $-(C_1-C_6)$ alkyl, $-(C_2-C_6)$ alkenyl, $-(C_2-C_6)$ alkynyl, $-(C_3-C_8)$ cycloalkyl, $-(C_5-C_8)$ cycloalkenyl, -phenyl, $-(C_3-C_5)$ heterocycle, -C(halo)₃, -CH(halo)₂, -CH₂(halo), -CN, -OH, -halo, -N₃, -NO₂, $-N(R_7)_2$, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₇ is independently -H, $-(C_1-C_6)$ alkyl, $-(C_2-C_6)$ alkenyl, $-(C_2-C_6)$ alkynyl, $-(C_3-C_8)$ cycloalkyl, $-(C_5-C_8)$ cycloalkenyl, -phenyl, $-(C_3-C_5)$ heterocycle, -C(halo)₃, -CH₂(halo), or -CH(halo)₂;

R₈ and R₉ are each independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -C(halo)₃, -CH(halo)₂, -CH₂(halo), -CN, -OH, -halo, -N₃, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

5 each -halo is -F, -Cl, -Br, - or -I;

n is an integer ranging from 0 to 3;

p is an integer ranging from 0 to 2;

m is 0 or 1; and

x is 0 or 1.

10

2. The compound of claim 1, wherein Ar₁ is a pyridyl group.

3. The compound of claim 1, wherein x is 1 and A is -C(O)N(R₄)-.

15 4. The compound of claim 1, wherein Ar₁ is a pyridyl group, x is 1, and A is -C(O)N(R₄)-.

5. The compound of claim 1, wherein Ar₁ is a pyridyl group, x is 1, and A is -C(S)N(R₄)-.

20

6. The compound of claim 1, wherein n or p is 0.

7. The compound of claim 1, wherein n or p is 1.

25 8. The compound of claim 1, wherein x is 0.

9. The compound of claim 1, wherein Ar₁ is a pyrimidinyl group

10. The compound of claim 1, wherein Ar₁ is a pyrimidinyl group, x is 1, and A is -C(O)N(R₄)-.

11. The compound of claim 1, wherein Ar₁ is a pyrimidinyl group, x is 1, and A is 5 -C(S)N(R₄)-.

12. The compound of claim 1, wherein:

R₁ is -CH₃, CF₃, -Cl, -Br, or -I;

m is 0;

10 n or p is 0;

x is 1;

A is -C(O)-N(R₄)-;

R₄ is -H;

R₈ is -H; and

15 R₉ is -CH₃, CF₃, -OCH₂CH₃, *tert*-butyl, Cl, -Br-, or -F.

13. The compound of claim 12, wherein Ar₁ is a pyridyl group.

14. The compound of claim 13, wherein R₁ is -CH₃ and R₉ is -Cl.

20

15. The compound of claim 13, wherein R₁ is -CH₃ and R₉ is -Br.

16. The compound of claim 13, wherein R₁ is -CH₃ and R₉ is -F.

25

17. The compound of claim 13, wherein R₁ is -Cl and R₉ is -Cl.

18. The compound of claim 13, wherein R₁ is -Cl and R₉ is -Br.

19. The compound of claim 13, wherein R₁ is -Cl and R₉ is -Cl.

20. The compound of claim 1, wherein:

R₁ is -CH₃, CF₃, -Cl, -Br, or -I;

5 m is 1;

R₃ is -(C₁-C₁₀)alkyl;

n or p is 0;

x is 1;

A is -C(O)-N(R₄)-;

10 R₄ is -H;

R₈ is -H; and

R₉ is -CH₃, CF₃, -OCH₂CH₃, *tert*-butyl, Cl, -Br-, or -F.

21. The compound of claim 20, wherein R₃ is -CH₃.

15

22. The compound of claim 20, wherein the carbon to which R₃ is attached is in the (R) configuration.

23. The compound of claim 20, wherein R₃ is attached to a carbon atom adjacent 20 to a nitrogen atom attached to the -C(O)-N(R₄)-group.

24. The compound of claim 20, wherein Ar₁ is a pyridyl group.

25. The compound of claim 24, wherein R₁ is -CH₃ and R₉ is -Cl.

25

26. The compound of claim 25, wherein the carbon to which R₃ is attached is in the (R) configuration.

27. The compound of claim 24, wherein R₁ is -CH₃ and R₉ is -Br.

28. The compound of claim 27, wherein the carbon to which R₃ is attached is in the (R) configuration.

5

29. The compound of claim 24, wherein R₁ is -CH₃ and R₉ is -F.

30. The compound of claim 29, wherein the carbon to which R₃ is attached is in the (R) configuration.

10

31. The compound of claim 24, wherein R₁ is -Cl and R₉ is -Cl.

32. The compound of claim 31, wherein the carbon to which R₃ is attached is in the (R) configuration.

15

33. The compound of claim 24, wherein R₁ is -Cl and R₉ is -Br.

34. The compound of claim 33, wherein the carbon to which R₃ is attached is in the (R) configuration.

20

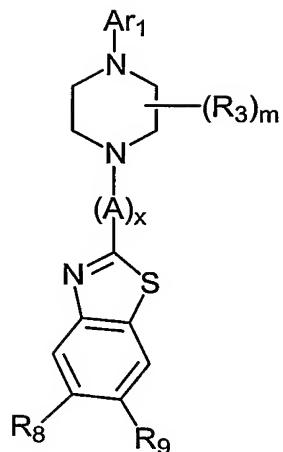
35. The compound of claim 24, wherein R₁ is -Cl and R₉ is -Cl.

36. The compound of claim 35, wherein the carbon to which R₃ is attached is in the (R) configuration.

25

37. The compound of claim 1, wherein m is 1 and the carbon to which R₃ is attached is in the (R) configuration.

38. A compound of formula:

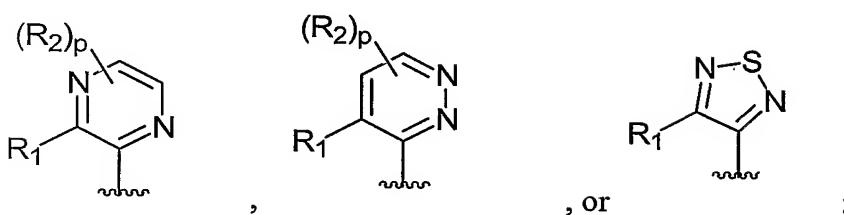


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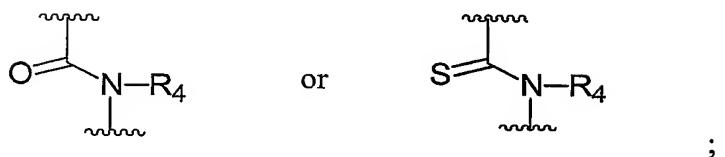
(Ib)

or a pharmaceutically acceptable salt thereof, wherein

Ar₁ is



A is



R₁ is -H, -halo, -(C₁-C₆)alkyl, -NO₂, -CN, -OH, -OCH₃, -NH₂, -C(halo)₃, -CH(halo)₂, or -CH₂(halo);

each R² is independently:

(a) -halo, -CN, -OH, -O(C₁-C₆)alkyl, -NO₂, or -NH₂;

25 (b) -(C₁-C₁₀)alkyl, -(C₂-C₁₀)alkenyl, -(C₂-C₁₀)alkynyl, -(C₃-C₁₀)cycloalkyl, -(C₈-C₁₄)bicycloalkyl, -(C₈-C₁₄)tricycloalkyl, -(C₅-C₁₀)cycloalkenyl, -(C₈-C₁₄)bicycloalkenyl, -(C₈-C₁₄)tricycloalkenyl, -(3- to 7-membered)heterocycle, or -(7- to 10-

membered)bicycloheterocycle, each of which is unsubstituted or substituted with one or more R₅ groups; or

(c) -phenyl, -naphthyl, -(C₁₄)aryl, or -(5- to 10-

membered)heteroaryl, each of which is unsubstituted or substituted with one or more R₆ groups;

each R₃ is independently:

(a) -halo, -CN, -OH, -O(C₁-C₆)alkyl, -NO₂, or -NH₂;

(b) -(C₁-C₁₀)alkyl, -(C₂-C₁₀)alkenyl, -(C₂-C₁₀)alkynyl, -(C₃-

C₁₀)cycloalkyl, -(C₈-C₁₄)bicycloalkyl, -(C₈-C₁₄)tricycloalkyl, -(C₅-C₁₀)cycloalkenyl, -(C₈-

10 C₁₄)bicycloalkenyl, -(C₈-C₁₄)tricycloalkenyl, -(3- to 7-membered)heterocycle, or -(7- to 10-membered)bicycloheterocycle, each of which is unsubstituted or substituted with one or more R₅ groups; or

(c) -phenyl, -naphthyl, -(C₁₄)aryl or -(5- to 10-

membered)heteroaryl, each of which is unsubstituted or substituted with one or more R₆ groups;

15

R₄ is -H or -(C₁-C₆)alkyl;

each R₅ is independently -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇,

-NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₆ is independently -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl,

20 -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -(C₃-C₅)heterocycle, -C(halo)₃,

-CH(halo)₂, -CH₂(halo), -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇,

-COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₇ is independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl,

-(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -(C₃-C₅)heterocycle, -C(halo)₃,

25 -CH₂(halo), or -CH(halo)₂;

R₈ and R₉ are each independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl,

-(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -C(halo)₃, -CH(halo)₂,

-CH₂(halo), -CN, -OH, -halo, -N₃, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each -halo is -F, -Cl, -Br, - or -I;

p is an integer ranging from 0 to 2;

5 m is 0 or 1; and

x is 0 or 1.

39. The compound of claim 38, wherein x is 1 and A is -C(O)N(R₄)-.

10 40. The compound of claim 38, wherein Ar₁ is a pyrazinyl group.

41. The compound of claim 38, wherein Ar₁ is a pyridazinyl group.

42. The compound of claim 38, wherein Ar₁ is a thiazanyl group.

15

43. The compound of claim 38, wherein Ar₁ is a pyrazinyl group, x is 1, and A is -C(O)N(R₄)-.

20 44. The compound of claim 38, wherein Ar₁ is a pyrazinyl group, x is 1, and A is -C(S)N(R₄)-.

45. The compound of claim 38, wherein Ar₁ is a pyridazinyl group, x is 1, and A is -C(O)N(R₄)-.

25 46. The compound of claim 38, wherein Ar₁ is a pyridazinyl group, x is 1, and A is -C(S)N(R₄)-.

47. The compound of claim 38, wherein Ar₁ is a thiazanyl group, x is 1, and A is -C(O)N(R₄)-.

48. The compound of claim 38, wherein Ar₁ is a thiazanyl group, x is 1, and A is
5 -C(S)N(R₄)-.

49. The compound of claim 38, wherein p is 0.

50. The compound of claim 38, wherein p is 1.

10

51. The compound of claim 38, wherein x is 0.

52. The compound of claim 38, wherein:

R₁ is -CH₃, CF₃, -Cl, -Br, or -I;

15

m is 0;

p is 0;

x is 1;

A is -C(O)-N(R₄)-;

R₄ is -H;

20

R₈ is -H; and

R₉ is -CH₃, CF₃, -OCH₂CH₃, *tert*-butyl, Cl, -Br-, or-F.

53. The compound of claim 52, wherein R₁ is -CH₃ and R₉ is -Cl.

25

54. The compound of claim 52, wherein R₁ is -CH₃ and R₉ is -Br.

55. The compound of claim 52, wherein R₁ is -CH₃ and R₉ is -F.

56. The compound of claim 52, wherein R₁ is -Cl and R₉ is -Cl.

57. The compound of claim 52, wherein R₁ is -Cl and R₉ is -Br.

5 58. The compound of claim 52, wherein R₁ is -Cl and R₉ is -Cl.

59. The compound of claim 38, wherein:

R₁ is -CH₃, CF₃, -Cl, -Br, or -I;

m is 1;

10 R₃ is -(C₁-C₁₀)alkyl;

p is 0;

x is 1;

A is -C(O)-N(R₄)-;

R₄ is -H;

15 R₈ is -H; and

R₉ is -CH₃, CF₃, -OCH₂CH₃, *tert*-butyl, Cl, -Br-, or -F.

60. The compound of claim 59, wherein R₃ is -CH₃.

20 61. The compound of claim 59, wherein the carbon to which R₃ is attached is in
the (R) configuration.

62. The compound of claim 59, wherein R₃ is attached to a carbon atom adjacent
to a nitrogen atom attached to the -C(O)-N(R₄)-group.

25

63. The compound of claim 59, wherein R₁ is -CH₃ and R₉ is -Cl.

64. The compound of claim 63, wherein the carbon to which R₃ is attached is in the (R) configuration.

5
65. The compound of claim 59, wherein R₁ is -CH₃ and R₉ is -Br.

66. The compound of claim 65, wherein the carbon to which R₃ is attached is in the (R) configuration.

10
67. The compound of claim 59, wherein R₁ is -CH₃ and R₉ is -F.

68. The compound of claim 67, wherein the carbon to which R₃ is attached is in the (R) configuration.

15
69. The compound of claim 59, wherein R₁ is -Cl and R₉ is -Cl.

70. The compound of claim 69, wherein the carbon to which R₃ is attached is in the (R) configuration.

20
71. The compound of claim 59, wherein R₁ is -Cl and R₉ is -Br.

72. The compound of claim 71, wherein the carbon to which R₃ is attached is in the (R) configuration.

25
73. The compound of claim 59, wherein R₁ is -Cl and R₉ is -Cl.

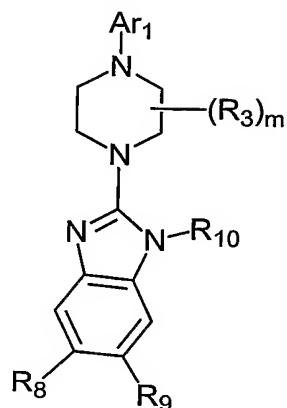
74. The compound of claim 73, wherein the carbon to which R₃ is attached is in the (R) configuration.

75. The compound of claim 38, wherein m is 1 and the carbon to which R₃ is attached is in the (R) configuration.

76. A compound of formula:

5

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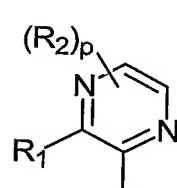
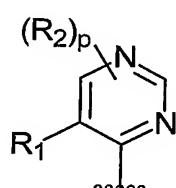
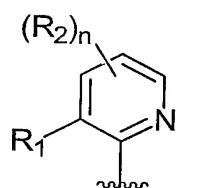


(IIa)

or a pharmaceutically acceptable salt thereof, wherein

15

Ar₁ is



20

R₁ is -Cl, -Br, -I, -(C₁-C₆)alkyl, -NO₂, -CN, -OH, -OCH₃, -NH₂, -C(halo)₃,

-CH(halo)₂, or -CH₂(halo);

each R² is independently:

(a) -halo, -CN, -OH, -O(C₁-C₆)alkyl, -NO₂, or -NH₂;

(b) -(C₁-C₁₀)alkyl, -(C₂-C₁₀)alkenyl, -(C₂-C₁₀)alkynyl, -(C₃-

25 C₁₀)cycloalkyl, -(C₈-C₁₄)bicycloalkyl, -(C₈-C₁₄)tricycloalkyl, -(C₅-C₁₀)cycloalkenyl, -(C₈-C₁₄)bicycloalkenyl, -(C₈-C₁₄)tricycloalkenyl, -(3- to 7-membered)heterocycle, or -(7- to 10-

membered)bicycloheterocycle, each of which is unsubstituted or substituted with one or more R₅ groups; or

(c) -phenyl, -naphthyl, -(C₁₄)aryl, or -(5- to 10-

membered)heteroaryl, each of which is unsubstituted or substituted with one or more R₆ groups;

each R₃ is independently:

(a) -halo, -CN, -OH, -O(C₁-C₆)alkyl, -NO₂, or -NH₂;

(b) -(C₁-C₁₀)alkyl, -(C₂-C₁₀)alkenyl, -(C₂-C₁₀)alkynyl, -(C₃-

C₁₀)cycloalkyl, -(C₈-C₁₄)bicycloalkyl, -(C₈-C₁₄)tricycloalkyl, -(C₅-C₁₀)cycloalkenyl, -(C₈-

10 C₁₄)bicycloalkenyl, -(C₈-C₁₄)tricycloalkenyl, -(3- to 7-membered)heterocycle, or -(7- to 10-

membered)bicycloheterocycle, each of which is unsubstituted or substituted with one or more R₅ groups; or

(c) -phenyl, -naphthyl, -(C₁₄)aryl or -(5- to 10-

membered)heteroaryl, each of which is unsubstituted or substituted with one or more R₆ groups;

each R₅ is independently -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₆ is independently -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -(C₃-C₅)heterocycle, -C(halo)₃,

20 -CH(halo)₂, -CH₂(halo), -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₇ is independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -(C₃-C₅)heterocycle, -C(halo)₃, -CH₂(halo), or -CH(halo)₂;

25 R₈ and R₉ are each independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl,

-(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -C(halo)₃, -CH(halo)₂,

-CH₂(halo), -CN, -OH, -halo, -N₃, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

R₁₀ is -H or -(C₁-C₄)alkyl;

each -halo is -F, -Cl, -Br, or -I;

5 n is an integer ranging from 0 to 3;

p is an integer ranging from 0 to 2; and

m is 0 or 1.

77. The compound of claim 76, wherein Ar₁ is a pyridyl group.

10

78. The compound of claim 76, wherein Ar₁ is a pyrimidinyl group.

79. The compound of claim 76, wherein Ar₁ is a pyrazinyl group.

15

80. The compound of claim 76, wherein n or p is 0.

81. The compound of claim 76, wherein n or p is 1.

82. The compound of claim 76, wherein:

20 R₁ is -CH₃, CF₃, -Cl, -Br, or -I;

m is 0;

n or p is 0;

R₈ is -H; and

R₉ is -CH₃, CF₃, -OCH₂CH₃, *tert*-butyl, Cl, -Br, or -F.

25

83. The compound of claim 82, wherein Ar₁ is a pyridyl group.

84. The compound of claim 76, wherein:

R₁ is -CH₃, CF₃, -Cl, -Br, or -I;

m is 1;

R₃ is -(C₁-C₁₀)alkyl;

5 n or p is 0;

R₈ is -H; and

R₉ is -CH₃, CF₃, -OCH₂CH₃, *tert*-butyl, Cl, -Br-, or -F.

85. The compound of claim 84, wherein R₃ is -CH₃.

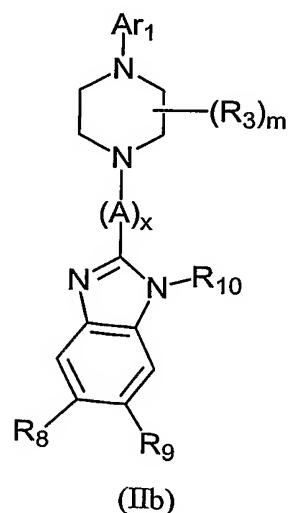
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86. The compound of claim 84, wherein the carbon to which R₃ is attached is in the (R) configuration.

87. The compound of claim 76, wherein the carbon to which R₃ is attached is in
15 the (R) configuration.

88. A compound of formula:

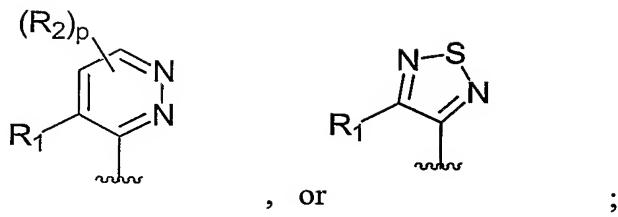
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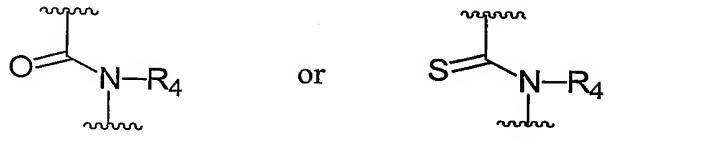
25

or a pharmaceutically acceptable salt thereof, wherein

Ar₁ is



A is



10 R₁ is -H, -halo, -(C₁-C₆)alkyl, -NO₂, -CN, -OH, -OCH₃, -NH₂, -C(halo)₃, -CH(halo)₂, or -CH₂(halo);

each R² is independently:

- (a) -halo, -CN, -OH, -O(C₁-C₆)alkyl, -NO₂, or -NH₂;
- (b) -(C₁-C₁₀)alkyl, -(C₂-C₁₀)alkenyl, -(C₂-C₁₀)alkynyl, -(C₃-

15 C₁₀)cycloalkyl, -(C₈-C₁₄)bicycloalkyl, -(C₈-C₁₄)tricycloalkyl, -(C₅-C₁₀)cycloalkenyl, -(C₈-C₁₄)bicycloalkenyl, -(C₈-C₁₄)tricycloalkenyl, -(3- to 7-membered)heterocycle, or -(7- to 10-membered)bicycloheterocycle, each of which is unsubstituted or substituted with one or more R₅ groups; or

- (c) -phenyl, -naphthyl, -(C₁₄)aryl, or -(5- to 10-

20 membered)heteroaryl, each of which is unsubstituted or substituted with one or more R₆ groups;

each R₃ is independently:

- (a) -halo, -CN, -OH, -O(C₁-C₆)alkyl, -NO₂, or -NH₂;
- (b) -(C₁-C₁₀)alkyl, -(C₂-C₁₀)alkenyl, -(C₂-C₁₀)alkynyl, -(C₃-

25 C₁₀)cycloalkyl, -(C₈-C₁₄)bicycloalkyl, -(C₈-C₁₄)tricycloalkyl, -(C₅-C₁₀)cycloalkenyl, -(C₈-C₁₄)bicycloalkenyl, -(C₈-C₁₄)tricycloalkenyl, -(3- to 7-membered)heterocycle, or -(7- to 10-

membered)heterocycle, each of which is unsubstituted or substituted with one or more R₅ groups; or

(c) -phenyl, -naphthyl, -(C₁₄)aryl or -(5- to 10-membered)heteroaryl, each of which is unsubstituted or substituted with one or more R₆ groups;

R₄ is -H or -(C₁-C₆)alkyl;

each R₅ is independently -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₆ is independently -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl,

10 -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -(C₃-C₅)heterocycle, -C(halo)₃, -CH(halo)₂, -CH₂(halo), -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₇ is independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl,

-(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -(C₃-C₅)heterocycle, -C(halo)₃,

15 -CH₂(halo), or -CH(halo)₂;

R₈ and R₉ are each independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl,

-(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -C(halo)₃, -CH(halo)₂,

-CH₂(halo), -CN, -OH, -halo, -N₃, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇,

-OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

20 R₁₀ is -H or -(C₁-C₄)alkyl;

each -halo is -F, -Cl, -Br, or -I;

p is an integer ranging from 0 to 2;

m is 0 or 1; and

x is 0 or 1.

25

89. The compound of claim 88, wherein Ar₁ is a pyridazinyl group.

90. The compound of claim 88, wherein Ar₁ is a thiazanyl group.

91. The compound of claim 88, wherein x is 1 and A is -C(O)N(R₄)-.

5 92. The compound of claim 88, wherein Ar₁ is a pyridazinyl group, x is 1, and A is
-C(O)N(R₄)-.

93. The compound of claim 88, wherein Ar₁ is a pyridazinyl group, x is 1, and A is
-C(S)N(R₄)-.

10

94. The compound of claim 88, wherein p is 0.

95. The compound of claim 88, wherein p is 1.

15 96. The compound of claim 88, wherein x is 0.

97. The compound of claim 88, wherein Ar₁ is a thiazanyl group.

98. The compound of claim 88, wherein Ar₁ is a thiazanyl group, x is 1, and A is
20 -C(O)N(R₄)-.

99. The compound of claim 88, wherein Ar₁ is a thiazanyl group, x is 1, and A is
-C(S)N(R₄)-.

25 100. The compound of claim 88, wherein:

R₁ is -CH₃, CF₃, -Cl, -Br, or -I;

m is 0;

p is 0;

x is 1;

A is -C(O)-N(R₄)-;

R₄ is -H;

5 R₈ is -H; and

R₉ is -CH₃, CF₃, -OCH₂CH₃, *tert*-butyl, Cl, -Br-, or -F.

101. The compound of claim 88, wherein:

R₁ is -CH₃, CF₃, -Cl, -Br, or -I;

10 m is 1;

R₃ is -(C₁-C₁₀)alkyl;

p is 0;

x is 1;

A is -C(O)-N(R₄)-;

15 R₄ is -H;

R₈ is -H; and

R₉ is -CH₃, CF₃, -OCH₂CH₃, *tert*-butyl, Cl, -Br-, or -F.

102. The compound of claim 101, wherein R₃ is -CH₃.

20

103. The compound of claim 101, wherein the carbon to which R₃ is attached is in
the (R) configuration.

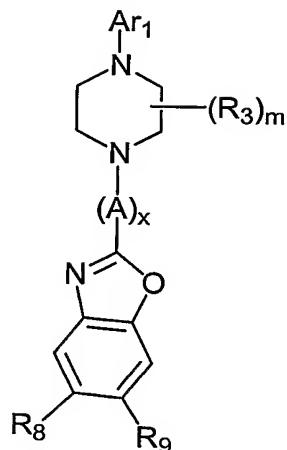
25 104. The compound of claim 101, wherein R₃ is attached to a carbon atom adjacent
to a nitrogen atom attached to the -C(O)-N(R₄)-group.

105. The compound of claim 88, wherein m is 1 and the carbon to which R₃ is attached is in the (R) configuration.

106. A compound of formula:

5

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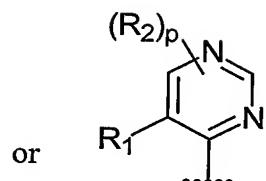


(IIIa)

or a pharmaceutically acceptable salt thereof, wherein

15

Ar₁ is

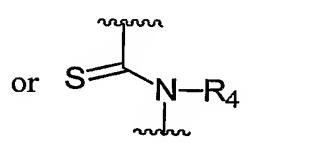
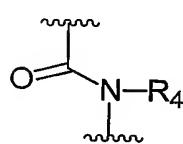


or

;

20

A is



;

R₁ is -Cl, -Br, -I, -(C₁-C₆)alkyl, -NO₂, -CN, -OH, -OCH₃, -NH₂, -C(halo)₃,
25 -CH(halo)₂, or -CH₂(halo);

each R² is independently:

(a) -halo, -CN, -OH, -O(C₁-C₆)alkyl, -NO₂, or -NH₂;

(b) $-(C_1-C_{10})alkyl$, $-(C_2-C_{10})alkenyl$, $-(C_2-C_{10})alkynyl$, $-(C_3-C_{10})cycloalkyl$, $-(C_8-C_{14})bicycloalkyl$, $-(C_8-C_{14})tricycloalkyl$, $-(C_5-C_{10})cycloalkenyl$, $-(C_8-C_{14})bicycloalkenyl$, $-(C_8-C_{14})tricycloalkenyl$, $-(3\text{- to }7\text{-membered})heterocycle$, or $-(7\text{- to }10\text{-membered})bicycloheterocycle$, each of which is unsubstituted or substituted with one or more R₅ groups; or

(c) -phenyl, -naphthyl, -(C₁₄)aryl, or -(5- to 10-membered)heteroaryl, each of which is unsubstituted or substituted with one or more R₆ groups;

each R₃ is independently:

10 (a) -halo, -CN, -OH, -O(C₁-C₆)alkyl, -NO₂, or -NH₂;
 (b) $-(C_1-C_{10})alkyl$, $-(C_2-C_{10})alkenyl$, $-(C_2-C_{10})alkynyl$, $-(C_3-C_{10})cycloalkyl$, $-(C_8-C_{14})bicycloalkyl$, $-(C_8-C_{14})tricycloalkyl$, $-(C_5-C_{10})cycloalkenyl$, $-(C_8-C_{14})bicycloalkenyl$, $-(C_8-C_{14})tricycloalkenyl$, $-(3\text{- to }7\text{-membered})heterocycle$, or $-(7\text{- to }10\text{-membered})bicycloheterocycle$, each of which is unsubstituted or substituted with one or more R₅ groups; or

15 (c) -phenyl, -naphthyl, -(C₁₄)aryl or -(5- to 10-membered)heteroaryl, each of which is unsubstituted or substituted with one or more R₆ groups;

R₄ is -H or -(C₁-C₆)alkyl;

20 each R₅ is independently -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₆ is independently $-(C_1-C_6)alkyl$, $-(C_2-C_6)alkenyl$, $-(C_2-C_6)alkynyl$, $-(C_3-C_8)cycloalkyl$, $-(C_5-C_8)cycloalkenyl$, -phenyl, $-(C_3-C_5)heterocycle$, -C(halo)₃, -CH(halo)₂, -CH₂(halo), -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇,

25 -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;
 each R₇ is independently -H, -(C₁-C₆)alkyl, $-(C_2-C_6)alkenyl$, $-(C_2-C_6)alkynyl$, $-(C_3-C_8)cycloalkyl$, $-(C_5-C_8)cycloalkenyl$, -phenyl, $-(C_3-C_5)heterocycle$, -C(halo)₃,

-CH₂(halo), or -CH(halo)₂;

R₈ and R₉ are each independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -C(halo)₃, -CH(halo)₂, -CH₂(halo), -CN, -OH, -halo, -N₃, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇,

5 -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each -halo is -F, -Cl, -Br, or -I;

n is an integer ranging from 0 to 3;

p is an integer ranging from 0 to 2;

m is 0 or 1; and

10 x is 0 or 1.

107. The compound of claim 106, wherein Ar₁ is a pyridyl group.

108. The compound of claim 106, wherein x is 1 and A is -C(O)N(R₄)-.

15

109. The compound of claim 106, wherein Ar₁ is a pyridyl group, x is 1, and A is -C(O)N(R₄)-.

110. The compound of claim 106, wherein Ar₁ is a pyridyl group, x is 1, and A is
20 -C(S)N(R₄)-.

111. The compound of claim 106, wherein n or p is 0.

112. The compound of claim 106, wherein n or p is 1.

25

113. The compound of claim 106, wherein x is 0.

114. The compound of claim 106, wherein Ar₁ is a pyrimidinyl group

115. The compound of claim 106, wherein Ar₁ is a pyrimidinyl group, x is 1, and A is -C(O)N(R₄)-.

5

116. The compound of claim 106, wherein Ar₁ is a pyrimidinyl group, x is 1, and A is -C(S)N(R₄)-.

117. The compound of claim 106, wherein:

10 R₁ is -CH₃, CF₃, -Cl, -Br, or -I;

m is 0;

n or p is 0;

x is 1;

A is -C(O)-N(R₄)-;

15 R₄ is -H;

R₈ is -H; and

R₉ is -CH₃, CF₃, -OCH₂CH₃, *tert*-butyl, Cl, -Br-, or -F.

118. The compound of claim 106, wherein:

20 R₁ is -CH₃, CF₃, -Cl, -Br, or -I;

m is 1;

R₃ is -(C₁-C₁₀)alkyl;

n or p is 0;

x is 1;

25 A is -C(O)-N(R₄)-;

R₄ is -H;

R₈ is -H; and

R₉ is -CH₃, CF₃, -OCH₂CH₃, *tert*-butyl, Cl, -Br-, or -F.

119. The compound of claim 118, wherein R₃ is -CH₃.

5 120. The compound of claim 118, wherein the carbon to which R₃ is attached is in
the (R) configuration.

121. The compound of claim 118, wherein R₃ is attached to a carbon atom adjacent
to a nitrogen atom attached to the -C(O)-N(R₄)-group.

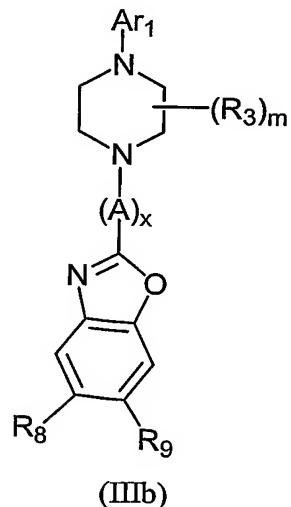
10

122. The compound of claim 106, wherein m is 1 and the carbon to which R₃ is
attached is in the (R) configuration.

123. A compound of formula:

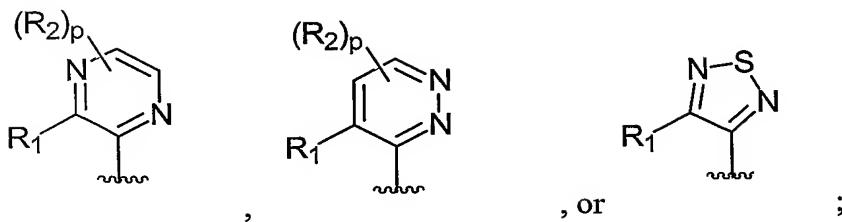
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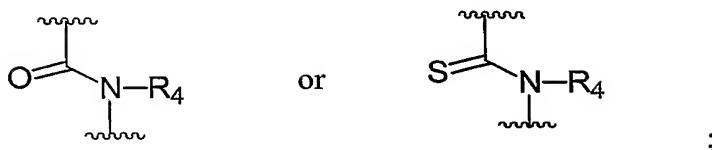


or a pharmaceutically acceptable salt thereof, wherein

25 Ar₁ is



5 A is



R₁ is -H, -halo, -(C₁-C₆)alkyl, -NO₂, -CN, -OH, -OCH₃, -NH₂, -C(halo)₃,

10 -CH(halo)₂, or -CH₂(halo);

each R² is independently:

- (a) -halo, -CN, -OH, -O(C₁-C₆)alkyl, -NO₂, or -NH₂;
- (b) -(C₁-C₁₀)alkyl, -(C₂-C₁₀)alkenyl, -(C₂-C₁₀)alkynyl, -(C₃-C₁₀)cycloalkyl, -(C₈-C₁₄)bicycloalkyl, -(C₈-C₁₄)tricycloalkyl, -(C₅-C₁₀)cycloalkenyl, -(C₈-C₁₄)bicycloalkenyl, -(C₈-C₁₄)tricycloalkenyl, or -(7- to 10-membered)bicycloheterocycle, each of which is unsubstituted or substituted with one or more R₅ groups; or
- (c) -phenyl, -naphthyl, or-(C₁₄)aryl each of which is unsubstituted or substituted with one or more R₆ groups;

each R₃ is independently:

- 20 (a) -halo, -CN, -OH, -O(C₁-C₆)alkyl, -NO₂, or -NH₂;
- (b) -(C₁-C₁₀)alkyl, -(C₂-C₁₀)alkenyl, -(C₂-C₁₀)alkynyl, -(C₃-C₁₀)cycloalkyl, -(C₈-C₁₄)bicycloalkyl, -(C₈-C₁₄)tricycloalkyl, -(C₅-C₁₀)cycloalkenyl, -(C₈-C₁₄)bicycloalkenyl, -(C₈-C₁₄)tricycloalkenyl, -(3- to 7-membered)heterocycle, or -(7- to 10-membered)bicycloheterocycle, each of which is unsubstituted or substituted with one or more R₅ groups; or

(c) -phenyl, -naphthyl, -(C₁₄)aryl or -(5- to 10-membered)heteroaryl, each of which is unsubstituted or substituted with one or more R₆ groups;

R₄ is -H or -(C₁-C₆)alkyl;

5 each R₅ is independently -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₆ is independently -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -(C₃-C₅)heterocycle, -C(halo)₃, -CH(halo)₂, -CH₂(halo), -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇,

10 -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₇ is independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -(C₃-C₅)heterocycle, -C(halo)₃, -CH₂(halo), or -CH(halo)₂;

R₈ and R₉ are each independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl,

15 -(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -C(halo)₃, -CH(halo)₂, -CH₂(halo), -CN, -OH, -halo, -N₃, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each -halo is -F, -Cl, -Br, or -I;

p is an integer ranging from 0 to 2;

20 m is 0 or 1; and

x is 0 or 1.

124. The compound of claim 123, wherein Ar₁ is a pyrazinyl group.

25 125. The compound of claim 123, wherein x is 1 and A is -C(O)N(R₄)-.

126. The compound of claim 123, wherein Ar₁ is a pyrazinyl group, x is 1, and A is

-C(O)N(R₄)-.

127. The compound of claim 123, wherein Ar₁ is a pyrazinyl group, x is 1, and A is -C(S)N(R₄)-.

5

128. The compound of claim 123, wherein p is 0.

129. The compound of claim 123, wherein p is 1.

10

130. The compound of claim 123, wherein x is 0.

131. The compound of claim 123, wherein Ar₁ is a pyridazinyl group

132. The compound of claim 123, wherein Ar₁ is a pyridazinyl group, x is 1, and A
15 is -C(O)N(R₄)-.

133. The compound of claim 123, wherein Ar₁ is a pyridazinyl group, x is 1, and A
is -C(S)N(R₄)-.

20

134. The compound of claim 123, wherein Ar₁ is a thiazanyl group.

135. The compound of claim 123, wherein Ar₁ is a thiazanyl group, x is 1, and A is
-C(O)N(R₄)-.

25

136. The compound of claim 123, wherein Ar₁ is a thiazanyl group, x is 1, and A is
-C(S)N(R₄)-.

137. The compound of claim 123, wherein:

R₁ is -CH₃, CF₃, -Cl, -Br, or -I;

m is 0;

p is 0;

5 x is 1;

A is -C(O)-N(R₄)-;

R₄ is -H;

R₈ is -H; and

R₉ is -CH₃, CF₃, -OCH₂CH₃, *tert*-butyl, Cl, -Br-, or -F.

10

138. The compound of claim 123, wherein:

R₁ is -CH₃, CF₃, -Cl, -Br, or -I;

m is 1;

R₃ is -(C₁-C₁₀)alkyl;

15 p is 0;

x is 1;

A is -C(O)-N(R₄)-;

R₄ is -H;

R₈ is -H; and

20 R₉ is -CH₃, CF₃, -OCH₂CH₃, *tert*-butyl, Cl, -Br-, or -F.

139. The compound of claim 138, wherein R₃ is -CH₃.

140. The compound of claim 138, wherein the carbon to which R₃ is attached is in
25 the (R) configuration.

141. The compound of claim 138, wherein R₃ is attached to a carbon atom adjacent to a nitrogen atom attached to the -C(O)-N(R₄)-group.

142. The compound of claim 123, wherein m is 1 and the carbon to which R₃ is attached is in the (R) configuration.

143. A composition comprising the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123 and a pharmaceutically acceptable vehicle.

10

144. A method for treating or preventing pain in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

15

145. A method for treating or preventing urinary incontinence in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

20

146. A method for treating or preventing an ulcer in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

25

147. A method for treating or preventing irritable-bowel syndrome in an animal, comprising administering to an animal in need thereof an effective amount of the compound

or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

148. A method for treating or preventing inflammatory-bowel disease in an animal,
5 comprising administering to an animal in need thereof an effective amount of the compound
or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106,
or 123.

149. A method for treating or preventing an addictive disorder in an animal,
10 comprising administering to an animal in need thereof an effective amount of the compound
or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106,
or 123.

150. A method for treating or preventing Parkinson's disease in an animal,
15 comprising administering to an animal in need thereof an effective amount of the compound
or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106,
or 123.

151. A method for treating or preventing parkinsonism in an animal, comprising
20 administering to an animal in need thereof an effective amount of the compound or a
pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or
123.

152. A method for treating or preventing anxiety in an animal, comprising
25 administering to an animal in need thereof an effective amount of the compound or a
pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or
123.

153. A method for treating or preventing epilepsy in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

5

154. A method for treating or preventing stroke in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

10

155. A method for treating or preventing a seizure in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

15

156. A method for treating or preventing a pruritic condition in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

20

157. A method for treating or preventing psychosis in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

25

158. A method for treating or preventing a cognitive disorder in an animal, comprising administering to an animal in need thereof an effective amount of the compound

or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

159. A method for treating or preventing a memory deficit in an animal, comprising

5 administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

160. A method for treating or preventing restricted brain function in an animal,

10 comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

161. A method for treating or preventing Huntington's chorea in an animal,

15 comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

162. A method for treating or preventing amyotrophic lateral sclerosis in an animal,

comprising administering to an animal in need thereof an effective amount of the compound
20 or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

163. A method for treating or preventing retinopathy in an animal, comprising

administering to an animal in need thereof an effective amount of the compound or a
25 pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

164. A method for treating or preventing a muscle spasm in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

5

165. A method for treating or preventing a migraine in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

10

166. A method for treating or preventing vomiting in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

15

167. A method for treating or preventing dyskinesia in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

20

168. A method for treating or preventing depression in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

25

169. A method for inhibiting VR1 function in a cell comprising contacting a cell capable of expressing VR1 with an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

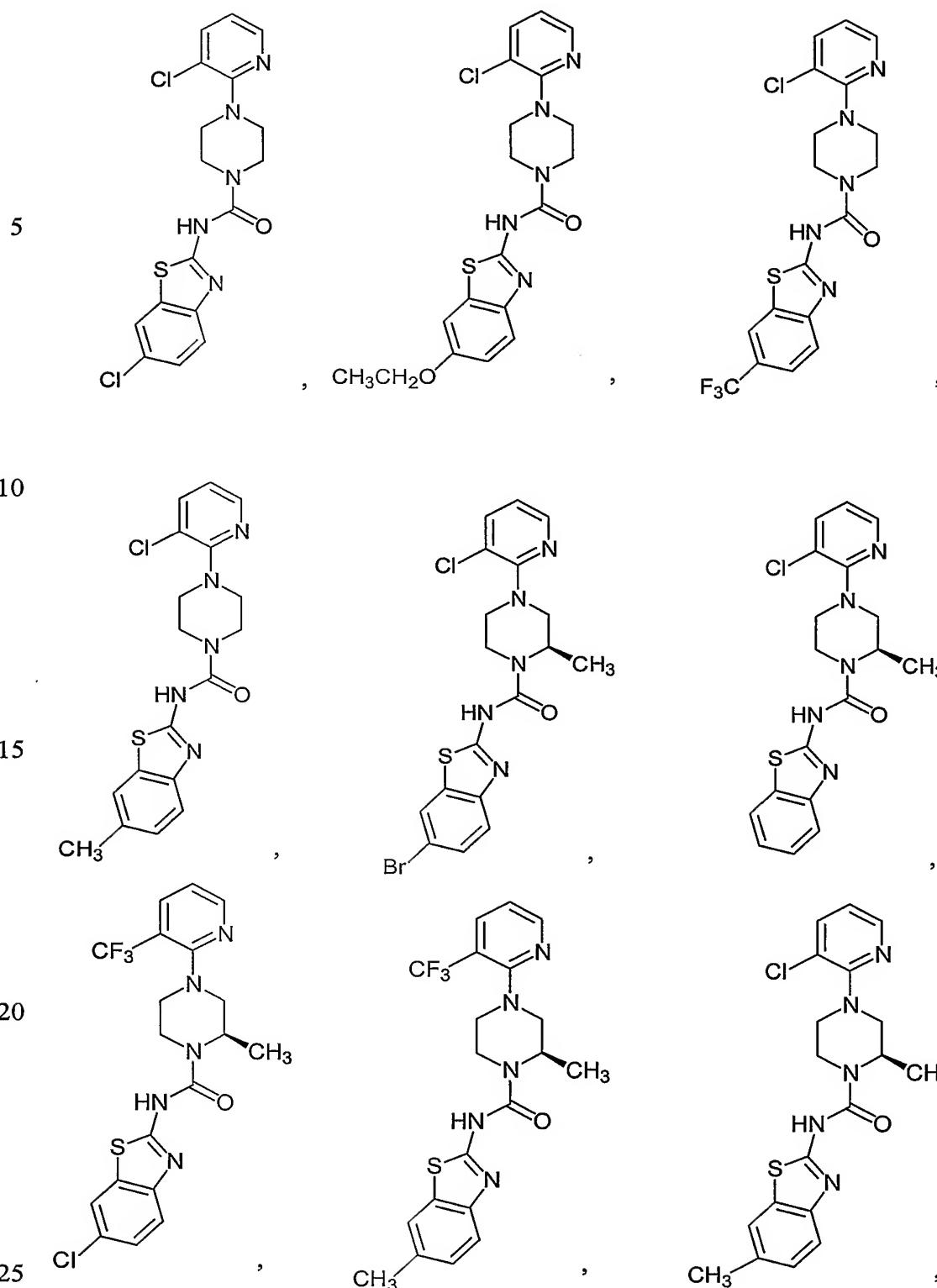
5 170. A method for inhibiting mGluR5 function in a cell comprising contacting a cell capable of expressing mGluR5 with an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

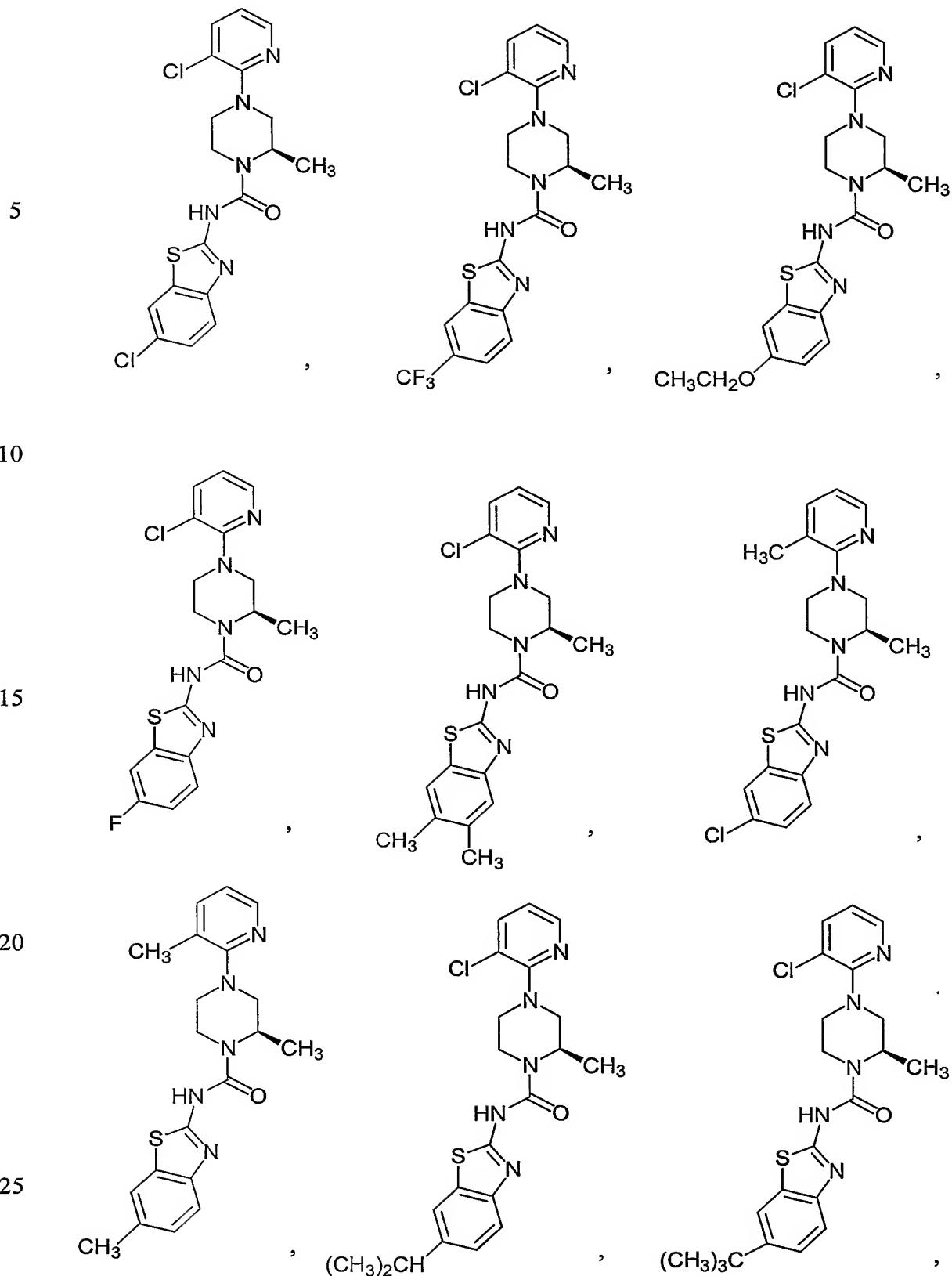
10 171. A method for inhibiting mGluR1 function in a cell comprising contacting a cell capable of expressing mGluR1 with an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

15 172. A kit comprising a container containing an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123.

173. A method for preparing a composition comprising the step of admixing a
20 compound or a pharmaceutically acceptable salt of the compound of any one of claims 1, 38, 76, 88, 106, or 123 and a pharmaceutically acceptable vehicle.

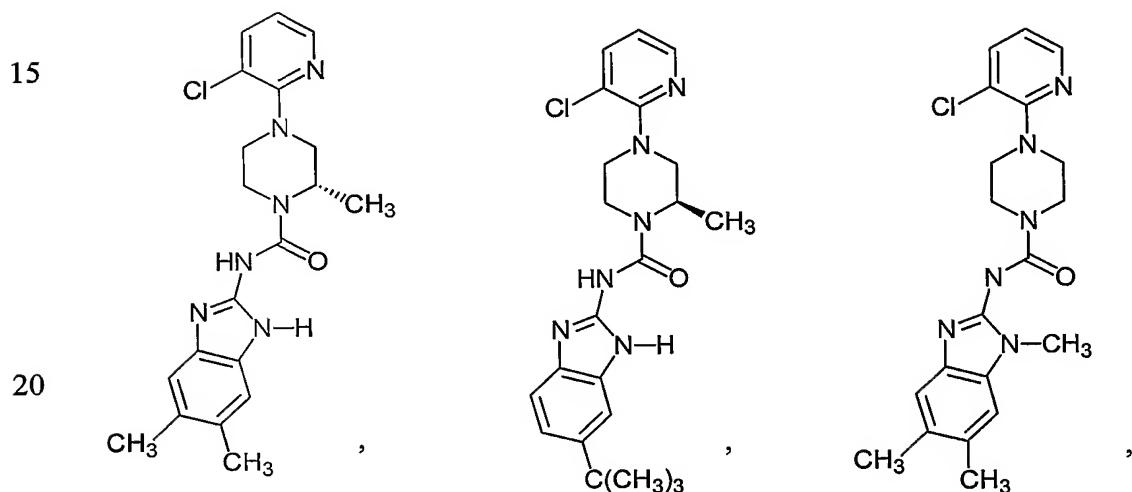
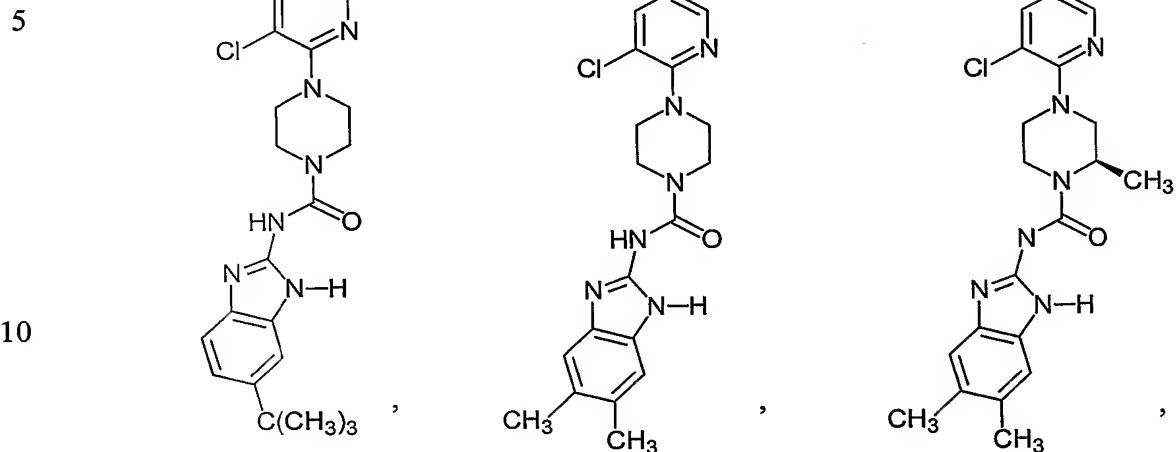
174. A compound selected from the group consisting of

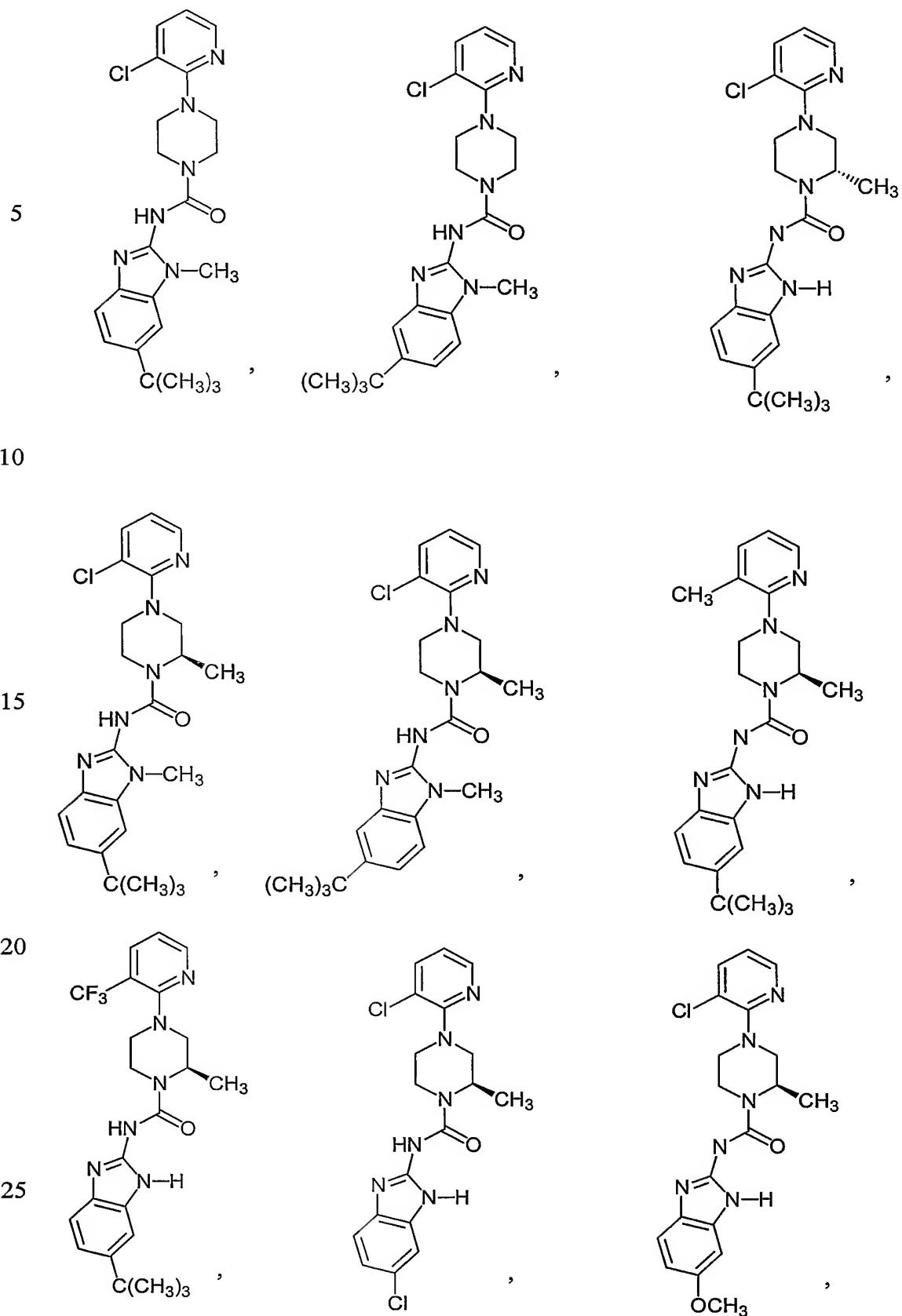




and pharmaceutically acceptable salts thereof.

175. A compound selected from the group consisting of

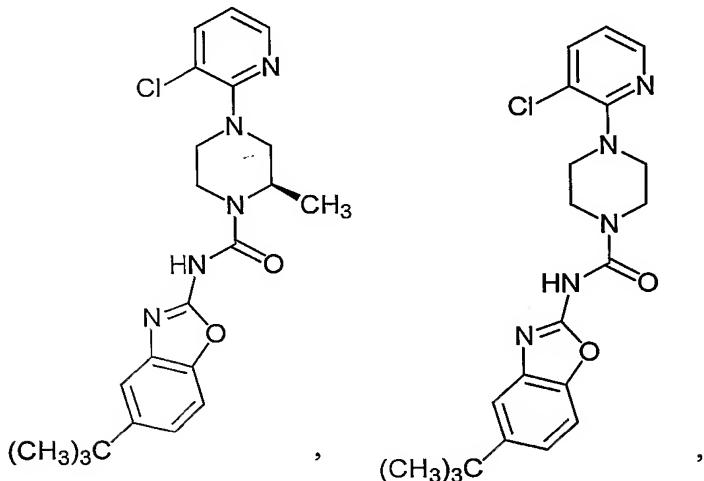




and pharmaceutically acceptable salts thereof.

176. A compound selected from the group consisting of

5



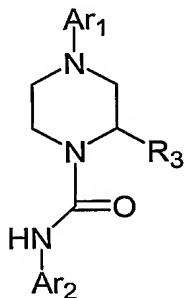
10

and pharmaceutically acceptable salts thereof.

15

177. A compound of formula:

20

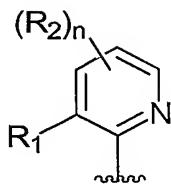


(IVa)

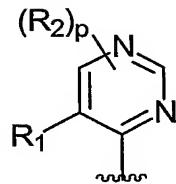
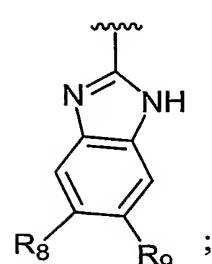
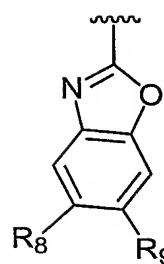
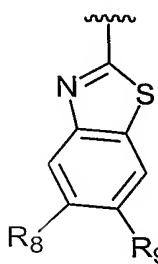
or a pharmaceutically acceptable salt thereof, wherein

Ar₁ is

25



or

5 Ar₂ is

10

R₁ is -halo, -(C₁-C₆)alkyl, -NO₂, -CN, -OH, -OCH₃, -NH₂, -C(halo)₃,
-CH(halo)₂, or -CH₂(halo);

15 each R² is independently:(a) -halo, -CN, -OH, -O(C₁-C₆)alkyl, -NO₂, or -NH₂;(b) -(C₁-C₁₀)alkyl, -(C₂-C₁₀)alkenyl, -(C₂-C₁₀)alkynyl, -(C₃-C₁₀)cycloalkyl, -(C₈-C₁₄)bicycloalkyl, -(C₈-C₁₄)tricycloalkyl, -(C₅-C₁₀)cycloalkenyl, -(C₈-C₁₄)bicycloalkenyl, -(C₈-C₁₄)tricycloalkenyl, -(3- to 7-membered)heterocycle, or -(7- to 10-

20 membered)bicycloheterocycle, each of which is unsubstituted or substituted with one or more

R₅ groups; or(c) -phenyl, -naphthyl, -(C₁₄)aryl, or -(5- to 10-membered)heteroaryl, each of which is unsubstituted or substituted with one or more R₆

groups;

25 R₃ is -H or -CH₃:each R₅ is independently -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇,
-NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₆ is independently -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -(C₃-C₅)heterocycle, -C(halo)₃, -CH(halo)₂, -CH₂(halo), -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

5 each R₇ is independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -(C₃-C₅)heterocycle, -C(halo)₃, -CH₂(halo), or -CH(halo)₂;

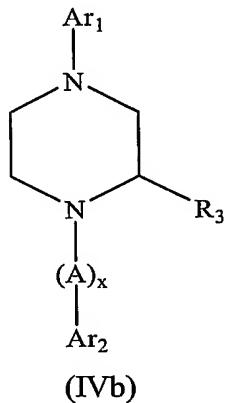
R₈ and R₉ are each independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -C(halo)₃, -CH(halo)₂, -CH₂(halo), -OC(halo)₃, -OCH(halo)₂, -OCH₂(halo), -CN, -OH, -halo, -N₃, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

10 each -halo is -F, -Cl, -Br, or -I;

n is an integer ranging from 0 to 3; and

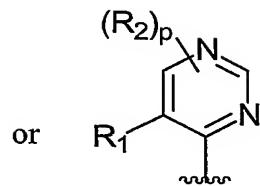
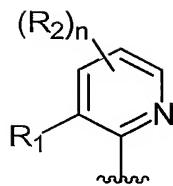
15 p is an integer ranging from 0 to 2.

178. A compound of formula:



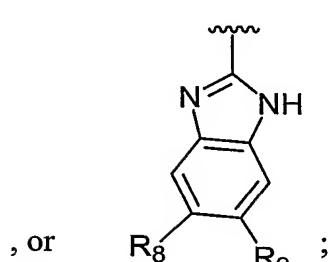
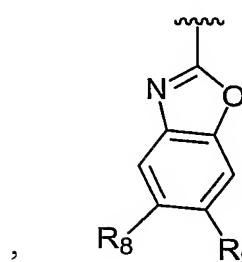
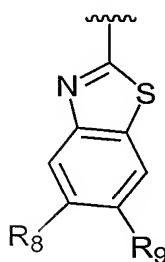
25 or a pharmaceutically acceptable salt thereof, wherein

Ar₁ is

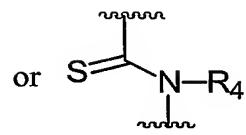
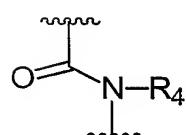


or ;

Ar₂ is



A is



R₁ is -halo, -(C₁-C₆)alkyl, -NO₂, -CN, -OH, -OCH₃, -NH₂, -C(halo)₃,

20 -CH(halo)₂, or -CH₂(halo);

each R² is independently:

(a) -halo, -CN, -OH, -O(C₁-C₆)alkyl, -NO₂, or -NH₂;

(b) -(C₁-C₁₀)alkyl, -(C₂-C₁₀)alkenyl, -(C₂-C₁₀)alkynyl, -(C₃-

C₁₀)cycloalkyl, -(C₈-C₁₄)bicycloalkyl, -(C₈-C₁₄)tricycloalkyl, -(C₅-C₁₀)cycloalkenyl, -(C₈-

25 C₁₄)bicycloalkenyl, -(C₈-C₁₄)tricycloalkenyl, -(3- to 7-membered)heterocycle, or -(7- to 10-membered)bicycloheterocycle, each of which is unsubstituted or substituted with one or more R₅ groups; or

(c) -phenyl, -naphthyl, -(C₁₄)aryl, or -(5- to 10-membered)heteroaryl, each of which is unsubstituted or substituted with one or more R₆ groups;

R₃ is -CH₃;

5 R₄ is -H or -(C₁-C₆)alkyl;

each R₅ is independently -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₆ is independently -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -(C₃-C₅)heterocycle, -C(halo)₃,

10 -CH(halo)₂, -CH₂(halo), -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₇ is independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -(C₃-C₅)heterocycle, -C(halo)₃,

-CH₂(halo), or -CH(halo)₂;

15 R₈ and R₉ are each independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -C(halo)₃, -CH(halo)₂, -CH₂(halo), -OC(halo)₃, -OCH(halo)₂, -OCH₂(halo), -CN, -OH, -halo, -N₃, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

20 each -halo is -F, -Cl, -Br, or -I;

n is an integer ranging from 0 to 3;

p is an integer ranging from 0 to 2; and

x is 0 or 1.

25 179. A composition comprising the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178 and a pharmaceutically acceptable vehicle.

180. A method for treating or preventing pain in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

5 181. A method for treating or preventing urinary incontinence in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

10 182. A method for treating or preventing an ulcer in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

15 183. A method for treating or preventing irritable-bowel syndrome in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

184. A method for treating or preventing inflammatory-bowel disease in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

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185. A method for treating or preventing an addictive disorder in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

25 186. A method for treating or preventing Parkinson's disease in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

187. A method for treating or preventing parkinsonism in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

5 188. A method for treating or preventing anxiety in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

10 189. A method for treating or preventing epilepsy in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

15 190. A method for treating or preventing stroke in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

191. A method for treating or preventing a seizure in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

20

192. A method for treating or preventing a pruritic condition in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

25 193. A method for treating or preventing psychosis in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

194. A method for treating or preventing a cognitive disorder in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

5 195. A method for treating or preventing a memory deficit in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

10 196. A method for treating or preventing restricted brain function in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

15 197. A method for treating or preventing Huntington's chorea in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

198. A method for treating or preventing amyotrophic lateral sclerosis in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

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199. A method for treating or preventing retinopathy in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

25 200. A method for treating or preventing a muscle spasm in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

201. A method for treating or preventing a migraine in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

5 202. A method for treating or preventing vomiting in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

10 203. A method for treating or preventing dyskinesia in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

15 204. A method for treating or preventing depression in an animal, comprising administering to an animal in need thereof an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

205. A method for inhibiting VR1 function in a cell comprising contacting a cell capable of expressing VR1 with an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

20

206. A method for inhibiting mGluR5 function in a cell comprising contacting a cell capable of expressing mGluR5 with an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

25 207. A method for inhibiting mGluR1 function in a cell comprising contacting a cell capable of expressing mGluR1 with an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

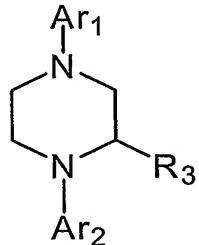
208. A kit comprising a container containing an effective amount of the compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178.

209. A method for preparing a composition comprising the step of admixing a 5 compound or a pharmaceutically acceptable salt of the compound of any one of claims 177 or 178 and a pharmaceutically acceptable vehicle.

210. A composition comprising:

(i) an effective amount of a compound of formula:

10



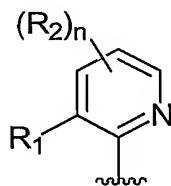
15

(V)

or a pharmaceutically acceptable salt thereof, wherein

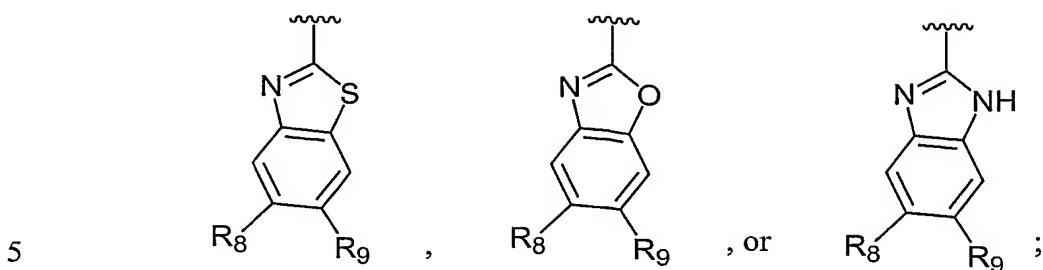
Ar_1 is

20



Ar_2 is

25



R_1 is -halo, $-(C_1-C_6)$ alkyl, -NO₂, -CN, -OH, -OCH₃, -NH₂, -C(halo)₃,

-CH(halo)₂, or -CH₂(halo);

each R^2 is independently:

- (a) -halo, -CN, -OH, -O(C₁-C₆)alkyl, -NO₂, or -NH₂;

(b) -(C₁-C₁₀)alkyl, -(C₂-C₁₀)alkenyl, -(C₂-C₁₀)alkynyl, -(C₃-C₁₄)bicycloalkyl, -(C₈-C₁₄)tricycloalkyl, -(C₅-C₁₀)cycloalkenyl, -(C₈-C₁₄)tricycloalkenyl, -(3- to 7-membered)heterocycle, or -(7- to 10-membered)heterocycle, each of which is unsubstituted or substituted with one or more R₆

(c) -phenyl, -naphthyl, -(C₁₄)aryl, or -(5- to 10-membered)heterocycle, each of which is unsubstituted or substituted with one or more R₆

R_3 is -H or -CH₃:

each R₅ is independently -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇,

20 -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₆ is independently -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, alkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -(C₃-C₅)heterocycle, -C(halo)₃, CH₂(halo), -CN, -OH, -halo, -N₃, -NO₂, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or -S(O)₂R₇;

each R₇ is independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -(C₃-C₅)heterocycle, -C(halo)₃, -CH₂(halo), or -CH(halo)₂;

R₈ and R₉ are each independently -H, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₈)cycloalkyl, -(C₅-C₈)cycloalkenyl, -phenyl, -C(halo)₃, -CH(halo)₂, -CH₂(halo), -OC(halo)₃, -OCH(halo)₂, -OCH₂(halo), -CN, -OH, -halo, -N₃, -N(R₇)₂, -CH=NR₇, -NR₇OH, -OR₇, -COR₇, -C(O)OR₇, -OC(O)R₇, -OC(O)OR₇, -SR₇, -S(O)R₇, or

5 -S(O)₂R₇;

each -halo is -F, -Cl, -Br, - or -I;

n is an integer ranging from 0 to 3; and

p is an integer ranging from 0 to 2; and

(ii) a pharmaceutically acceptable carrier or excipient..

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211. A method for treating or preventing pain in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim
210.

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212. A method for treating or preventing urinary incontinence in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim
of claim 210.

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213. A method for treating or preventing an ulcer in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim
210.

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214. A method for treating or preventing irritable-bowel syndrome in an animal, comprising administering to an animal in need thereof an effective amount of the composition
of claim 210.

215. A method for treating or preventing inflammatory-bowel disease in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

5 216. A method for treating or preventing an addictive disorder in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

10 217. A method for treating or preventing Parkinson's disease in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

15 218. A method for treating or preventing parkinsonism in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

20 219. A method for treating or preventing anxiety in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

220. A method for treating or preventing epilepsy in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

25 221. A method for treating or preventing stroke in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

222. A method for treating or preventing a seizure in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

5 223. A method for treating or preventing a pruritic condition in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

10 224. A method for treating or preventing psychosis in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

15 225. A method for treating or preventing a cognitive disorder in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

226. A method for treating or preventing a memory deficit in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

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227. A method for treating or preventing restricted brain function in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

25 228. A method for treating or preventing Huntington's chorea in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

229. A method for treating or preventing amyotrophic lateral sclerosis in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

5 230. A method for treating or preventing retinopathy in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

10 231. A method for treating or preventing a muscle spasm in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

15 232. A method for treating or preventing a migraine in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

20 233. A method for treating or preventing vomiting in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

25 234. A method for treating or preventing dyskinesia in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

235. A method for treating or preventing depression in an animal, comprising administering to an animal in need thereof an effective amount of the composition of claim 210.

236. A method for inhibiting VR1 function in a cell comprising contacting a cell capable of expressing VR1 with an effective amount of the composition of claim 210.

237. A method for inhibiting mGluR5 function in a cell comprising contacting a
5 cell capable of expressing mGluR5 with an effective amount of the composition of claim 210.

238. A method for inhibiting mGluR1 function in a cell comprising contacting a cell capable of expressing mGluR1 with an effective amount of the composition of claim 210.

10 239. A kit comprising a container containing an effective amount of the composition of claim 210.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 03/41100

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D417/12 C07D413/12 C07D403/12 C07D401/12 A61K31/495
 A61P29/00 A61P13/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	CHU-MOYER ET AL.: "Orally-Effective, Long-Acting Sorbitol Dehydrogenase Inhibitors" J. MED. CHEM., vol. 45, 2002, pages 511-528, XP002278463 cited in the application the whole document ---	1-238
A	WO 01/57008 A (BASF AG ;ERICSSON ANNA (US); SCOTT BARBARA (US); ARNOLD LEE D (US)) 9 August 2001 (2001-08-09). cited in the application the whole document ---	1-238
A	WO 99/26927 A (STORMANN THOMAS M ;DELMAR ERIC G (US); MOE SCOTT T (US); SMITH DAR) 3 June 1999 (1999-06-03) the whole document ---	1-238
	-/-	

 Further documents are listed in the continuation of box C. Patent family members are listed in annex.

° Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *&* document member of the same patent family

Date of the actual completion of the international search

Date of mailing of the international search report

3 May 2004

04/06/2004

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Fritz, M

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 03/41100

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 5 536 721 A (FAARUP PETER ET AL) 16 July 1996 (1996-07-16) the whole document ----	1-238
A	WO 01/10846 A (PAJOUHESH HOSSIEN ;CURRY KENNETH (CA); IGT PHARMA INC (CA)) 15 February 2001 (2001-02-15) the whole document -----	1-238

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 03/41100

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0157008	A	09-08-2001	AU 3669801 A BG 107062 A BR 0108085 A CA 2398754 A1 CN 1422262 T EP 1254123 A1 HU 0300359 A2 JP 2003521543 T NO 20023713 A SK 12712002 A3 WO 0157008 A1 US 2003153568 A1	14-08-2001 30-04-2003 18-03-2003 09-08-2001 04-06-2003 06-11-2002 28-06-2003 15-07-2003 04-10-2002 04-02-2003 09-08-2001 14-08-2003
WO 9926927	A	03-06-1999	AU 771358 B2 AU 1531799 A CA 2311131 A1 CN 1285820 T EP 1037878 A2 JP 2001524468 T NZ 505207 A WO 9926927 A2 US 2003013715 A1 US 6429207 B1	18-03-2004 15-06-1999 03-06-1999 28-02-2001 27-09-2000 04-12-2001 31-10-2003 03-06-1999 16-01-2003 06-08-2002
US 5536721	A	16-07-1996	AT 182333 T AU 697183 B2 AU 1945795 A BR 9507073 A CA 2185670 A1 CN 1144529 A CZ 9602650 A3 DE 69510928 D1 WO 9525110 A1 EP 0750621 A1 HU 76543 A2 JP 9510223 T NO 963850 A PL 316239 A1 US 5783575 A US 5696148 A ZA 9502086 A	15-08-1999 01-10-1998 03-10-1995 09-09-1997 21-09-1995 05-03-1997 11-06-1997 26-08-1999 21-09-1995 02-01-1997 29-09-1997 14-10-1997 14-11-1996 06-01-1997 21-07-1998 09-12-1997 16-09-1996
WO 0110846	A	15-02-2001	AU 6420700 A WO 0110846 A2 CA 2381260 A1 EP 1210338 A2 JP 2003506440 T	05-03-2001 15-02-2001 15-02-2001 05-06-2002 18-02-2003